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2

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Robert (Reg) Shaw Examiner #: 79521 Date: 5/24/06

Art Unit: 1626 Phone Number: 2-0707 Serial Number: 10/801,469

Location (Bldg/Room#): REM (Mailbox #): 5A06 Results Format Preferred (circle): PAPER DISK

5c18

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To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Lefan-Cutting cyclase

Inventors (please provide full names): Qiao et al

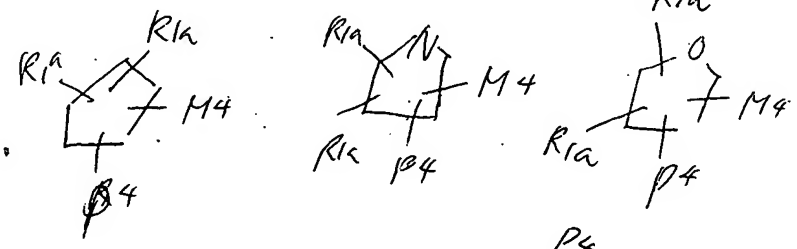
Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

2. Search cpd I, cpd II, cpd III (incl claim 1, example 1, 87, or 97)



* R1a is sub

A is Z-AB

Z is NH C(=O)-C, C(=O)-O-C, NH C(=O)-, C-SO-, C-SO2-, C-SO2-N, C(=O)-C(=O)-C, C-N-C(=O)-N-C,

A is phenyl, B is -X-N(Q)-

* P4 is -G1-G,

G1 is C, NH C(=O)-phenyl, C(=O)-R3, C-N-C(=O)-R3

R3 is sub, sub

G is IIa or IIb.,

ie. G is  ,

Q is heterocycle

****

STAFF USE ONLY

Searcher: _____

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: _____

Searcher Prep & Review Time: _____

Online Time: _____

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

_____ Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial ____ Oligomer ____ Score/Length

____ Interference ____ SPDI ____ Encode/Transl

_____ Other (specify)

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor
571-272-2507 Remsen E01 D86

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library, Remsen Bldg.

STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 190702

TO: Rei-Tsang Shiao **Location: rem/5A10/5C18** **Art Unit: 1626** **Tuesday, June 20, 2006** **Case Serial Number: 10/801469**	**From: Barb O'Bryen** **Location: Biotech-Chem Library** **Remsen 1a69** **Phone: 571-272-2518** **barbara.obryen@uspto.gov**

Search Notes

UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

Bib Data Sheet

CONFIRMATION NO. 3216

SERIAL NUMBER	FILING DATE	CLASS	GROUP ART UNIT	ATTORNEY DOCKET NO.
10/801,469	03/16/2004 RULE	548	1626	HA0802 NP

APPLICANTS

Jennifer X. Qiao, Princeton, NJ;

Tammy C. Wang, Lawrenceville, NJ;

** CONTINUING DATA **********

This appln claims benefit of 60/455,733 03/18/2003
and claims benefit of 60/508,232 10/02/2003

** FOREIGN APPLICATIONS **********

IF REQUIRED, FOREIGN FILING LICENSE GRANTED
** 06/01/2004

Foreign Priority claimed	STATE OR	SHEETS	TOTAL	INDEPENDENT
35 USC 119 (a-d) conditions met	COUNTRY	DRAWING	CLAIMS	CLAIMS
Verified and Acknowledged	NJ	0	14	1

☐ yes ☒ no
☐ yes ☒ no ☐ Met after Allowance
Examiner's Signature Initials

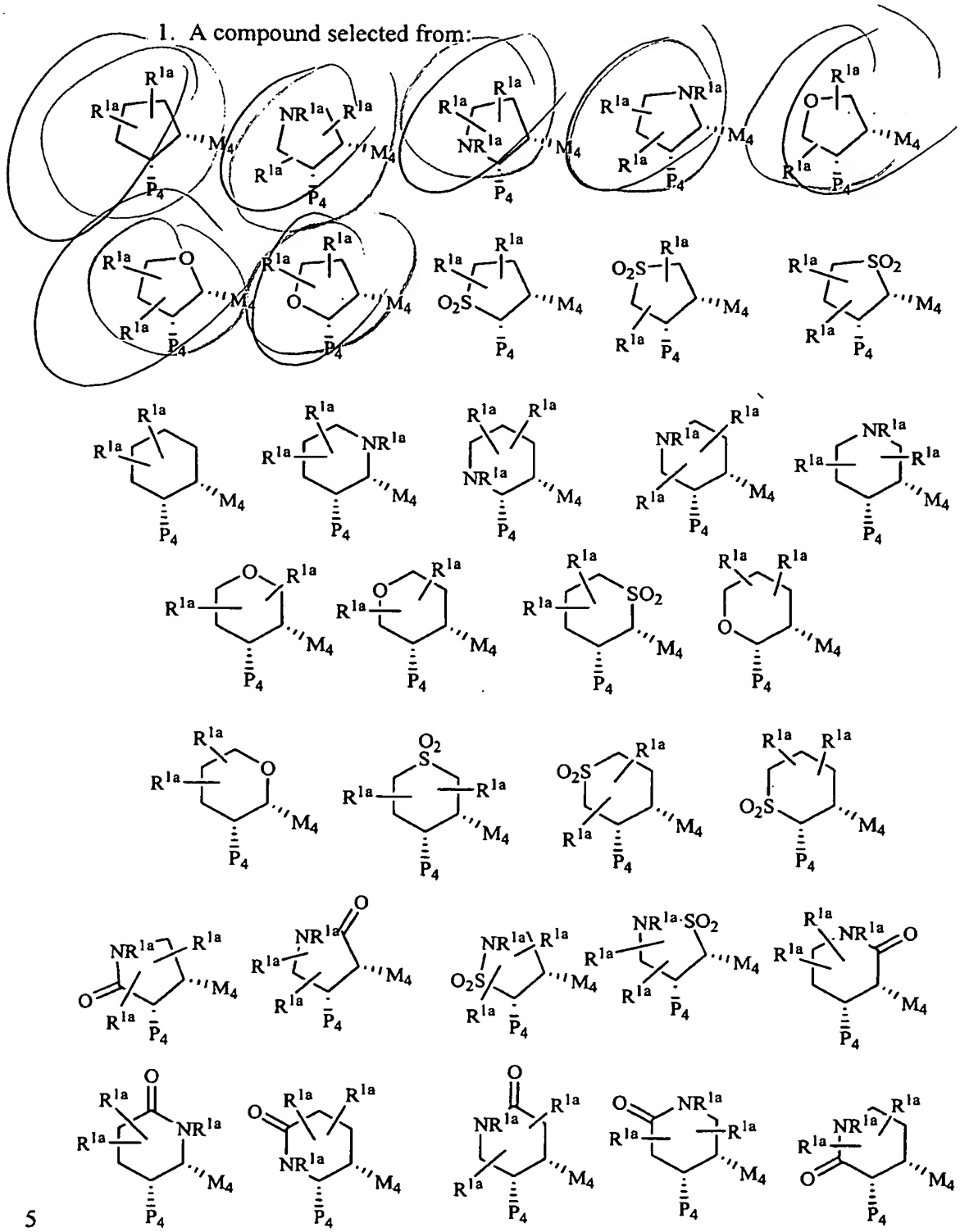
ADDRESS
23914
LOUIS J. WILLE
BRISTOL-MYERS SQUIBB COMPANY
PATENT DEPARTMENT
P O BOX 4000
PRINCETON , NJ
08543-4000

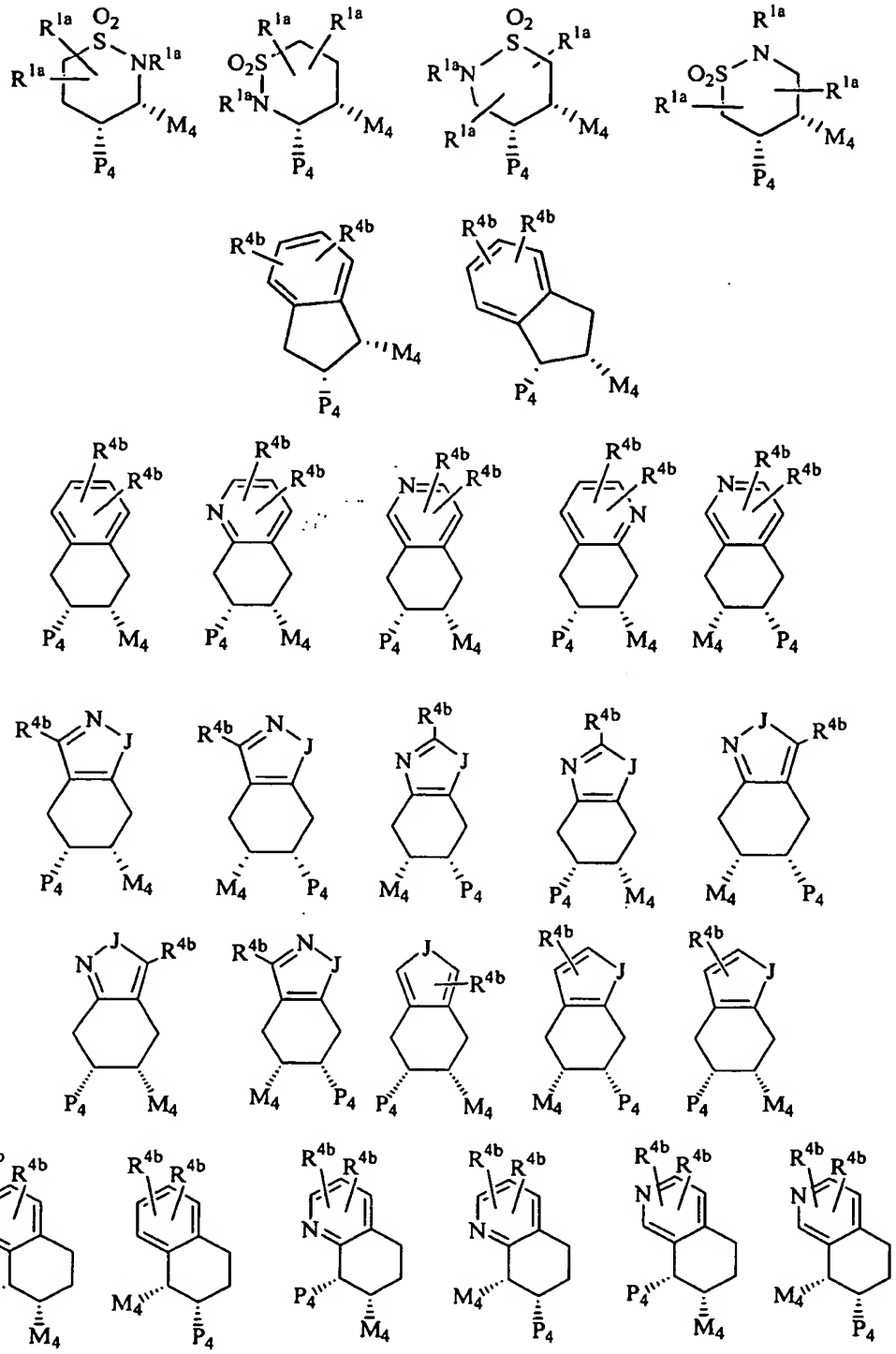
TITLE
Lactam-containing cyclic diamines and derivatives as factor Xa inhibitors

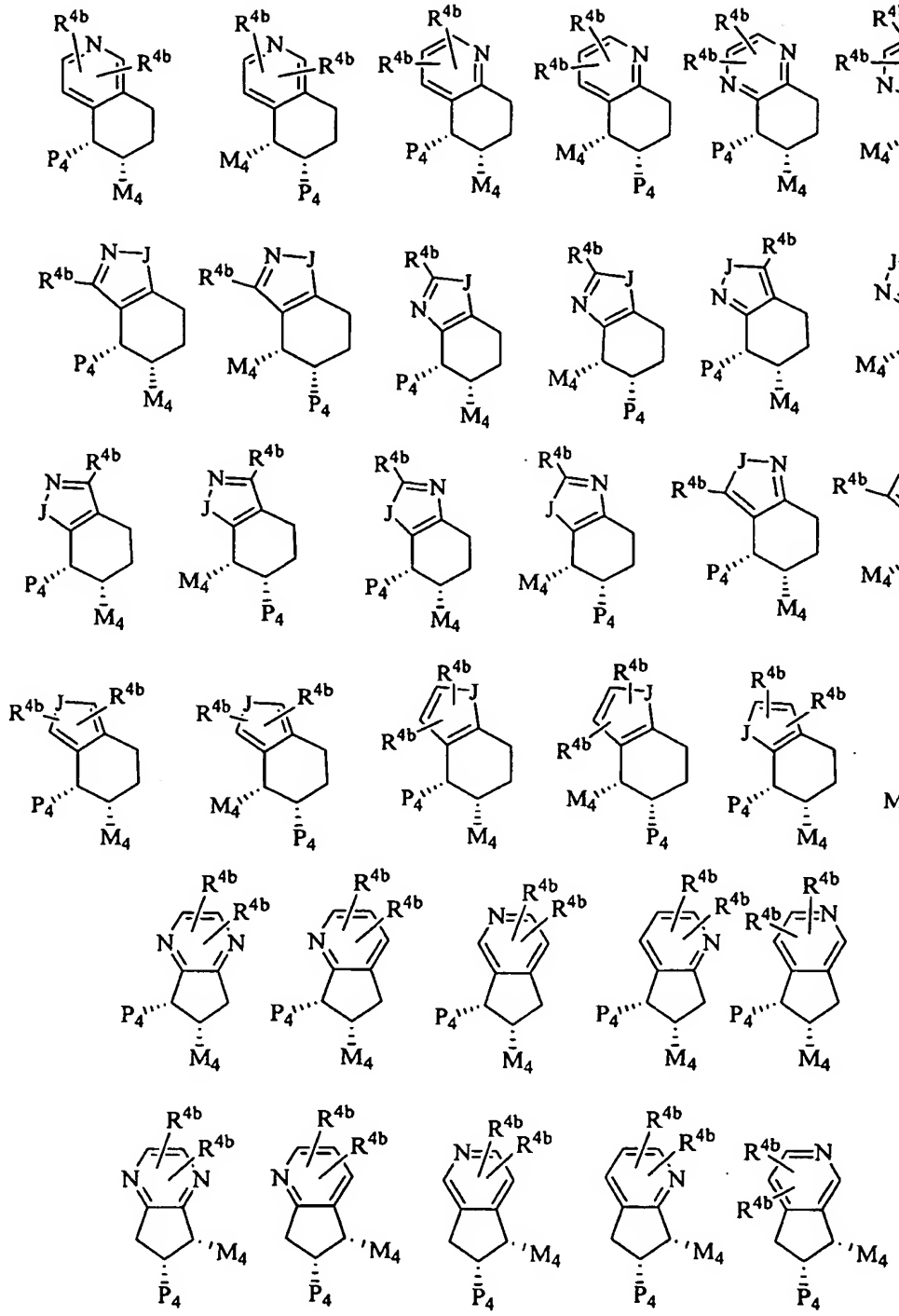
FILING FEE	FEES: Authority has been given in Paper	☐ All Fees ☐ 1.16 Fees (Filing) ☐ 1.17 Fees (Processing Ext. of

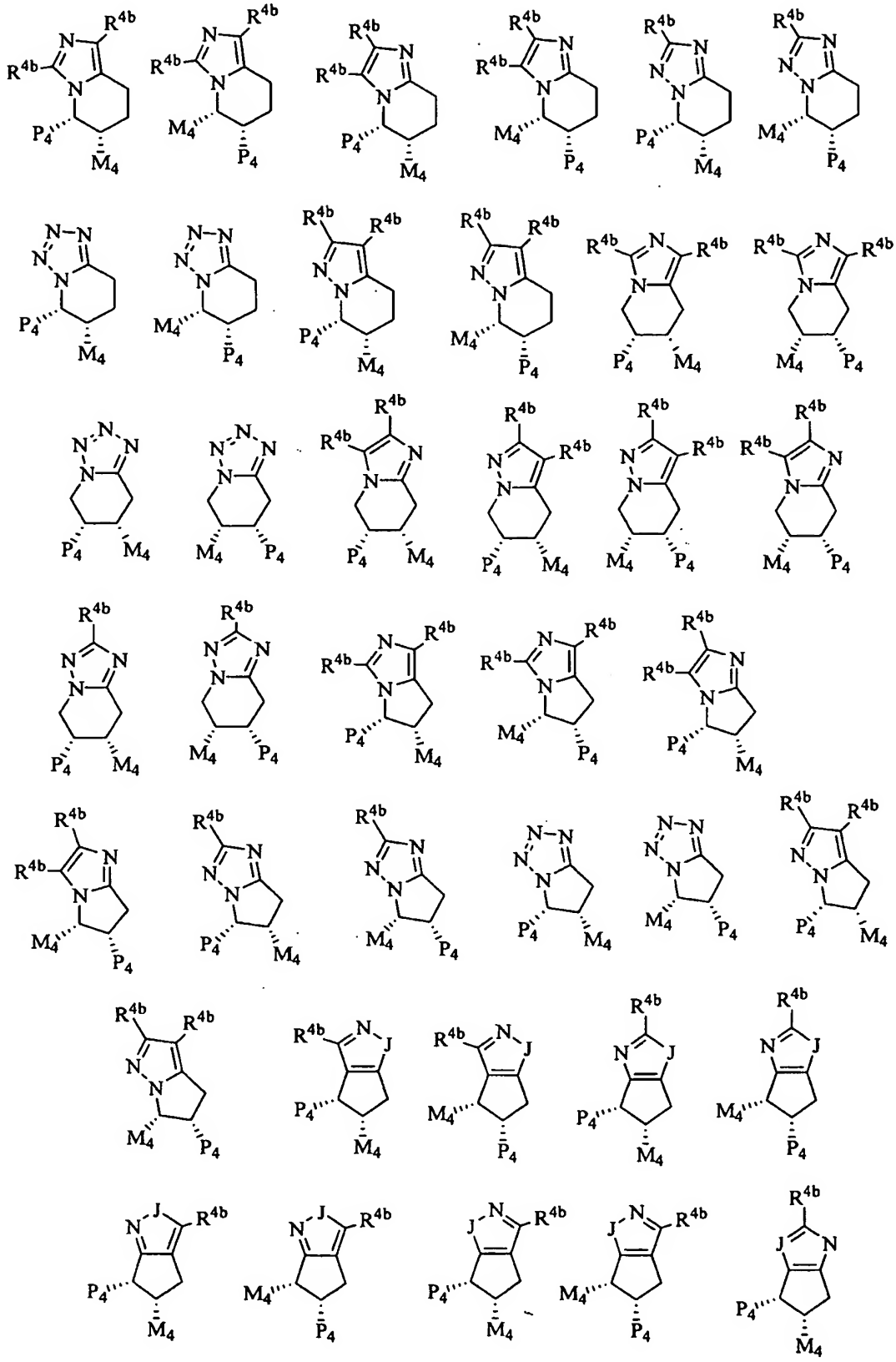
WHAT IS CLAIMED IS:

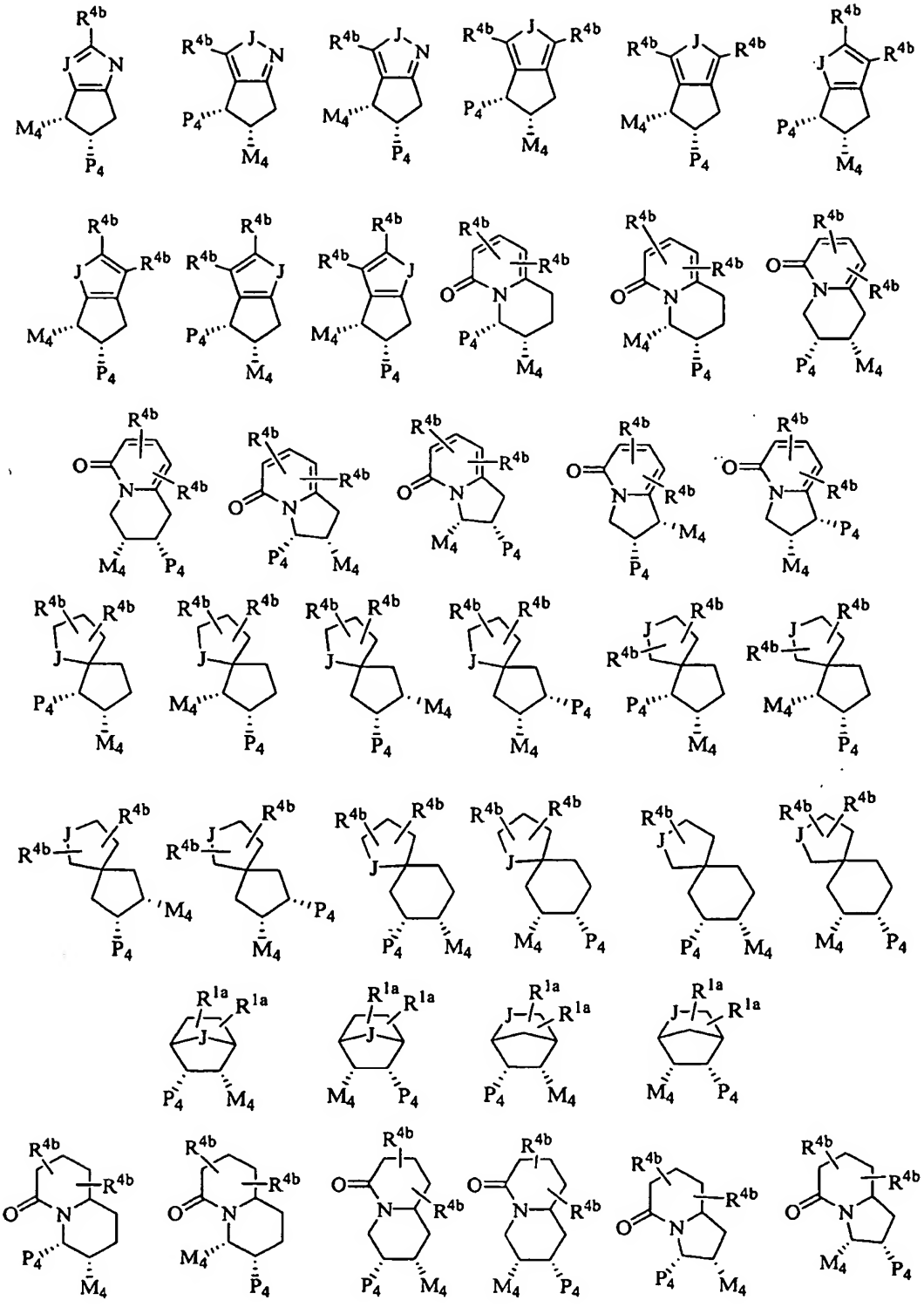
1. A compound selected from:

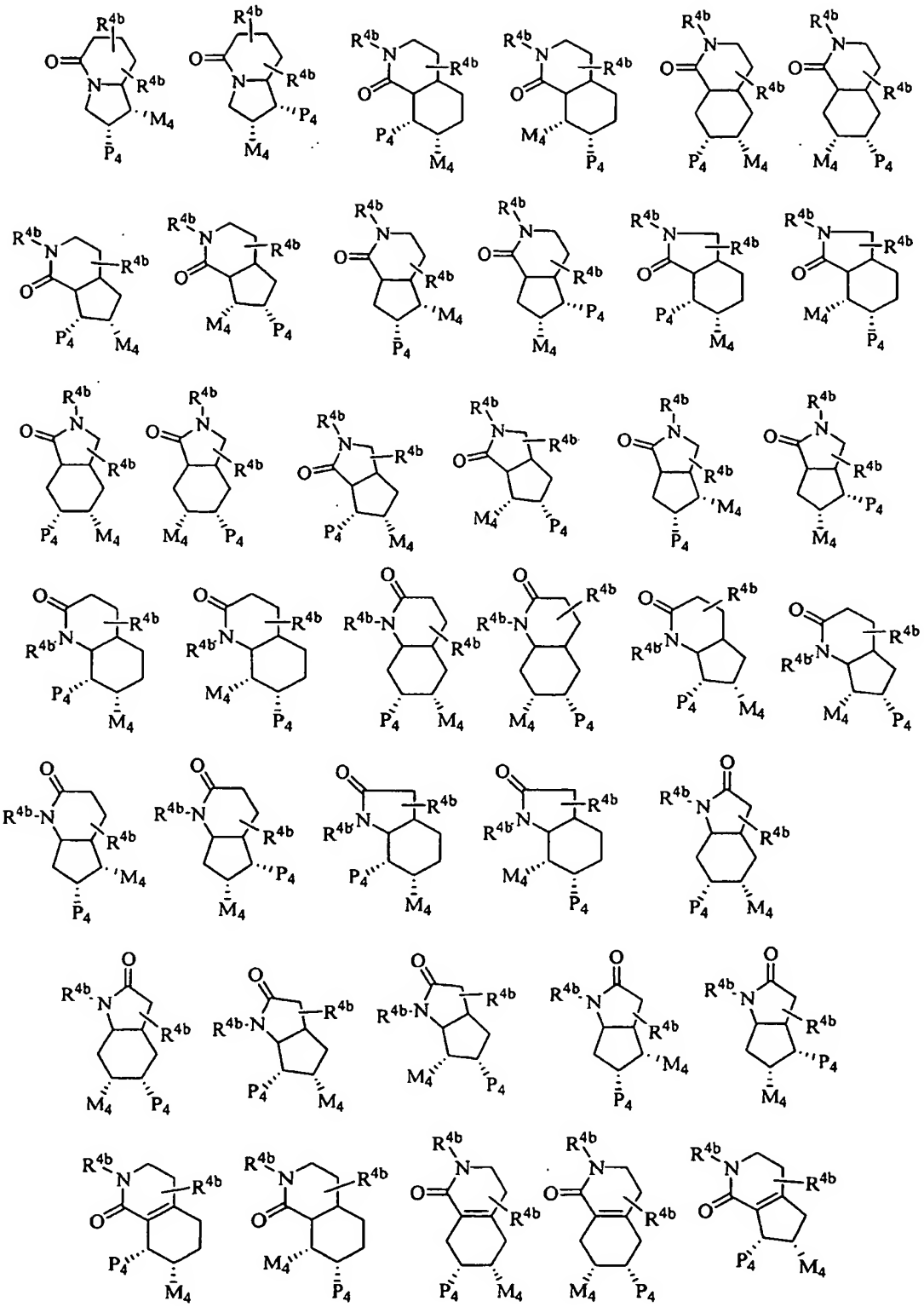


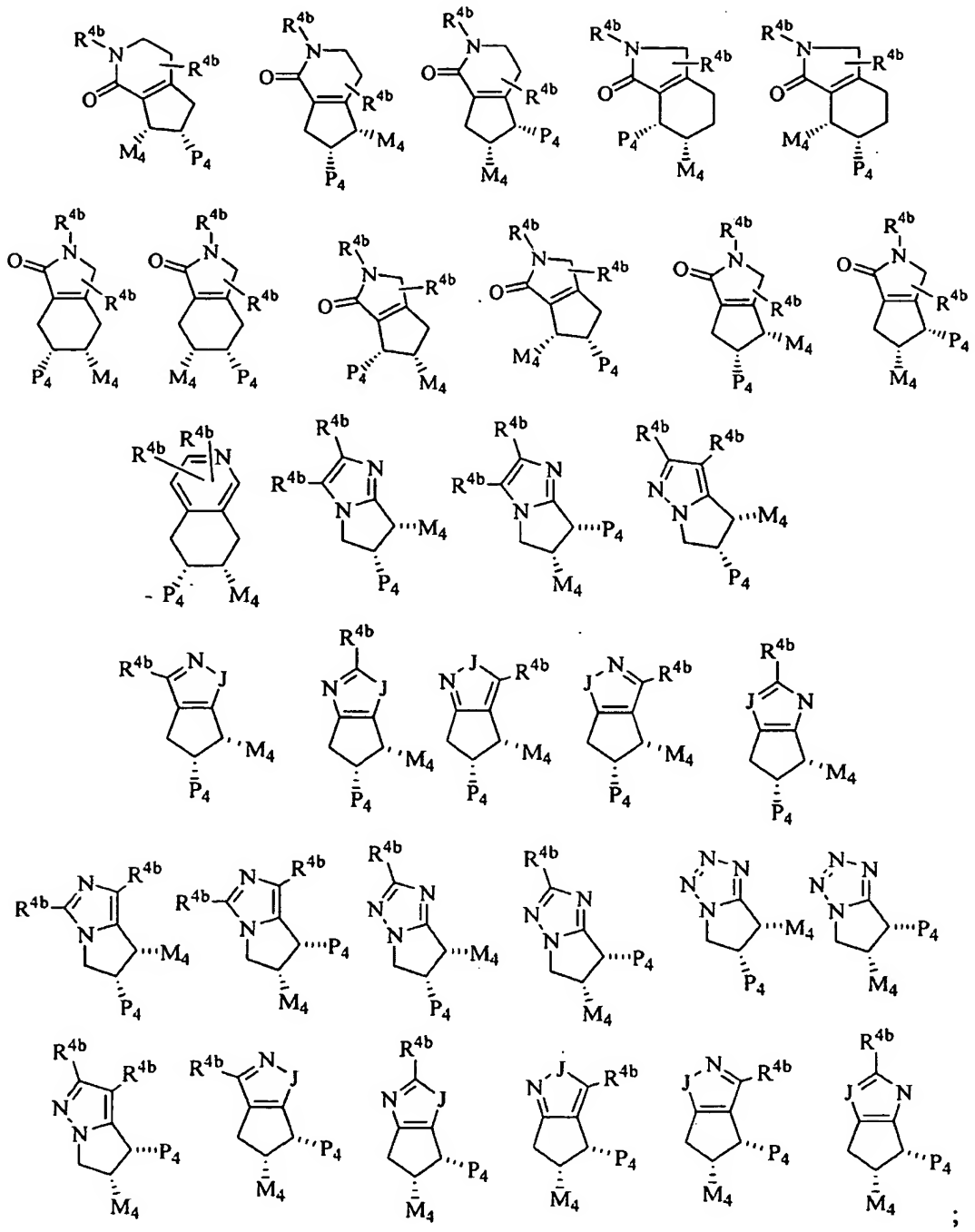










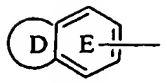


or a stereoisomer or pharmaceutically acceptable salt thereof, wherein:

J is selected from O, S, $S(O)_2$, CR^{1a}, and NR^{1a};

one of P_4 and M_4 is -Z-A-B and the other $-G_1$-G;

G is a group of formula IIa or IIb:



IIa

IIb

ring D, including the two atoms of Ring E to which it is attached, is a 5-6 membered ring consisting of carbon atoms and 0-2 heteroatoms selected from the group consisting of N, O, and $S(O)_p$;

ring D is substituted with 0-2 R and there are 0-3 ring double bonds;

E is selected from phenyl, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl, and is substituted with 1-3 R;

alternatively, ring D is absent and ring E is selected from phenyl, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, triazolyl, thienyl, and thiazolyl, and ring E is substituted with 1-3 R;

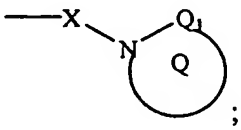
alternatively, ring D is absent and ring E is selected from phenyl, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, triazolyl, thienyl, and thiazolyl, and ring E is substituted with 1 R and with a 5-6 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$, wherein the 5-6 membered heterocycle is substituted with 0-2 carbonyls and 1-3 R and there are 0-3 ring double bonds;

R is selected from H, C_{1-4} alkyl, F, Cl, Br, I, OH, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, -CN, NH_2, $NH(C_{1-3}$ alkyl), $N(C_{1-3}$ alkyl)$_2$, $C(=NH)NH_2$, CH_2NH_2, $CH_2NH(C_{1-3}$ alkyl), $CH_2N(C_{1-3}$ alkyl)$_2$, $CH_2CH_2NH_2$, $CH_2CH_2NH(C_{1-3}$ alkyl), $CH_2CH_2N(C_{1-3}$ alkyl)$_2$, $C(=NR^8)NR^7R^9$, $NHC(=NR^8)NR^7R^9$, $ONHC(=NR^8)NR^7R^9$, $NR^8CH(=NR^7)$, $(CR^8R^9)_tC(O)H$, $(CR^8R^9)_tC(O)R^{2c}$, $(CR^8R^9)_tNR^7R^8$, $(CR^8R^9)_tC(O)NR^7R^8$, $(CR^8R^9)_tNR^7C(O)R^7$, $(CR^8R^9)_tOR^3$, $(CR^8R^9)_tS(O)_pNR^7R^8$, $(CR^8R^9)_tNR^7S(O)_pR^7$, $(CR^8R^9)_tSR^3$, $(CR^8R^9)_tS(O)R^3$, $(CR^8R^9)_tS(O)_2R^3$, and OCF_3, provided that $S(O)_pR^7$ and $S(O)_2R^3$ form other than $S(O)_2H$ or S(O)H;

alternatively, when 2 R groups are attached to adjacent atoms, they combine to form methylenedioxy or ethylenedioxy;

2-AB

A is selected from: C_{3-10} carbocycle substituted with 0-2 R^4, and 5-12 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$ and substituted with 0-2 R^4;

B is 

; provided that Z and B are attached to different atoms on A and that the A-X-N moiety forms other than a N-N-N group;

Q_1 is selected from C=O and SO_2;

ring Q is a 4-7 membered monocyclic or tricyclic ring consisting of, in addition to the N-Q_1 group shown, carbon atoms and 0-2 heteroatoms selected from NR^{4c}, O, and $S(O)_p$, wherein: 0-2 double bonds are present within the ring and the ring is substituted with 0-2 R^{4a};

alternatively, ring Q is a 4-7 membered ring to which another ring is fused, wherein: the 4-7 membered ring consists of, in addition to the N-Q_1 group shown, carbon atoms and 0-2 heteroatoms selected from NR^{4c}, O, and $S(O)_p$ and 0-1 double bonds are present within the ring; the fusion ring is phenyl or a 5-6 membered heteroaromatic consisting of carbon atoms and 1-2 heteroatoms selected from NR^{4c}, O, and $S(O)_p$;

ring Q, which includes the 4-7 membered ring and the fusion ring, is substituted with 0-3 R^{4a};

X is absent or is selected from $(CR^2R^{2a})_{1-4}$, C(O), $C(O)CR^2R^{2a}$, $CR^2R^{2a}C(O)$, $S(O)_2$, $S(O)_2CR^2R^{2a}$, $CR^2R^{2a}S(O)_2$, $NR^2S(O)_2$, $NR^2CR^2R^{2a}$, and OCR^2R^{2a}, wherein the left side of X is attached to ring A;

G_1 is selected from $(CR^3R^{3a})_{1-5}$, $(CR^3R^{3a})_{0-2}CR^3{=}CR^3(CR^3R^{3a})_{0-2}$, $(CR^3R^{3a})_{0-2}C{\equiv}C(CR^3R^{3a})_{0-2}$, $(CR^3R^{3a})_uC(O)(CR^3R^{3a})_w$, $(CR^3R^{3a})_uC(O)O(CR^3R^{3a})_w$, $(CR^3R^{3a})_uOC(O)(CR^3R^{3a})_w$, $(CR^3R^{3a})_uO(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3e}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}C(O)(CR^3R^{3a})_w$, $(CR^3R^{3a})_uOC(O)NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}C(O)O(CR^3R^{3a})_w$,

$(CR^3R^{3a})_uNR^{3b}C(O)NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}C(S)NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(CR^3R^{3a})_w$, $(CR^3R^{3a})_uS(O)(CR^3R^{3a})_w$, $(CR^3R^{3a})_uS(O)_2(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(O)NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}S(O)_2(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(O)_2NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}S(O)_2NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uC(O)(CR^3R^{3a})_uC(O)(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uNR^{3b}(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uNR^{3b}C(O)(CR^3R^{3a})_uC(O)(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uC(O)(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uNR^{3b}C(O)(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(O)_2NR^{3b}C(O)(CR^3R^{3a})_w$, $(CR^3R^{3a})_uC(O)NR^{3b}S(O)_2(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(O)_2NR^{3b}C(O)NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uNR^{3b}C(O)(CR^3R^{3a})_uC(S)NR^{3b}(CR^3R^{3a})_w$, and
$(CR^3R^{3a})_uNR^{3b}C(S)(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$, wherein u+w or u+u+w total 0, 1, 2, 3, or 4, and the right side of G_1 is attached to ring G, provided that G_1 does not form an N-S, NCH_2N, NCH_2O, or NCH_2S bond with either group to which it is attached;

Z is selected from $(CR^3R^{3a})_{1-5}$, $(CR^3R^{3a})_{0-2}CR^3{=}CR^3(CR^3R^{3a})_{0-2}$,
$(CR^3R^{3a})_{0-2}C{\equiv}C(CR^3R^{3a})_{0-2}$, $(CR^3R^{3a})_uC(O)(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uC(O)O(CR^3R^{3a})_w$, $(CR^3R^{3a})_uOC(O)(CR^3R^{3a})_w$, $(CR^3R^{3a})_uO(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uNR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3e}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}C(O)(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uOC(O)NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}C(O)O(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uNR^{3b}C(O)NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}C(S)NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(CR^3R^{3a})_w$, $(CR^3R^{3a})_uS(O)(CR^3R^{3a})_w$, $(CR^3R^{3a})_uS(O)_2(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(O)NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}S(O)_2(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(O)_2NR^{3b}(CR^3R^{3a})_w$, $(CR^3R^{3a})_uNR^{3b}S(O)_2NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uC(O)(CR^3R^{3a})_uC(O)(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uNR^{3b}(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$,

$(CR^3R^{3a})_uNR^{3b}C(O)(CR^3R^{3a})_uC(O)(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uC(O)(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uNR^{3b}C(O)(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(O)_2NR^{3b}C(O)(CR^3R^{3a})_w$, $(CR^3R^{3a})_uC(O)NR^{3b}S(O)_2(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uS(O)_2NR^{3b}C(O)NR^{3b}(CR^3R^{3a})_w$,
$(CR^3R^{3a})_uNR^{3b}C(O)(CR^3R^{3a})_uC(S)NR^{3b}(CR^3R^{3a})_w$, and
$(CR^3R^{3a})_uNR^{3b}C(S)(CR^3R^{3a})_uC(O)NR^{3b}(CR^3R^{3a})_w$, wherein u+w or u+u+w total 0, 1, 2, 3, or 4, and the right side of Z is attached to ring A, provided that Z does not form an N-S, NCH_2N, NCH_2O, or NCH_2S bond with either group to which it is attached;

R^{1a}, at each occurrence, is selected from H, $-(CR^3R^{3a})_r-R^{1b}$, $-(CR^3R^{3a})_r-CR^3R^{1b}R^{1b}$, $-(CR^3R^{3a})_r-O-(CR^3R^{3a})_r-R^{1b}$, $-C_{2-6}$ alkenylene-R^{1b}, $-C_{2-6}$ alkynylene-R^{1b}, $-(CR^3R^{3a})_r-C(=NR^{1b})NR^3R^{1b}$, $NR^3CR^3R^{3a}R^{1c}$, $OCR^3R^{3a}R^{1c}$, $SCR^3R^{3a}R^{1c}$, $NR^3(CR^3R^{3a})_2(CR^3R^{3a})_tR^{1b}$, $C(O)NR^2(CR^3R^{3a})_2(CR^3R^{3a})_tR^{1b}$, $CO_2(CR^3R^{3a})_2(CR^3R^{3a})_tR^{1b}$, $O(CR^3R^{3a})_2(CR^3R^{3a})_tR^{1b}$, $S(CR^3R^{3a})_2(CR^3R^{3a})_tR^{1b}$, $S(O)_p(CR^3R^{3a})_rR^{1d}$, $O(CR^3R^{3a})_rR^{1d}$, $NR^3(CR^3R^{3a})_rR^{1d}$, $OC(O)NR^3(CR^3R^{3a})_rR^{1d}$, $NR^3C(O)NR^3(CR^3R^{3a})_rR^{1d}$, $NR^3C(O)O(CR^3R^{3a})_rR^{1d}$, and $NR^3C(O)(CR^3R^{3a})_rR^{1d}$, provided that R^{1a} forms other than an N-halo, N-S, O-O, or N-CN bond;

alternatively, when two R^{1a} groups are attached to adjacent atoms, together with the atoms to which they are attached they form a 5-7 membered ring consisting of: carbon atoms and 0-2 heteroatoms selected from the group consisting of N, O, and $S(O)_p$, this ring being substituted with 0-2 R^{4b} and having 0-3 ring double bonds;

R^{1b} is selected from H, C_{1-3} alkyl, F, Cl, Br, I, -CN, $-NO_2$, -CHO, $(CF_2)_rCF_3$, $(CR^3R^{3a})_rOR^2$, NR^2R^{2a}, $C(O)R^{2b}$, CO_2R^{2b}, $OC(O)R^2$, $(CF_2)_rCO_2R^{2a}$, $S(O)_pR^{2b}$, $NR^2(CH_2)_rOR^2$, $C(=NR^{2c})NR^2R^{2a}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NHR^2$, $NR^2C(O)_2R^{2a}$, $OC(O)NR^2R^{2a}$, $C(O)NR^2R^{2a}$, $C(O)NR^2R^{2b}$, $C(S)NR^2R^{2a}$, $C(O)NR^2(CH_2)_rOR^2$, $SO_2NR^2R^{2a}$, $NR^2SO_2R^2$, $C(O)NR^2SO_2R^2$, C_{3-6} carbocycle substituted with 0-2 R^{4b}, and 5-10 membered heterocycle consisting of carbon atoms and from 1-4 heteroatoms

selected from the group consisting of N, O, and $S(O)_p$, and substituted with 0-2 R^{4b}, provided that R^{1b} forms other than an O-O, N-halo, N-S, or N-CN bond;

R^{1c} is selected from H, $CH(CH_2OR^2)_2$, $C(O)R^{2c}$, $C(O)NR^2R^{2a}$, $S(O)R^2$, $S(O)_2R^2$, and $SO_2NR^2R^{2a}$;

R^{1d} is selected from C_{3-6} carbocycle substituted with 0-2 R^{4b} and 5-10 membered heterocycle consisting of carbon atoms and from 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$, and substituted with 0-2 R^{4b}, provided that R^{1d} forms other than an N-S bond;

R^2, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, $-(CH_2)_r-C_{3-10}$ carbocycle substituted with 0-2 R^{4b}, and $-(CH_2)_r$-5-10 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$, and substituted with 0-2 R^{4b};

R^{2a}, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, $-(CH_2)_r-C_{3-10}$ carbocycle substituted with 0-2 R^{4b}, and $-(CH_2)_r$-5-10 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$, and substituted with 0-2 R^{4b};

alternatively, NR^2R^{2a} forms a 4, 5, or 6 membered saturated, partially saturated, or unsaturated ring substituted with 0-2 R^{4b} and consisting of: carbon atoms, the nitrogen atom to which R^2 and R^{2a} are attached, and 0-1 additional heteroatoms selected from the group consisting of N, O, and $S(O)_p$;

R^{2b}, at each occurrence, is selected from CF_3, C_{1-4} alkoxy substituted with 0-2 R^{4b}, C_{1-6} alkyl substituted with 0-3 R^{4b}, $-(CH_2)_r-C_{3-13}$ carbocycle substituted with 0-2 R^{4b}, and $-(CH_2)_r$-5-10 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$, and substituted with 0-2 R^{4b};

R^{2c}, at each occurrence, is selected from CF_3, OH, C_{1-4} alkoxy, C_{1-6} alkyl, $-(CH_2)_r-C_{3-10}$ carbocycle substituted with 0-2 R^{4b}, and $-(CH_2)_r$-5-10 membered heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$, and substituted with 0-2 R^{4b};

R^3, at each occurrence, is selected from H, CH_3, CH_2CH_3, $CH_2CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $C(CH_3)_3$, benzyl, and phenyl;

R^{3a}, at each occurrence, is selected from H, CH_3, CH_2CH_3, $CH_2CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $C(CH_3)_3$, benzyl, and phenyl;

alternatively, NR^3R^{3a} forms a 5 or 6 membered saturated, partially unsaturated, or unsaturated ring consisting of: carbon atoms, the nitrogen atom to which R^3 and R^{3a} are attached, and 0-1 additional heteroatoms selected from the group consisting of N, O, and $S(O)_p$;

R^{3b}, at each occurrence, is selected from H, C_{1-6} alkyl substituted with 0-2 R^{1a}, C_{2-6} alkenyl substituted with 0-2 R^{1a}, C_{2-6} alkynyl substituted with 0-2 R^{1a}, -(C_{0-4} alkyl)-5-10 membered carbocycle substituted with 0-3 R^{1a}, and -(C_{0-4} alkyl)-5-10 membered heterocycle substituted with 0-3 R^{1a} and consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$;

R^{3c}, at each occurrence, is selected from CH_3, CH_2CH_3, $CH_2CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $C(CH_3)_3$, benzyl, and phenyl;

R^{3d}, at each occurrence, is selected from H, CH_3, CH_2CH_3, $CH_2CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, C_{1-4} alkyl-phenyl, and $C(=O)R^{3c}$;

R^{3e}, at each occurrence, is selected from H, SO_2NHR^3, $SO_2NR^3R^3$, $C(O)R^3$, $C(O)NHR^3$, $C(O)OR^{3f}$, $S(O)R^{3f}$, $S(O)_2R^{3f}$, C_{1-6} alkyl substituted with 0-2 R^{1a}, C_{2-6} alkenyl substituted with 0-2 R^{1a}, C_{2-6} alkynyl substituted with 0-2 R^{1a}, -(C_{0-4} alkyl)-5-10 membered carbocycle substituted with 0-3 R^{1a}, and -(C_{0-4} alkyl)-5-10 membered heterocycle substituted with 0-3 R^{1a} and consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$;

R^{3f}, at each occurrence, is selected from: C_{1-6} alkyl substituted with 0-2 R^{1a}, C_{2-6} alkenyl substituted with 0-2 R^{1a}, C_{2-6} alkynyl substituted with 0-2 R^{1a}, -(C_{0-4}

$(CH_2)_rSO_2NR^3R^{3a}$, $(CH_2)_rNR^3SO_2NR^3R^{3a}$, $(CH_2)_rNR^3SO_2$-C_{1-4} alkyl, $(CH_2)_rNR^3SO_2CF_3$, $(CH_2)_rNR^3SO_2$-phenyl, $(CH_2)_rS(O)_pCF_3$, $(CH_2)_rS(O)_p$-C_{1-4} alkyl, $(CH_2)_rS(O)_p$-phenyl, and $(CH_2)_r(CF_2)_rCF_3$;

R^{4c}, at each occurrence, is selected from H, C_{1-4} alkyl, $(CR^3R^{3a})_{r1}OR^2$, $(CR^3R^{3a})_{r1}F$, $(CR^3R^{3a})_{r1}Br$, $(CR^3R^{3a})_{r1}Cl$, $(CR^3R^{3a})_{r1}CN$, $(CR^3R^{3a})_{r1}NO_2$, $(CR^3R^{3a})_{r1}NR^2R^{2a}$, $(CR^3R^{3a})_rC(O)R^{2c}$, $(CR^3R^{3a})_{r1}NR^2C(O)R^{2b}$, $(CR^3R^{3a})_rC(O)NR^2R^{2a}$, $(CR^3R^{3a})_{r1}N{=}CHOR^3$, $(CR^3R^{3a})_rC(O)NH(CH_2)_2NR^2R^{2a}$, $(CR^3R^{3a})_{r1}NR^2C(O)NR^2R^{2a}$, $(CR^3R^{3a})_{r1}C(=NR^2)NR^2R^{2a}$, $(CR^3R^{3a})_{r1}NHC(=NR^2)NR^2R^{2a}$, $(CR^3R^{3a})_rSO_2NR^2R^{2a}$, $(CR^3R^{3a})_{r1}NR^2SO_2NR^2R^{2a}$, $(CR^3R^{3a})_{r1}NR^2SO_2$-$C_{1-4}$ alkyl, $(CR^3R^{3a})_rC(O)NHSO_2$-C_{1-4} alkyl, $(CR^3R^{3a})_{r1}NR^2SO_2R^5$, $(CR^3R^{3a})_rS(O)_pR^{5a}$, $(CR^3R^{3a})_r(CF_2)_rCF_3$, $(CR^3R^{3a})_r$-5-6 membered carbocycle substituted with 0-1 R^5, and a $(CR^3R^{3a})_r$-5-6 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and $S(O)_p$, and substituted with 0-1 R^5;

R^5, at each occurrence, is selected from H, C_{1-6} alkyl, =O, $(CH_2)_rOR^3$, F, Cl, Br, I, -CN, NO_2, $(CH_2)_rNR^3R^{3a}$, $(CH_2)_rC(O)R^3$, $(CH_2)_rC(O)OR^{3c}$, $(CH_2)_rNR^3C(O)R^{3a}$, $(CH_2)_rC(O)NR^3R^{3a}$, $(CH_2)_rNR^3C(O)NR^3R^{3a}$, $(CH_2)_rCH(=NOR^{3d})$, $(CH_2)_rC(=NR^3)NR^3R^{3a}$, $(CH_2)_rNR^3C(=NR^3)NR^3R^{3a}$, $(CH_2)_rSO_2NR^3R^{3a}$, $(CH_2)_rNR^3SO_2NR^3R^{3a}$, $(CH_2)_rNR^3SO_2$-C_{1-4} alkyl, $(CH_2)_rNR^3SO_2CF_3$, $(CH_2)_rNR^3SO_2$-phenyl, $(CH_2)_rS(O)_pCF_3$, $(CH_2)_rS(O)_p$-C_{1-4} alkyl, $(CH_2)_rS(O)_p$-phenyl, $(CF_2)_rCF_3$, phenyl substituted with 0-2 R^6, naphthyl substituted with 0-2 R^6, and benzyl substituted with 0-2 R^6;

R^{5a}, at each occurrence, is selected from C_{1-6} alkyl, $(CH_2)_rOR^3$, $(CH_2)_rNR^3R^{3a}$, $(CH_2)_rC(O)R^3$, $(CH_2)_rC(O)OR^{3c}$, $(CH_2)_rNR^3C(O)R^{3a}$, $(CH_2)_rC(O)NR^3R^{3a}$, $(CF_2)_rCF_3$, phenyl substituted with 0-2 R^6, naphthyl substituted with 0-2 R^6, and benzyl substituted with 0-2 R^6, provided that R^{5a} does not form a S-N or $S(O)_p$-C(O) bond;

R^6, at each occurrence, is selected from H, OH, $(CH_2)_rOR^2$, Cl, F, Br, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2b}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NR^2R^{2a}$, $C(=NH)NH_2$, $NHC(=NH)NH_2$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, and $NR^2SO_2C_{1-4}$ alkyl;

R^7, at each occurrence, is selected from H, OH, C_{1-6} alkyl, C_{1-6} alkyl-C(O)-, C_{1-6} alkyl-O-, $(CH_2)_n$-phenyl, C_{1-4} alkyl-OC(O)-, C_{6-10} aryl-O-, C_{6-10} aryl-OC(O)-, C_{6-10} aryl-CH_2C(O)-, C_{1-4} alkyl-C(O)O-C_{1-4} alkyl-OC(O)-, C_{6-10} aryl-C(O)O-C_{1-4} alkyl-OC(O)-, C_{1-6} alkyl-NH_2-C(O)-, phenyl-NH_2-C(O)-, and phenyl-C_{1-4} alkyl-C(O)-;

R^8, at each occurrence, is selected from H, C_{1-6} alkyl, and $(CH_2)_n$-phenyl;

alternatively, NR^7R^8 forms a 5-10 membered heterocyclic ring consisting of carbon atoms and 0-2 additional heteroatoms selected from the group consisting of N, O, and $S(O)_p$;

R^9, at each occurrence, is selected from H, C_{1-6} alkyl, and $(CH_2)_n$-phenyl;

n, at each occurrence, is selected from 0, 1, 2, and 3;

p, at each occurrence, is selected from 0, 1, and 2;

r, at each occurrence, is selected from 0, 1, 2, 3, 4, 5, and 6;

r1, at each occurrence, is selected from 1, 2, 3, 4, 5, and 6; and

t, at each occurrence, is selected from 0, 1, 2, and 3.

2. A compound according to Claim 1, wherein:

G is selected from the group: 2-aminomethyl-4-chloro-phenyl; 2-aminosulfonyl-4-chloro-phenyl; 2-amido-4-chloro-phenyl; 4-chloro-2-methylsulfonyl-phenyl; 2-aminosulfonyl-4-fluoro-phenyl; 2-amido-4-fluoro-phenyl; 4-fluoro-2-methylsulfonyl-phenyl; 2-aminomethyl-4-bromo-phenyl; 2-aminosulfonyl-4-bromo-phenyl; 2-amido-4-bromo-phenyl; 4-bromo-2-methylsulfonyl-phenyl; 2-aminomethyl-4-methyl-phenyl; 2-aminosulfonyl-4-methyl-phenyl; 2-amido-4-methyl-phenyl; 2-methylsulfonyl-4-methyl-phenyl; 4-fluoro-pyrid-2-yl; 4-bromo-pyrid-2-yl; 4-methyl-pyrid-2-yl; 5-fluoro-thien-2-yl; 5-bromo-thien-2-yl;

material that affects a sustained-release throughout the gastrointestinal tract and also serves to minimize physical contact between the combined active ingredients. Furthermore, the sustained-released component can be additionally enteric coated such that the release of this component occurs only in the intestine. Still another approach would involve the formulation of a combination product in which the one component is coated with a sustained and/or enteric release polymer, and the other component is also coated with a polymer such as a lowviscosity grade of hydroxypropyl methylcellulose (HPMC) or other appropriate materials as known in the art, in order to further separate the active components. The polymer coating serves to form an additional barrier to interaction with the other component.

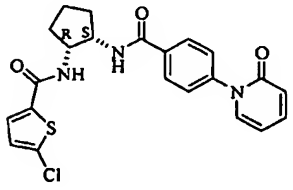
[00271] These as well as other ways of minimizing contact between the components of combination products of the present invention, whether administered in a single dosage form or administered in separate forms but at the same time by the same manner, will be readily apparent to those skilled in the art, once armed with the present disclosure.

[00272] Other features of the invention will become apparent in the course of the following descriptions of exemplary embodiments that are afforded for illustration of the invention and are not intended to be limiting thereof.

EXAMPLES

Example 1

(1*R*, 2*S*)-5-Chloro-thiophene-2-carboxylic acid {2-[4-(2-oxo-2H-pyridin-1-yl)-benzoylamino]-cyclopentyl}-amide

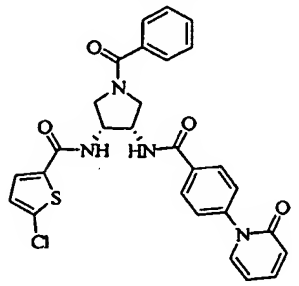


Part A

[00273] To a solution of (1*S*, 2*S*)-2-benzyloxycyclopentyl-amine (9.8 g, 51.2 mmol) in THF (150 mL) was added Et_3N (13.6 mL, 0.10 mol) and $(Boc)_2O$ (12.30 g, 56.4 mmol) sequentially at 0°C. The reaction mixture was stirred overnight at room

Example 85

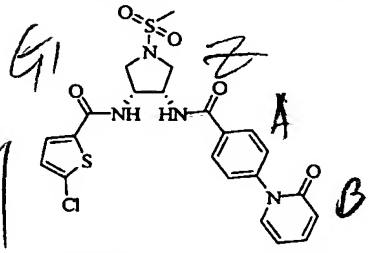
(3R,4S)-5-Chloro-thiophene-2-carboxylic acid {1-benzoyl-4-[4-(2-oxo-2H-pyridin-1-yl)-benzoylamino]-pyrrolidin-3-yl}-amide



[00422] MS *m/z* 547 ($[M+H]^+$).

Example 86

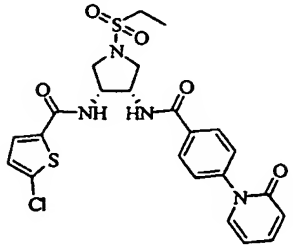
(3R,4S)-5-Chloro-thiophene-2-carboxylic acid {1-methanesulfonyl-4-[4-(2-oxo-2H-pyridin-1-yl)-benzoylamino]-pyrrolidin-3-yl}-amide



[00423] MS *m/z* 522 ($[M+H]^+$).

Example 87

(3R,4S)-5-Chloro-thiophene-2-carboxylic acid {1-ethanesulfonyl-4-[4-(2-oxo-2H-pyridin-1-yl)-benzoylamino]-pyrrolidin-3-yl}-amide

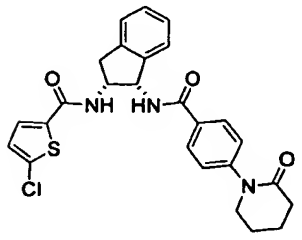


[00424] MS *m/z* 535 ($[M+H]^+$).

[00431] The product from Example 94 (0.22 g, 0.45 mmol) was stirred in THF (10 mL) at 0°C, Et_3N (0.095 mL, 0.68 mmol, 1.5 eq) was added followed by dropwise addition of ClCOOEt (0.051 mL, 0.54 mmol, 1.2 eq). The mixture was stirred at 0°C for 1h. It was filtered and rinsed with THF (10 mL). The THF solution was stirred at 0°C. MeOH (5 mL) was added followed by addition of $NaBH_4$ (0.25 mg, 6.6 mmol). The resulting mixture was stirred at 0°C for 1h. LC/MS showed completion of the reaction. EtOAc was added, it was washed with NH_4Cl, H_2O, and brine. The organic layer was concentrated, and purified by reverse phase HPLC (MeOH/H_2O in 0.1%TFA) to give pure title compound. LC-MS (ESI) 472.12, 474.04 (M+H), 488.06 (M+Na), t_R = 2.54 min (10-90% MeOH in H_2O with 0.1%TFA in a 4-min run).

Example 96

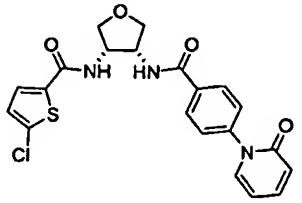
(1S,2R)-5-Chloro-thiophene-2-carboxylic acid {1-[4-(2-oxo-piperidin-1-yl)-benzoylamino]-indan-2-yl}-amide



[00432] Following a similar synthetic sequence as that of Example 59, the title compound was obtained. LC-MS (ESI) 494.07, 496.11 (M+H), t_R = 3.19 min (10-90% MeOH in H_2O with 0.1%TFA in a 4-min run).

Example 97

(3S,4R)-5-Chloro-thiophene-2-carboxylic acid {4-[4-(2-oxo-2H-pyridin-1-yl)-benzoylamino]-tetrahydro-furan-3-yl}-amide



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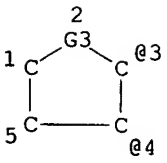

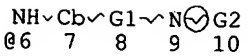

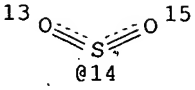

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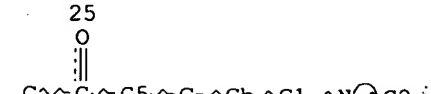

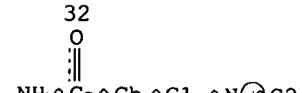

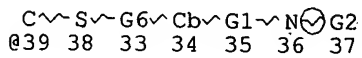

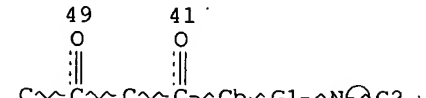

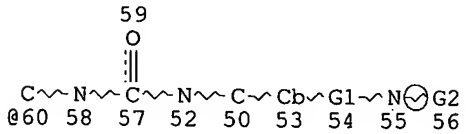

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REP G6=(0-1) N
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RING(S) ARE ISOLATED OR EMBEDDED
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STEREO ATTRIBUTES: NONE
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INVENTOR(S): Boehringer, Markus; Groebke Zbinden, Katrin; Haap, Wolfgang; Hilpert, Hans; Himber, Jacques; Humm, Roland; Iding, Hans; Knopp, Dietmar; Panday, Narendra; Ricklin, Fabienne; Stahl, Christoph Martin
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
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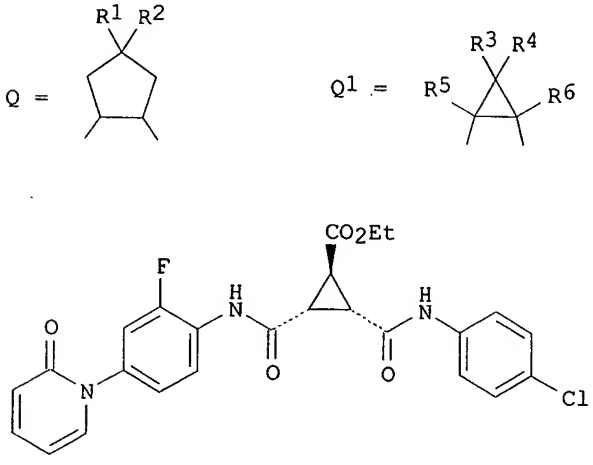
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2006048152	A2	20060511	WO 2005-EP11430	20051025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006106016	A1	20060518	US 2005-263497	20051031
PRIORITY APPLN. INFO.:			EP 2004-105465	A 20041103
			EP 2005-100132	A 20050112

ED Entered STN: 11 May 2006

GI



I

AB The preparation of a number of novel cyclic dicarboxamide derivs. D-NH-CO-E-A-B-R (A = CONH, NHCO; B = optionally substituted Ph, heteroaryl, heterocyclyl; R = optionally substituted Ph, heteroaryl, heterocyclyl; D = Ph, heteroaryl optionally substituted by 1-3 halo atoms; E = ring Q, Q1, CR7R8CR9R10; R1-R4 = independently H, halo, C1-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl; amino, OH, C1-6 alkoxy, etc. or C1R2 together = O, CR11R12; or R1-R2 or R3-R4 may form heterocyclic ring; R5, R6 = independently H, C1-6 alkyl, CN, C1-6 alkoxycarbonyl, OH, etc.; R7-R10 = independently H, C1-6 alkyl, OH; R11, R12 = independently H, C1-6 alkyl, C2-6 alkenyl), as well as physiol. acceptable salts thereof, are described as inhibitors of he coagulation factor Xa .(no data). Thus, 1-(4-chlorophenyl)pyrrole-2,5-dione (prepared in 2 steps from malic anhydride and 4-chloroaniline) underwent cycloaddn. with Et diazoacetate and amidation/ring opening with 1-(4-amino-3-fluorophenyl)-1H-pyridin-2-one to give title compound I. The preparation of 187 addnl.

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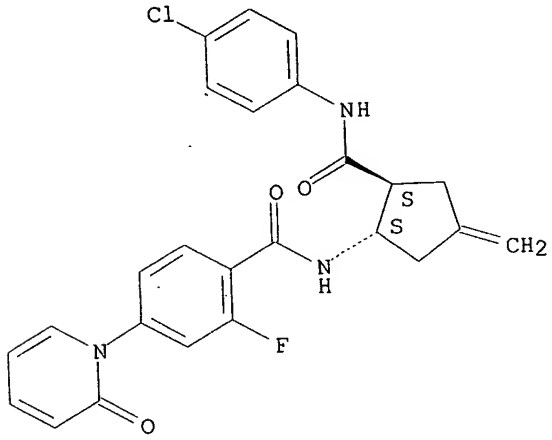
cyclopropanedicarboxamides and cyclopentanedicarboxmides is described.

IT **886838-03-5P 886838-04-6P 886838-05-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel cyclic dicarboxamide derivs. as coagulation factor Xa inhibitors)

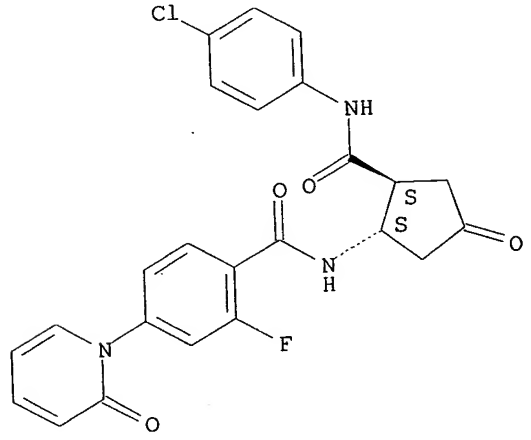
RN 886838-03-5 CAPLUS
CN Benzamide, N-[(1R,2R)-2-[[(4-chlorophenyl)amino]carbonyl]-4-methylenecyclopentyl]-2-fluoro-4-(2-oxo-1(2H)-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 886838-04-6 CAPLUS
CN Benzamide, N-[(1R,2R)-2-[[(4-chlorophenyl)amino]carbonyl]-4-oxocyclopentyl]-2-fluoro-4-(2-oxo-1(2H)-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

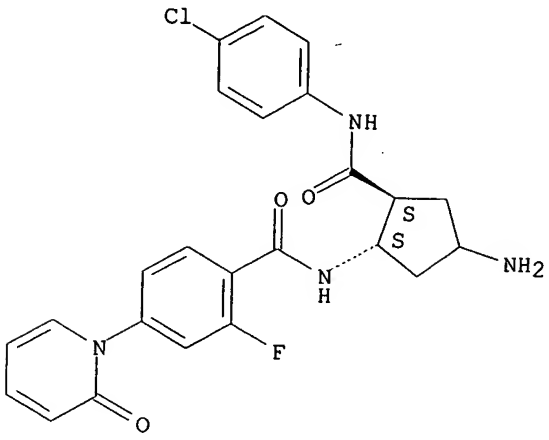


RN 886838-05-7 CAPLUS

Searched by Barb O'Bryen, STIC 2-2518

CN Benzamide, N-[(1R,2R)-4-amino-2-[[(4-chlorophenyl)amino]carbonyl]cyclopentyl]-2-fluoro-4-(2-oxo-1(2H)-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

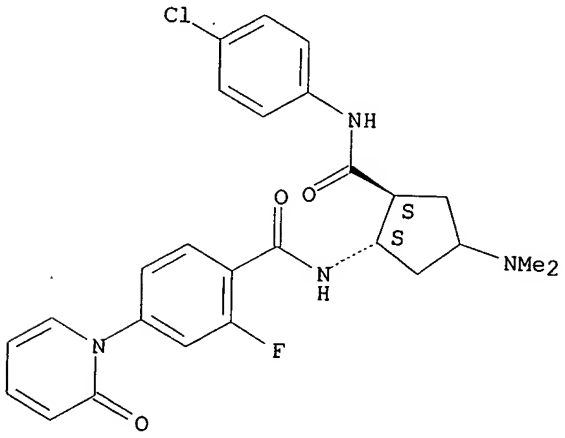
Relative stereochemistry.



IT **886838-06-8P 886838-07-9P 886838-08-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel cyclic dicarboxamide derivs. as coagulation factor Xa inhibitors)

RN 886838-06-8 CAPLUS
CN Benzamide, N-[(1R,2R)-2-[[(4-chlorophenyl)amino]carbonyl]-4-(dimethylamino)cyclopentyl]-2-fluoro-4-(2-oxo-1(2H)-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

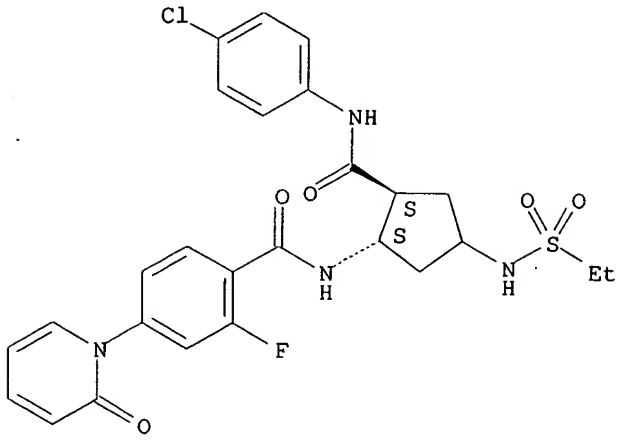
Relative stereochemistry.



RN 886838-07-9 CAPLUS
CN Benzamide, N-[(1R,2R)-2-[[(4-chlorophenyl)amino]carbonyl]-4-[(ethylsulfonyl)amino]cyclopentyl]-2-fluoro-4-(2-oxo-1(2H)-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

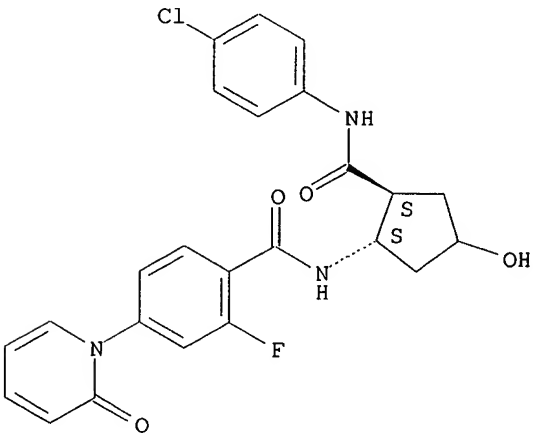
Searched by Barb O'Bryen, STIC 2-2518

Relative stereochemistry.



RN 886838-08-0 CAPLUS
CN Benzamide, N-[(1R,2R)-2-[[(4-chlorophenyl)amino]carbonyl]-4-hydroxycyclopentyl]-2-fluoro-4-(2-oxo-1(2H)-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L21 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:318485 CAPLUS
DOCUMENT NUMBER: 144:370081
TITLE: Carbostyril compounds and their preparation, pharmaceutical compositions, and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases
INVENTOR(S): Kuroda, Takeshi; Yamauchi, Takahito; Shinohara, Tomoichi; Oshima, Kunio; Kitajima, Chiharu; Nagao, Hitoshi; Fukushima, Tae; Tomoyasu, Takahiro; Ishiyama, Hironobu; Ohta, Kazuhide; Takano, Masaaki; Sumida,

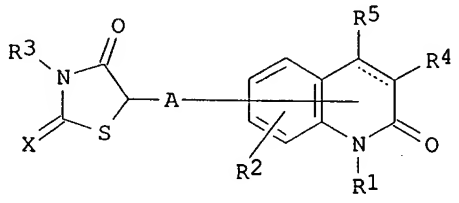
Takumi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035954	A1	20060406	WO 2005-JP18217	20050926

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2004-282814 A 20040928
ED Entered STN: 06 Apr 2006
GI



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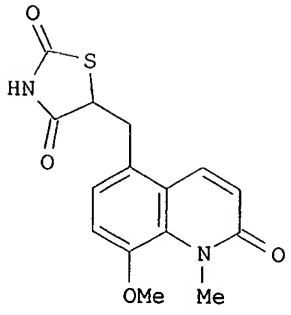
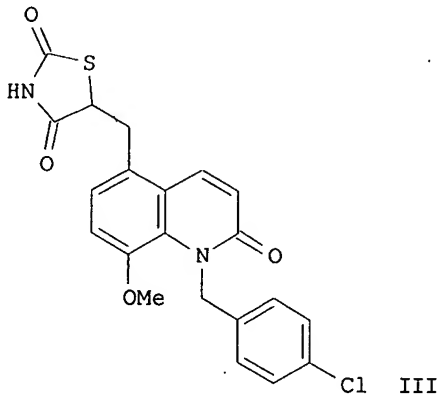


II



III

AB The invention provides carbostyril compds. represented by formula I or salts thereof, and their pharmaceutical compns., prepns. and use for transcription promotion activity of TFF2. The carbostyril compds. or

salts thereof, of the invention, induces the production of TFF, and thus is usable for the treatment and/or prevention of disorders such as alimentary tract diseases, oral diseases, upper respiratory tract diseases, respiratory tract diseases, eye diseases, cancers, and wounds. Compds. of formula I wherein A is a bond, a lower alkylene group, or a lower alkylidene group; X is O or S; the dotted line is a single or a double bond; R4 and R5 are independently H, with the provision that dotted line is a double bond; or R4-R5 may be linked together to form a CH=CH-CH=CH group; R1 is H, lower alkyl, (un)substituted Ph lower alkyl, cycloalkyl lower alkyl, phenoxy lower alkyl, naphthyl lower alkyl, lower alkoxy lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl, (un)substituted pyridyl lower alkyl, cyano lower alkyl, etc.; R2 is H, lower alkoxy, lower alkyl, carboxy lower alkyl, lower alkoxycarbonyl lower alkoxy, HO, (un)substituted Ph lower alkoxy, (un)substituted piperidinyl(oxy) lower alkyl, lower alkenyloxy, (un)substituted pyridyl lower alkoxy, lower alkynyloxy, Ph lower alkenyloxy, Ph lower alkynyloxy, (un)substituted furyl lower alkoxy, (un)substituted oxadiazolyl lower alkyl, or (un)substituted thiazolyl lower alkoxy, etc.; R3 is H, lower (HO-substituted) alkyl, cycloalkyl lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl, (un)substituted Ph lower alkyl, naphthyl lower alkyl, (un)substituted furyl lower alkyl, (un)substituted thiazolyl lower alkyl, (un)substituted tetrazolyl, or (un)substituted benzothienyl, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by heterocyclization of 2-chloro-3-(8-methoxy-1-methyl-2-oxo-1,2-dihydroquinolin-5-yl)propionic acid with thiourea. All the invention compds. were evaluated for the transcription promoting activity of hTFF2. From the assay, it was determined that some invention compds., including compound III, showed TFF2 production activity of 1000% or higher at a test compound concentration of 10-6M concentration Some invention compds.

showed a TFF2 production promoting activity of 300% or higher at a test compound

concentration is less than 10-5M and preferably more than 10-6M. Example compound

III and a few other compds. showed >20% healing ratio of the ulcerated area, which indicated that these compds. may be effective in preventing and/or treating mucosal injury.

IT **882011-48-5P 882011-59-8P**

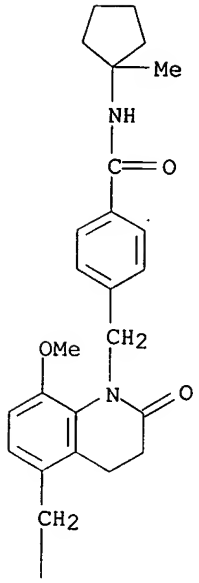
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of carbostyril compds. and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases)

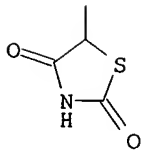
RN 882011-48-5 CAPLUS

CN Benzamide, 4-[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-3,4-dihydro-8-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-N-(1-methylcyclopentyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RN 882011-59-8 CAPLUS
CN Benzamide, 4-[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-3,4-dihydro-8-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-N-(tetrahydro-2-oxo-3-furanyl)- (9CI) (CA INDEX NAME)

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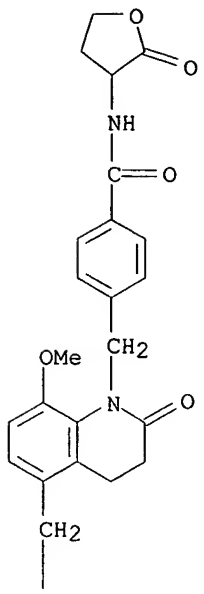
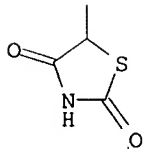
PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

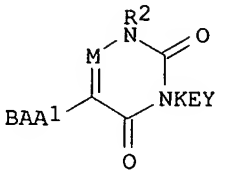
L21 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:264241 CAPLUS
DOCUMENT NUMBER: 144:312107
TITLE: Preparation of uracils useful for selective inhibition of the coagulation cascade
INVENTOR(S): South, Michael S.; Jones, Darin E.; Rueppel, Melvin L.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: U.S., 70 pp., Cont.-in-part of U.S. Ser. No. 574,207.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7015230	B1	20060321	US 2000-717051	20001120

Searched by Barb O'Bryen, STIC 2-2518

US 6458952	B1	20021001	US 2000-574207	20000518
US 2003023086	A1	20030130	US 2002-215292	20020808
PRIORITY APPLN. INFO.:			US 1999-134957P	P 19990519
			US 2000-574207	A2 20000518

OTHER SOURCE(S): MARPAT 144:312107
ED Entered STN: 22 Mar 2006
GI



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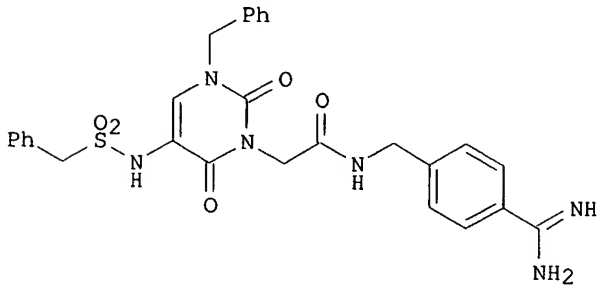


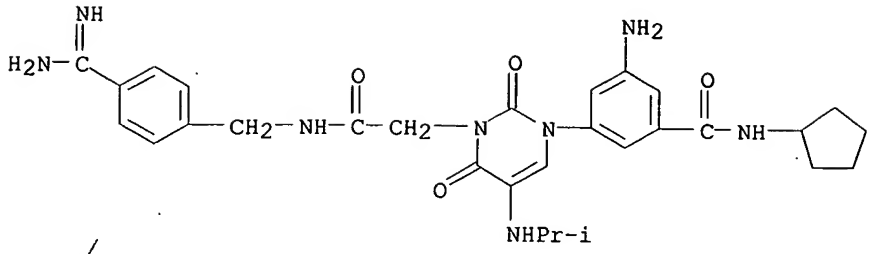
II

AB Title compds. [I; B = H, trialkylsilyl, (substituted) alkyl, alkenyl, alkynyl; A = bond; A1 = NH, NOH; M = R1C; R1 = H, cyano, halo, haloalkyl, haloalkoxy, haloalkylthio, amino, alkyl, alkenyl, amino, alkoxy, amidino, OH, SH, alkylthio, etc.; R2 = H, alkyl, alkenyl, halo, (substituted) Ph, etc.; K = (CR41R42)n; n = 1, 2; R41, R42 = H, halo, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, haloalkyl; E = bond, CO, CS, SO2, (substituted) CONH, etc.; Y = (substituted) 4-amidinophenylmethyl], were prepared Thus, title compound (II) [preparation starting from 1-benzyl-5-nitro-2,4(1H,3H)-pyrimidinedione given] inhibited Factor Xa with IC50 = 25.6 μM.

IT **374814-71-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of uracils useful for selective inhibition of the coagulation cascade)

RN 374814-71-8 CAPLUS

CN 1(2H)-Pyrimidineacetamide, 3-[3-amino-5-[(cyclopentylamino)carbonyl]phenyl]-N-[[4-(aminoiminomethyl)phenyl]methyl]-3,6-dihydro-5-[(1-methylethyl)amino]-2,6-dioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1290266 CAPLUS
DOCUMENT NUMBER: 144:22804
TITLE: Preparation of pyrrolidine derivatives as CB1 receptor antagonists
INVENTOR(S): Moritani, Yasunori; Furukubo, Shigeru; Tsuboi, Yasunori; Okagaki, Chieko; Oku, Akira; Hirano, Naomitsu
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115977	A1	20051208	WO 2005-JP10197	20050527

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

JP 2004-160059	A	20040528
US 2004-575409P	P	20040601
JP 2005-7833	A	20050114
US 2005-644992P	P	20050121

OTHER SOURCE(S): MARPAT 144:22804
ED Entered STN: 09 Dec 2005
GI

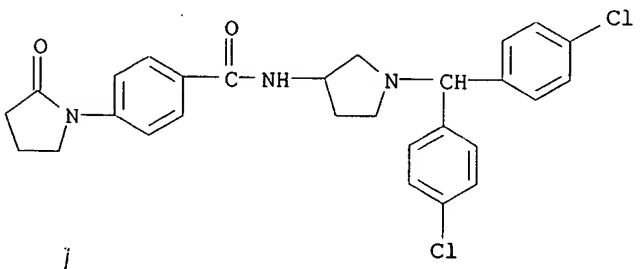
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 and R2 independently = (un)substituted aryl, heteroaryl or together they may form benzocycloheptane; R3 and R4 independently = H, OH, hydroxyalkyl, etc. or together they may form an oxo group; R5 = H or alkyl; Y = single bond, O or -NR7-; R6 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R7 = alkyl or alkyloxycarbonylalkyl with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of CB1 receptor. Thus, e.g., II was prepared by benzoylation of (3R)-1-[bis-(4-chlorophenyl)methyl]-3-aminopyrrolidine (preparation given) with 4-(trifluoromethoxy)benzoyl chloride. I as antagonists of CB1 receptor should prove useful in the treatment of diseases such as but not limited to depression, migraine and obesity. Pharmaceutical compns. comprising I are disclosed.

IT **870625-48-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine derivs. as CB1 receptor antagonists)

RN 870625-48-2 CAPLUS

CN Benzamide, N-[1-[bis(4-chlorophenyl)methyl]-3-pyrrolidinyl]-4-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

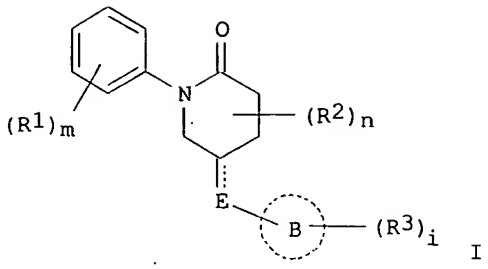
L21 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1070470 CAPLUS
DOCUMENT NUMBER: 143:367213
TITLE: Preparation of 1-phenyl-2-piperidinone derivatives as p38 MAP kinase inhibitors and inhibitors of TNF-α production
INVENTOR(S): Takahashi, Kanji; Yamamoto, Shingo; Kishima, Hideomi; Sugitani, Masafumi; Uegaki, Akihiko
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 87 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005272321	A2	20051006	JP 2004-85124	20040323

PRIORITY APPLN. INFO.: JP 2004-85124 20040323
OTHER SOURCE(S): MARPAT 143:367213
ED Entered STN: 06 Oct 2005
GI

Searched by Barb O'Bryen, STIC 2-2518



I

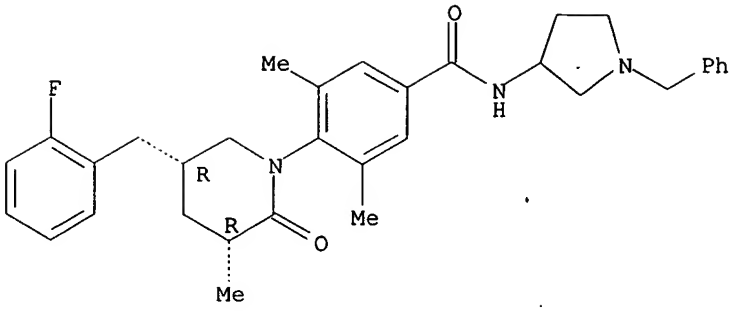
AB The title compds. (I) [R1 = each (un)substituted C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, halo, OR4, NR5R6, NR7COR8, CONR9R10, CO2R11, SO2NR12R13, NR14SO2R15, NR16CO2R17, COR18, cyano, Cycl; R4-R18 = H, (un)substituted C1-8 alkyl, Cycl; Cycl = each (un)substituted C5-10 mono- or bicyclic carbocyclyl or 5- to 10-membered mono- or bicyclic heterocyclyl containing 1-5 N atom(s), 1-2 O atom(s) and/or one S atom; R2 = C1-8 alkyl; E = CH2, CH(OH), :CH, :C(OH), CO, each (un)substituted NH or C(:NOH); ring B = benzene, naphthalene, or pyridine ring; R3 = (un)substituted C1-8 alkyl, halo, NR47CONR48R49; R47-R49 = H, (un)substituted mono- or bicyclic heterocyclyl containing 1-5 N atom(s), 1-2 O atom(s) and/or one S atom; m = an integer of 1-5; n = an integer of 0-3; i = an integer of 0-5], salts, N-oxides, or hydrates thereof, or prodrugs of these compds. are prepared Pharmaceutical compns. containing the compds., salts, N-oxides, or hydrates thereof, or prodrugs of these compds. as p38 MAP kinase inhibitors or TNF-α production inhibitors are also disclosed. These compns. are useful for the prevention and/or treatment of cytokine-mediated diseases such as inflammatory diseases (in particular chronic articular rheumatism), circulatory system diseases, respiratory system diseases, metabolic diseases, endocrine diseases, infections, central nervous system diseases, urol. disease, and/or cancers. The compds. I inhibit p38 MAP kinase without inducing cytochrome P 450 and are safely used as drugs. Thus, 17.63 g (2R,4R)-5-[(4-Bromo-2,6-dimethylphenyl)amino]-2-[(2-fluorobenzyl)methyl]-4-methyl-5-oxopentyl methanesulfonate was dissolved in 62 mL DMF, treated with 6.68 g 28% NaOMe/MeOH at 0°, and stirred at room temperature for 1.5 h to give 13.6 g (3R,5R)-1-(4-bromo-2,6-dimethylphenyl)-5-(2-fluorobenzyl)-3-methylpiperidin-2-one. (3R,5R)-1-(4-((1E)-4-[ethyl(methyl)amino]-1-butenyl)-2,6-dimethylphenyl)-5-(2-fluorobenzyl)-3-methyl-2-piperidinone hydrochloride showed IC50 of 20 nM μg/mL against recombinant human p38α MAP kinase. An tablet and ampule formulation (3R,5R)-1-(2,6-dimethyl-4-[(1E)-4-(1-pyrrolidinyl)-1-butenyl]phenyl)-5-(2-fluorobenzyl)-3-methyl-2-piperidinone hydrochloride were described.

IT **866046-54-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-phenyl-2-piperidinone derivs. as p38 MAP kinase inhibitors and TNF-α production inhibitors)

RN 866046-54-0 CAPLUS

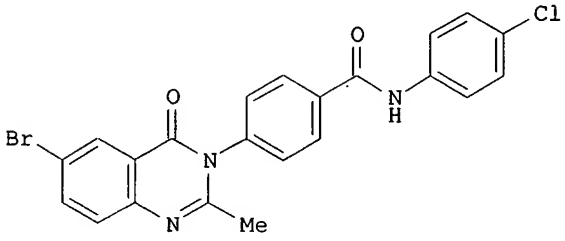
CN Benzamide, 4-[(3R,5R)-5-[(2-fluorophenyl)methyl]-3-methyl-2-oxo-1-piperidinyl]-3,5-dimethyl-N-[1-(phenylmethyl)-3-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L21 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1052218 CAPLUS
DOCUMENT NUMBER: 144:331380
TITLE: Synthesis of 3-phenyl-4-(3H)-quinazolinone derivative as privileged templates and for biological screening
AUTHOR(S): Bayomi, Ashraf Hassan
CORPORATE SOURCE: Organic Chemistry Department, Faculty of Pharmacy, Al-Azhar University, Nasr City, Egypt
SOURCE: Afinidad (2005), 62(517), 249-254
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos e Ingenieros del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 02 Oct 2005
GI



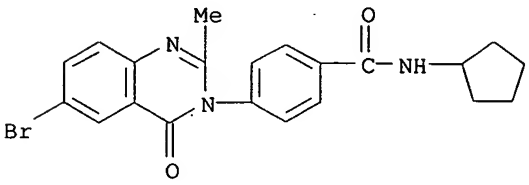
I

AB A series of 5-bromo-3-[4-(N-substituted carboxamoyl) phenyl]-4(3H)-, quinazolinones, e.g., I, have been prepd starting from 6-bromo-2-methyl-benzoxazin-4-one. These compds. have been tested for anticonvulsant activity and some of them found protective against pentylenetetrazol induced seizures in mice.

IT **880353-06-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and anticonvulsant activity of carboxamoyl(phenyl)quinazolinone derivative via condensation of bromo(methyl)benzoxazinone with (amino)benzamides)

Searched by Barb O'Bryen, STIC 2-2518

RN 880353-06-0 CAPLUS
CN Benzamide, 4-(6-bromo-2-methyl-4-oxo-3(4H)-quinazolinyl)-N-cyclopentyl- (9CI) (CA INDEX NAME)

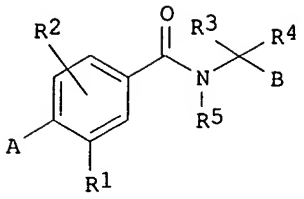


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:979645 CAPLUS
DOCUMENT NUMBER: 143:286176
TITLE: Preparation of phenylcarboxamides as Factor Xa inhibitors
INVENTOR(S): Gerlach, Kai; Pfau, Roland; Priepke, Henning; Wienen, Wolfgang; Schuler-Metz, Annette Maria; Dahmann, Georg; Nar, Herbert; Handschuh, Sandra Ruth; Hauel, Norbert; Kauffmann-Hefner, Iris
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 239 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082895	A1	20050909	WO 2005-EP1796	20050222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 102004009835	A1	20050915	DE 2004-102004009835	20040228
US 2005203078	A1	20050915	US 2005-56413	20050211
PRIORITY APPLN. INFO.:			DE 2004-102004009835A	20040228
			DE 2004-102004060984A	20041218

OTHER SOURCE(S): MARPAT 143:286176
ED Entered STN: 08 Sep 2005
GI



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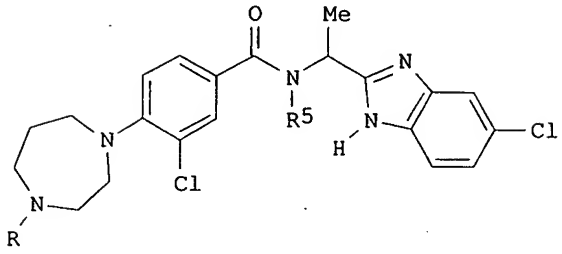


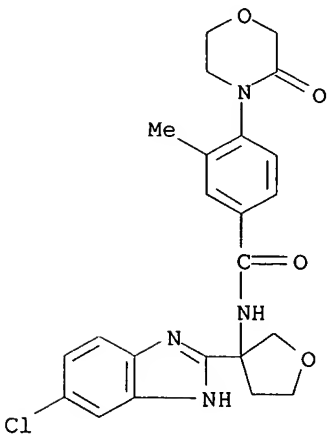
II

AB Title compds. I [A = heterocycle; R1 = H, halo, alkyl, etc.; R2 = H, halo, alkyl; R3 = H, alkenyl, alkynyl, etc.; R4 = H, alkyl; R5 = H, alkyl; B = (un)substituted benzoimidazole, indole, pyrimidazole, etc.;] and their pharmaceutically acceptable salts and formulations were prepared For example, TFA mediated deprotection of Boc-diazepine II (R = Boc) afforded the free amine II (R = H) in 77% yield. Compds. I are claimed to be Factor Xa inhibitors (no data provided).

IT **864296-00-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylcarboxamides as Factor Xa inhibitors)

RN 864296-00-4 CAPLUS

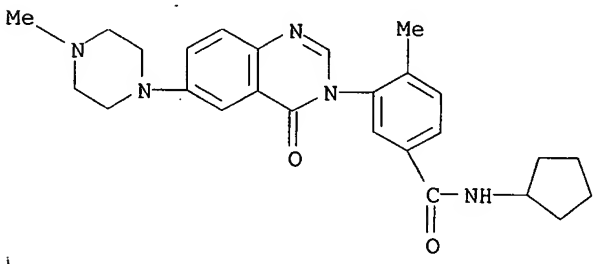
CN Benzamide, N-[3-(5-chloro-1H-benzimidazol-2-yl)tetrahydro-3-furanyl]-3-methyl-4-(3-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Searched by Barb O'Bryen, STIC 2-2518

IT **851845-40-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(4-oxoquinazolin-3-yl)benzamides for treating conditions mediated by cytokines)
RN 851845-40-4 CAPLUS
CN Benzamide, N-cyclopentyl-4-methyl-3-[6-(4-methyl-1-piperazinyl)-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:324003 CAPLUS
DOCUMENT NUMBER: 142:373692
TITLE: A preparation of pyrrolidine and piperidine derivatives, useful as factor Xa inhibitors
INVENTOR(S): Shi, Yan; Stein, Philip D.; Han, Wei; Gungor, Timur
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005032472	A2	20050414	WO 2004-US32010	20040929
WO 2005032472	A3	20050811		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005119266	A1	20050602	US 2004-952204	20040928
PRIORITY APPLN. INFO.:			US 2003-507533P	P 20031001
			US 2004-952204	A 20040928

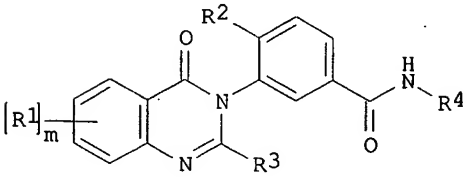
OTHER SOURCE(S): MARPAT 142:373692
ED Entered STN: 15 Apr 2005

Searched by Barb O'Bryen, STIC 2-2518

L21 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:409493 CAPLUS
DOCUMENT NUMBER: 142:482052
TITLE: Preparation of 3-(4-oxoquinazolin-3-yl)benzamides for treating conditions mediated by cytokines
INVENTOR(S): Brown, Dearg Sutherland; Nash, Ian Alun
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042502	A1	20050512	WO 2004-GB4474	20041022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004285749	A1	20050512	AU 2004-285749	20041022
PRIORITY APPLN. INFO.:			GB 2003-24790 A	20031024
			WO 2004-GB4474 W	20041022

OTHER SOURCE(S): MARPAT 142:482052
ED Entered STN: 13 May 2005
GI

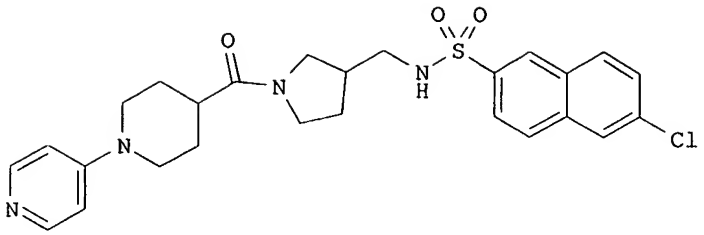


I

AB The title compds. I [m = 0-2; R1 = OH, halo, CF3, OCF3, heterocyclyl, heterocyclyloxy, etc.; R2 = halo, CF3, alkyl; R3 = H, halo or alkyl; R4 = (un)substituted cycloalkyl; or their pharmaceutically-acceptable salts], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared E.g., a multi-step synthesis of N-cyclopropyl-4-methyl-3-[6-(4-methyl-1,4-diazepan-1-yl)-4-oxoquinazolin-3(4H)-yl]benzamide, starting from 4-methyl-3-nitrobenzoyl chloride and cyclopropylamine, was given. The ability compds. I to inhibit the enzyme p38 kinase, and TNFα production was assessed (biol. data given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

Searched by Barb O'Bryen, STIC 2-2518

GI



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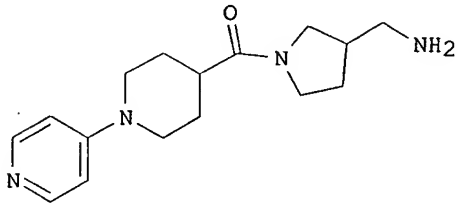


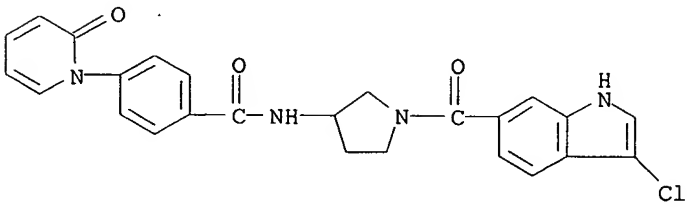
II

AB The invention relates to a preparation of pyrrolidine and piperidine derivs., useful as factor Xa inhibitors (anticoagulants). The invention compds. are useful as inhibitors of trypsin-like serine proteases, specifically factor Xa. For instance, naphthalenesulfonic acid amide derivative I was prepared via amidation of 6-chloronaphthalene-2-sulfonyl chloride by (aminomethyl)pyrrolidine derivative II with a yield of 10%. Preferred compds. of the invention were found to exhibit Ki values of ≤1 μM.

IT **849633-61-0P 849633-64-3P 849633-65-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine and piperidine derivs. useful as factor Xa inhibitors)

RN 849633-61-0 CAPLUS

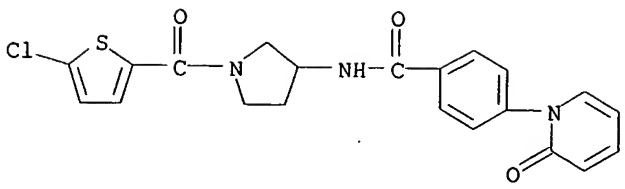
CN Benzamide, N-[1-[(3-chloro-1H-indol-6-yl)carbonyl]-3-pyrrolidinyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)



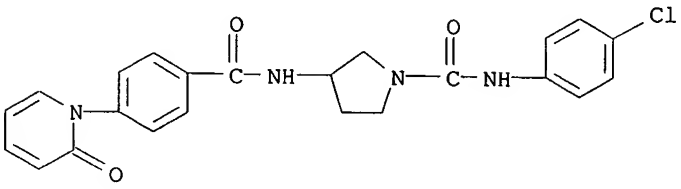
RN 849633-64-3 CAPLUS

CN Benzamide, N-[1-[(5-chloro-2-thienyl)carbonyl]-3-pyrrolidinyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)

Searched by Barb O'Bryen, STIC 2-2518



RN 849633-65-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-(4-chlorophenyl)-3-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]- (9CI) (CA INDEX NAME)



L21 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:177838 CAPLUS
DOCUMENT NUMBER: 142:280057
TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase
INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; Mcgee, Kevin F.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 968 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

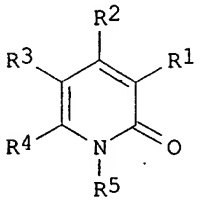
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018557	A2	20050303	WO 2004-US26193	20040813
WO 2005018557	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,

SN, TD, TG

NL 1026826	A1	20050216	NL 2004-1026826		20040812
US 2005176775	A1	20050811	US 2004-918826		20040813
PRIORITY APPLN. INFO.:			US 2003-494959P	P	20030813

OTHER SOURCE(S): MARPAT 142:280057
ED Entered STN: 03 Mar 2005
GI



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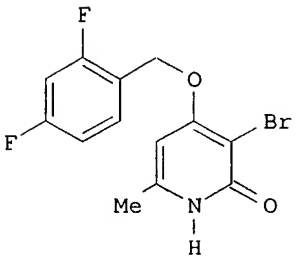
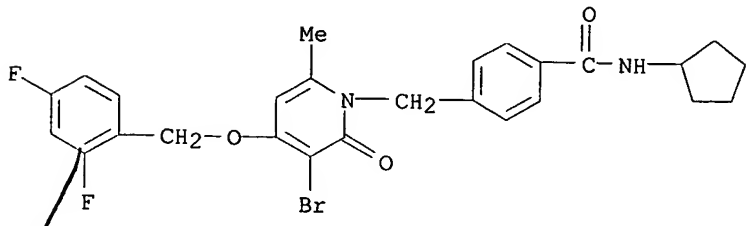


II

AB Disclosed are title compds. I and their pharmaceutically acceptable salts [R1 H, halo, NO2, CHO, CN, (un)substituted hydroxy/dihydroxy/aryl/alkyl, etc.; R2 = H, OH, halo, (un)substituted alkyl, alkoxy, etc.; R3 = H, halo, (un)substituted aryl/alkoxycarbonyl, arylalkyl, arylthio, etc.; R4 = H, (un)substituted alkyl; R5 = H, aryl, arylalkyl, etc.]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity. Pharmaceutical compns. containing the compds., methods of preparing the compds. and methods of treatment using the compds. are also disclosed. For example, II was prepared, in 3 steps, reacting 4-hydroxy-6-methylpyrone with NH4OH, followed by O-alkylation with 2,4-difluorobenzyl chloride, and bromination with Br2 in AcOH/H2O. Selected I inhibited MKK6-activated human p38α kinase phosphorylation of a biotinylated substrate or human p38α-induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 μM to 25 μM.

IT **586375-47-5P**, 3-Bromo-4-[(2,4-difluorobenzyl)oxy]-6-methyl-1-[4-[(cyclopentylamino)carbonyl]benzyl]pyridin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of pyridinones as modulators of p38 MAP

kinase and TNF activity)
RN 586375-47-5 CAPLUS
CN Benzamide, 4-[[3-bromo-4-[(2,4-difluorophenyl)methoxy]-6-methyl-2-oxo-1(2H)-pyridinyl]methyl]-N-cyclopentyl- (9CI) (CA INDEX NAME)



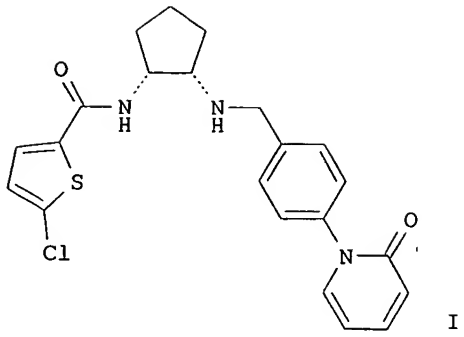
L21 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:802720 CAPLUS
DOCUMENT NUMBER: 141:314159
TITLE: Preparation of lactam-containing cyclic diamines and derivatives as factor Xa inhibitors for treating thromboembolic disorders
INVENTOR(S): Qiao, Jennifer X.; Wang, Tammy C.; Wang, Gren Z.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082687	A1	20040930	WO 2004-US8088	20040317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004204454	A1	20041014	US 2004-801469	20040316
EP 1603572	A1	20051214	EP 2004-757541	20040317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.:

US 2003-455733P	P	20030318
US 2003-508232P	P	20031002
US 2004-801469	A	20040316
WO 2004-US8088	W	20040317

OTHER SOURCE(S): MARPAT 141:314159
ED Entered STN: 01 Oct 2004
GI



I

AB Title compds. of formula G-G1-M-Z-A-B [wherein M = central ring selected from (un)substituted optionally fused cyclopentane, or cyclohexane, (un)substituted tetrahydropyran, piperidine, piperidin-2-one, pyrrolidine, etc,; G = benzofused ring; G1 = (CH2)1-5 and derivs., (un)substituted CH2:CH2, C(:O), NH, NHCO SO2NH, SO2NHCO, all of the above optionally substituted on one or both ends with alkylene groups, etc., with provisos; Z = NHCO, CONH, Z = (CH2)1-5 and derivs., (un)substituted NHCO, CONH, CO, NHC(:S)NH, S, SO, SO2, SONH, SO2NH, all of the above optionally substituted on one or both ends with alkylene groups, etc.; A = (un)substituted carbo- or heeterocycle; B = lactam or sulfam bound to A ring through an optional linking group attached to the N, pharmaceutically acceptable salts] were prepared as inhibitors of trypsin-like serine proteases, specifically factor Xa, for treating thromboembolic disorders. For example, I was prepared by reductive amination of 4-(2-oxo-2H-pyridin-1-yl)benzaldehyde (preparation given) with (1R,2S)-5-Chlorothiophene-2-carboxylic acid (2-aminocyclopentyl)amide in CH2Cl2 in the presence of NaBH(OAc)3/AcOH. Selected invention compds. displayed Ki ≤ 10 μM in a spectrophotometrical assay using purified human factor Xa.

IT **766552-45-8P**, (1R,2S)-5-Chlorothiophene-2-carboxylic acid [2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-46-9P**, (1S,2R)-5-Chlorothiophene-2-carboxylic acid [2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-47-0P**, (1R,2S)-3-Chloro-1H-indole-6-carboxylic acid [2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-48-1P**, (1R,2S)-5-Chlorothiophene-2-carboxylic acid [2-[4-(2-oxopiperidin-1-yl)benzoylamino]cyclopentyl]amide **766552-49-2P**, (1R,2S)-3-Chloro-1H-indole-6-carboxylic acid [2-[4-(2-oxopiperidin-1-yl)benzoylamino]cyclopentyl]amide **766552-50-5P**, (1S,2R)-4-Methoxybenzenecarboxylic acid [2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-51-6P**, (1S,2R)-5-Chloro-1H-indole-2-carboxylic acid [2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-52-7P**, (1R,2S)-5-Chloro-1H-indole-2-carboxylic acid [2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-57-2P**, (1R,2S)-N-(5-Chloropyridin-2-yl)-N'-[2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]oxalamide **766552-58-3P**, (1S,3R,4S)-3-[[(3-Chloro-1H-indol-6-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentanecarboxylic acid methyl ester **766552-59-4P**, (1S,3R,4S)-3-[[(5-Chlorothien-2-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentanecarboxylic acid methyl ester **766552-60-7P**, (1R,2S,4S)-5-Chloro-thiophene-2-carboxylic acid [4-(2-methoxyethylcarbamoyl)-2-[4-(2-oxo-2H-pyridin-1-

yl)benzoylamino]cyclopentyl]amide **766552-62-9P**,
(1R,2S)-3-Chloro-1H-indole-6-carboxylic acid [2-[4-(3-oxomorpholin-4-yl)benzoylamino]cyclopentyl]amide **766552-64-1P**,
(1R,2S)-3-Chloro-1H-indole-6-carboxylic acid [2-[3-methyl-4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-66-3P**,
3-Chloro-1H-indole-6-carboxylic acid [(1R,2S)-2-[4-(2-oxoazepan-1-yl)benzoylamino]cyclopentyl]amide **766552-91-4P**,
4-Chlorobenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-92-5P**,
4-Chloro-3-fluorobenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-93-6P**,
4-Chloro-3-methylbenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-94-7P**,
4-Chloro-3-methoxybenzenecarboxylic acid N-[(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-95-8P**,
5-Methylthiophene-2-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-96-9P**,
1H-Indole-6-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766552-98-1P**,
6-Chloro-1H-indole-2-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-01-9P**,
N-[(1R,2S)-2-[(5-Chlorothiophen-2-ylmethyl)amino]cyclopentyl]-4-(2-oxo-2H-pyridin-1-yl)benzamide **766553-05-3P**, 5-Chlorothiophene-2-carboxylic acid [(1R,2S,4S)-4-(dimethylcarbamoyl)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-06-4P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S,4S)-4-(cyclopropylcarbamoyl)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-07-5P**, 5-Chlorothiophene-2-carboxylic acid [(1R,2S,4S)-4-[(morpholin-4-yl)carbonyl]-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-10-0P**,
6-Chlorobenzo[b]thiophene-2-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-12-2P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[2-fluoro-4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-13-3P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyrazin-1-yl)benzoylamino]cyclopentyl]amide **766553-16-6P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[3-methyl-4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-17-7P**,
(3R,4S)-3-[[(5-Chlorothien-2-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid (9H-fluoren-9-yl)methyl ester **766553-18-8P**, (3R,4S)-3-[[(3-Chloro-1H-indol-6-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid (9H-fluoren-9-yl)methyl ester **766553-19-9P**,
3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766553-20-2P**,
5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-acetyl-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766553-21-3P**,
3-Chloro-1H-indole-5-carboxylic acid N-[(3R,4S)-1-acetyl-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766553-22-4P**,
5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766553-23-5P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-(2,2-dimethylpropionyl)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766553-24-6P**,
5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]-1-propionylpyrrolidin-3-yl]amide **766553-25-7P**,
5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-(2-methoxyacetyl)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766553-26-8P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-(isobutanoyl)-4-[4-(2-oxo-2H-pyridin-1-

yl)benzoylamino]pyrrolidin-3-yl]amide **766553-27-9P**,
5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-benzoyl-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766553-28-0P**,
5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-methylsulfonyl-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766553-29-1P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-(ethanesulfonyl)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766553-30-4P**,
5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]-1-(propan-2-ylsulfonyl)pyrrolidin-3-yl]amide **766553-31-5P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]-1-[(pyrrolidin-1-yl)carbonyl]pyrrolidin-3-yl]amide **766553-32-6P**,
(3R,4S)-3-[[(3-Chloro-1H-indol-5-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid ethyl ester **766553-33-7P**, (3R,4S)-3-[[(5-Chlorothien-2-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid methyl ester **766553-34-8P**, (3R,4S)-3-[[(5-Chlorothien-2-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid ethyl ester **766553-35-9P**, (3R,4S)-3-[[(5-Chlorothien-2-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid 2-methoxyethyl ester **766553-36-0P**, (1S,3R,4S)-3-[[(5-Chlorothien-2-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentanecarboxylic acid **766553-37-1P**, 5-Chlorothiophene-2-carboxylic acid [(1R,2S,4S)-4-hydroxymethyl-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-39-3P**,
5-Chlorothiophene-2-carboxylic acid N-[(3S,4R)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766553-40-6P**,
6-Chloronaphthalene-2-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-41-7P**,
5-Chloro-3a,7a-dihydrobenzo[b]thiophene-2-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-42-8P**, 3-Chlorobenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-43-9P**,
2-Chlorobenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-44-0P**,
3,4-Dichlorobenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-45-1P**,
2,4-Dichlorobenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-46-2P**,
4-Chloro-2-methylbenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-47-3P**,
4-Methoxybenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-48-4P**,
3-Methoxybenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-49-5P**,
2-Chlorothiazole-5-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-50-8P**,
N-[(1R,2S)-2-[3-(4-Chlorophenyl)ureido]cyclopent-3-yl]-4-(2-oxo-2H-pyridin-1-yl)benzamide **766553-51-9P**, [2,2']Bithienyl-5-carboxylic acid [(1S,2R)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766553-66-6P 766553-67-7P 766553-68-8P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[4-(3-oxomorpholin-4-yl)benzoylamino]cyclopentyl]amide **766553-69-9P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[4-(2-oxoazepan-1-yl)benzoylamino]cyclopentyl]amide **766553-70-2P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[4-(1,1-dioxo-1,2-thiazinan-2-yl)benzoylamino]cyclopentyl]amide **766553-71-3P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[4-(2-oxo-[1,3]oxazinan-3-

yl)benzoylamino]cyclopentyl]amide **766553-72-4P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[4-(2-
oxotetrahydropyrimidin-1-yl)benzoylamino]cyclopentyl]amide
766553-73-5P, 5-Chlorothiophene-2-carboxylic acid
[(1R,2S)-2-[4-(4-methyl-2-oxopiperazin-1-yl)benzoylamino]cyclopentyl]amide
766553-74-6P, 5-Chlorothiophene-2-carboxylic acid
[(1R,2S)-2-[4-(2-oxopyrrolidin-1-yl)benzoylamino]cyclopentyl]amide
766553-75-7P, 5-Chlorothiophene-2-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(3-oxomorpholin-4-yl)benzoylamino]cyclopentyl]amide
766553-76-8P, 5-Chlorothiophene-2-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(2-oxo-2H-pyrazin-1-yl)benzoylamino]cyclopentyl]ami
de **766553-77-9P**, 5-Chlorothiophene-2-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(2-oxoazepan-1-yl)benzoylamino]cyclopentyl]amide
766553-78-0P, 5-Chlorothiophene-2-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(1,1-dioxo-[1,2]thiazinan-2-
yl)benzoylamino]cyclopentyl]amide **766553-79-1P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[2-fluoro-4-(2-oxo-
[1,3]oxazinan-3-yl)benzoylamino]cyclopentyl]amide **766553-80-4P**,
5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[2-fluoro-4-(2-
oxotetrahydropyrimidin-1-yl)benzoylamino]cyclopentyl]amide
766553-81-5P, 5-Chlorothiophene-2-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(2-oxopiperazin-1-yl)benzoylamino]cyclopentyl]amide
766553-82-6P, 5-Chlorothiophene-2-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(2-oxopyrrolidin-1-yl)benzoylamino]cyclopentyl]amid
e **766553-83-7P**, 3-Chloro-1H-indole-6-carboxylic acid
[(1R,2S)-2-[4-(4-methyl-2-oxopiperazin-1-yl)benzoylamino]cyclopentyl]amide
766553-84-8P, 3-Chloro-1H-indole-6-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(2-oxopiperidin-1-yl)benzoylamino]cyclopentyl]amide
766553-85-9P, 3-Chloro-1H-indole-6-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(3-oxomorpholin-4-yl)benzoylamino]cyclopentyl]amide
766553-86-0P, 3-Chloro-1H-indole-6-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(2-oxo-2H-pyrazin-1-yl)benzoylamino]cyclopentyl]ami
de **766553-87-1P**, 3-Chloro-1H-indole-6-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(2-oxoazepan-1-yl)benzoylamino]cyclopentyl]amide
766553-88-2P, 3-Chloro-1H-indole-6-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(2-oxo-[1,3]oxazinan-3-
yl)benzoylamino]cyclopentyl]amide **766553-89-3P**,
3-Chloro-1H-indole-6-carboxylic acid [(1R,2S)-2-[2-fluoro-4-(2-
oxotetrahydropyrimidin-1-yl)benzoylamino]cyclopentyl]amide
766553-90-6P, 3-Chloro-1H-indole-6-carboxylic acid
[(1R,2S)-2-[2-fluoro-4-(4-methyl-2-oxopiperazin-1-
yl)benzoylamino]cyclopentyl]amide **766553-91-7P**,
5-Chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[[4-(2-oxo-2H-pyrazin-1-
yl)benzoyl]amino]cyclopentyl]thiophene-2-carboxamide **766553-92-8P**
, 5-Chloro-N-[(1R,2S,4S)-2-[3-chloro-4-(2-oxo-2H-pyridin-1-yl)benzamido]-4-
(hydroxymethyl)cyclopentyl]thiophene-2-carboxamide **766553-93-9P**,
5-Chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[4-(3-
oxomorpholino)benzoylamino]cyclopentyl]thiophene-2-carboxamide
766553-94-0P, 5-Chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[4-(2-
oxotetrahydropyrimidin-1(2H)-yl)benzoylamino]cyclopentyl]thiophene-2-
carboxamide **766553-95-1P**, 5-Chloro-N-[(1R,2S,4S)-4-
(hydroxymethyl)-2-[4-(4-methyl-2-oxopiperazin-1-
yl)benzoylamino]cyclopentyl]thiophene-2-carboxamide **766553-96-2P**
, 5-Chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[4-(2-oxopyrrolidin-1-
yl)benzoylamino]cyclopentyl]thiophene-2-carboxamide **766553-97-3P**
, 5-Chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[4-(2-oxo-1,3-oxazinan-3-
yl)benzoylamino]cyclopentyl]thiophene-2-carboxamide **766553-98-4P**
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yl)benzoylamino]cyclopentyl]thiophene-2-carboxamide **766553-99-5P**
, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-

[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-00-1P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[2-fluoro-4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-01-2P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[4-(2-oxo-2H-pyrazin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-02-3P**, 5-Chloro-N-[(3R,4S)-1-[2-(methylamino)-2-oxoethyl]-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]-thiophene-2-carboxamide **766554-03-4P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-methyl-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-04-5P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-methyl-4-[2-fluoro-4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-05-6P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-methyl-4-[4-(2-oxo-2H-pyrazin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-06-7P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-methyl-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-07-8P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766554-08-9P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-4-[4-(2-oxo-2H-pyrazin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766554-11-4P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[2-fluoro-4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-12-5P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-4-[2-fluoro-4-(2-oxo-2H-pyridin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766554-13-6P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766554-16-9P**, 5-Chloro-1H-indole-2-carboxylic acid N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[2-fluoro-4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-17-0P**, 5-Chloro-1H-indole-2-carboxylic acid N-[(3R,4S)-4-[2-fluoro-4-(2-oxo-2H-pyridin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766554-18-1P**, 5-Chloro-1H-indole-2-carboxylic acid N-[(3R,4S)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766554-21-6P**, Methyl 2-[(3R,4S)-3-[[(2-chlorothien-5-yl)carbonyl]amino]-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-1-yl]acetate **766554-22-7P**, (3R,4S)-3-[[(5-Chlorothien-2-yl)carbonyl]amino]-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid methyl ester **766554-23-8P**, N-[(3R,4S)-1-(2-Amino-2-oxoethyl)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]-5-chlorothiophene-2-carboxamide **766554-24-9P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-acetyl-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-25-0P**, 5-Chloro-N-[(3R,4S)-1-[2-(morpholino)-2-oxoethyl]-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766554-26-1P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-1-methylsulfonyl-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-27-2P**, (3R,4S)-3-[[(5-Chlorothien-2-yl)carbonyl]amino]-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid dimethylamide **766554-28-3P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-4-[4-(2-oxopiperidin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766554-30-7P**, (3R,4S)-3-[[(3-Chloro-1H-indol-6-yl)carbonyl]amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid methyl ester **766554-31-8P**, (3R,4S)-3-[[(3-Chloro-1H-indol-6-yl)carbonyl]amino]-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid methyl ester **766554-32-9P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-1-acetyl-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-33-0P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-1-acetyl-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidin-3-

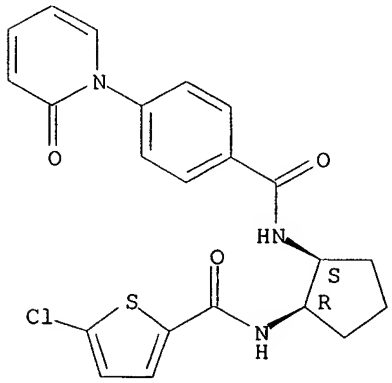
yl]amide **766554-34-1P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-1-methylsulfonyl-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-35-2P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-1-methylsulfonyl-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-36-3P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-1-(dimethylcarbamoyl)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-37-4P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-1-(dimethylcarbamoyl)-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766554-38-5P**, 3-Chloro-1H-indole-6-carboxylic acid N-[(3R,4S)-4-[4-(2-oxopiperidin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766555-09-3P**, 5-Chlorothiophene-2-carboxylic acid N-[1-methyl-2-oxo-(3S,4S)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766555-10-6P**, 5-Chlorothiophene-2-carboxylic acid N-[1-methyl-2-oxo-(3S,4S)-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766555-11-7P**, 5-Chlorothiophene-2-carboxylic acid N-[1-methyl-2-oxo-(3S,4S)-4-[4-(3-oxomorpholin-4-yl)benzoylamino]pyrrolidin-3-yl]amide **766555-16-2P**, 5-Chlorothiophene-2-carboxylic acid N-[1-methyl-5-oxo-(3R,4R)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766555-17-3P**, 5-Chlorothiophene-2-carboxylic acid N-[1-methyl-5-oxo-(3R,4R)-4-[4-(2-oxopiperidin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766555-18-4P**, 5-Chlorothiophene-2-carboxylic acid N-[1-methyl-5-oxo-(3R,4R)-4-[4-(2-oxo-2H-pyrazin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766555-23-1P**, 5-Chlorothiophene-2-carboxylic acid [(1R,2S)-2-[4-(2-oxopiperazin-1-yl)benzoylamino]cyclopentyl]amide **766555-24-2P**, 3-Chloro-1H-indole-6-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyrazin-1-yl)benzoylamino]cyclopentyl]amide **766555-25-3P**, 3-Chloro-1H-indole-6-carboxylic acid [(1R,2S)-2-[4-(2-oxo-[1,3]oxazinan-3-yl)benzoylamino]cyclopentyl]amide **766555-26-4P**, 3-Chloro-1H-indole-6-carboxylic acid [(1R,2S)-2-[4-(2-oxotetrahydropyrimidin-1-yl)benzoylamino]cyclopentyl]amide **766555-27-5P**, 3-Chloro-1H-indole-6-carboxylic acid [(1R,2S)-2-[4-(2-oxopiperazin-1-yl)benzoylamino]cyclopentyl]amide **766555-28-6P**, 3-Chloro-1H-indole-6-carboxylic acid [(1R,2S)-2-[4-(1,1-dioxo-1,2-thiazinan-2-yl)benzoylamino]cyclopentyl]amide **766556-04-1P**, N-[2-[2-(5-Chlorothiophen-2-yl)-2-oxoethyl]cyclopentyl]-4-(2-oxo-2H-pyrazin-1-yl)benzamide **766556-05-2P**, N-[2-[2-(5-Chlorothiophen-2-yl)-2-oxoethyl]cyclopentyl]-4-(2-oxo-2H-pyridin-1-yl)benzamide **766556-08-5P**, N-[4-[2-(5-Chlorothiophen-2-yl)-2-oxoethyl]-1-methylsulfonylpyrrolidin-3-yl]-4-(2-oxo-2H-pyridin-1-yl)benzamide **766556-13-2P**, N-[(3R,4S)-1-Acetyl-4-[[4-(3-oxomorpholino)benzoyl]amino]pyrrolidin-3-yl]-5-chlorothiophene-2-carboxamide **766556-14-3P**, 5-Chloro-N-[(3R,4S)-4-[[4-(3-oxomorpholino)benzoyl]amino]-1-propionylpyrrolidin-3-yl]thiophene-2-carboxamide **766556-15-4P**, 5-Chloro-N-[(3R,4S)-4-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]-1-propionylpyrrolidin-3-yl]thiophene-2-carboxamide **766556-16-5P**, 5-Chloro-N-[(3R,4S)-1-(3-methylbutanoyl)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-17-6P**, 5-Chloro-N-[(3R,4S)-1-(3-methylbutanoyl)-4-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-18-7P**, 5-Chloro-N-[(3R,4S)-1-(3-methylbutanoyl)-4-[[4-(3-oxomorpholino)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-19-8P**, 5-Chloro-N-[(3R,4S)-1-(2-cyclopropylacetyl)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-20-1P**, 5-Chloro-N-[(3R,4S)-1-(2-cyclobutylacetyl)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide

766556-21-2P, 5-Chloro-N-[(3R,4S)-1-(2-cyclopentylacetyl)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-22-3P**, 5-Chloro-N-[(3R,4S)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]-1-[2-(tetrahydro-2H-pyran-4-yl)acetyl]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-23-4P**, (3R,4S)-Ethyl 3-[[(2-chlorothien-5-yl)carbonyl]amino]-4-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]pyrrolidine-1-carboxylate **766556-24-5P**, (3R,4S)-Ethyl 3-[[(2-chlorothien-5-yl)carbonyl]amino]-4-[[4-(3-oxomorpholino)benzoyl]amino]pyrrolidine-1-carboxylate **766556-25-6P**, 5-Chloro-N-[(3R,4S)-1-isopropyl-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-26-7P**, 5-Chloro-N-[(3R,4S)-1-isopropyl-4-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-27-8P**, 5-Chloro-N-[(3R,4S)-1-isopropyl-4-[[4-(3-oxomorpholino)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-28-9P**, 5-Chloro-N-[(3R,4S)-1-isopropyl-4-[[4-(2-oxo-2H-pyrazin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-29-0P**, 5-Chloro-N-[(3R,4S)-1-(2-hydroxyethyl)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-30-3P**, 5-Chloro-N-[(3R,4S)-1-(2-methoxyethyl)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-31-4P** **766556-32-5P**, (3R,4S)-3-[[(2-Chlorothien-5-yl)carbonyl]amino]-N-cyclopropyl-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidine-1-carboxamide **766556-33-6P** **766556-34-7P** **766556-35-8P**, 5-Chloro-N-[(3R,4S)-1-cyclopropyl-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-36-9P**, 5-Chloro-N-[(3R,4S)-1-(2-hydroxypropan-2-yl)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-37-0P**, 5-Chloro-N-[(3R,4S)-1-[1-(hydroxymethyl)cyclopropyl]-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-38-1P**, 5-Chloro-N-[(3R,4S)-1-(ethylsulfonyl)-4-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-39-2P**, 5-Chloro-N-[(3R,4S)-1-(ethylsulfonyl)-4-[[4-(3-oxomorpholino)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-40-5P**, 5-Chloro-N-[(3R,4S)-1-(methylsulfonyl)-4-[[4-(3-oxomorpholino)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-41-6P**, 5-Chloro-N-[(3R,4S)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]-1-(phenylsulfonyl)pyrrolidin-3-yl]thiophene-2-carboxamide **766556-42-7P**, 5-Chloro-N-[(3R,4S)-1-(2-hydroxyethylsulfonyl)-4-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]pyrrolidin-3-yl]thiophene-2-carboxamide **766556-43-8P**, 5-Chloro-N-[(1R,2S,4S)-4-(methoxymethyl)-2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl]thiophene-2-carboxamide **766556-44-9P**, 5-Chloro-N-[(1R,2S,4S)-4-(ethoxymethyl)-2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl]thiophene-2-carboxamide **766556-45-0P**, 5-Chloro-N-[(1R,2S,4S)-4-[[2-(morpholino)ethoxy]methyl]-2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl]thiophene-2-carboxamide **766556-46-1P**, 5-Chloro-N-[(1R,2S,4S)-4-hydroxy-2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl]thiophene-2-carboxamide **766556-47-2P**, 5-Chloro-N-[(1R,2S,4S)-4-methyloxy-2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl]thiophene-2-carboxamide **766556-48-3P**, 5-Chloro-N-[(1R,2S,4S)-4-ethoxy-2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl]thiophene-2-carboxamide **766556-49-4P**, 5-Chloro-N-[(1R,2S,4S)-4-(morpholinomethoxy)-2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl]thiophene-2-carboxamide **766556-50-7P** **766556-53-0P**, N-[(1R,2S)-2-[3-(4-Chlorophenyl)ureido]cyclopentyl]-4-(2-oxo-2H-pyridin-1-yl)benzamide **766557-68-0P**, 5-Chlorothiophene-2-carboxylic acid N-[(3R,4S)-4-[4-(2-oxo-2H-pyridin-1-

yl)benzoylamino]pyrrolidin-3-yl]amide **766557-69-1P**,
3-Chloro-1H-indole-6-carboxylic acid N-[(3S,4R)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]tetrahydrofuran-3-yl]amide **766557-70-4P**,
4-Chloro-2-fluorobenzenecarboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide **766557-71-5P**,
5-Chloro-N-[(1R,2S,4S)-4-(2-hydroxyethyl)-2-[[4-(2-oxoazepan-1-yl)benzoyl]amino]cyclopentyl]thiophene-2-carboxamide **766557-72-6P**, 5-Chlorothiophene-2-carboxylic acid N-[1-methyl-2-oxo-(3S,4S)-4-[4-(2-oxo-2H-pyrazin-1-yl)benzoylamino]pyrrolidin-3-yl]amide **766557-73-7P**, 5-Chlorothiophene-2-carboxylic acid N-[1-methyl-5-oxo-(3R,4R)-4-[4-(3-oxomorpholin-4-yl)benzoylamino]pyrrolidin-3-yl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; preparation of lactam-containing cyclic diamines and derivs. as factor Xa inhibitors for treating thromboembolic disorders)

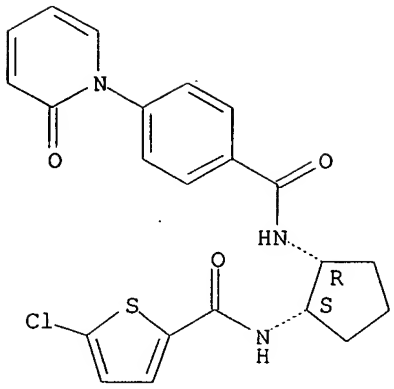
RN 766552-45-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



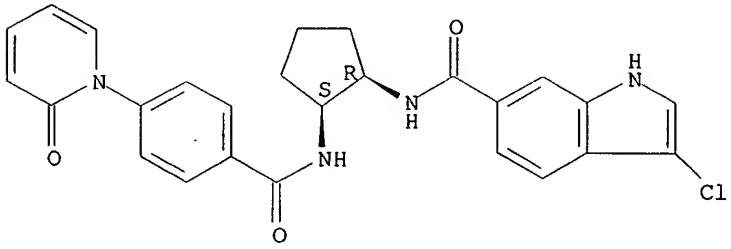
RN 766552-46-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1S,2R)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



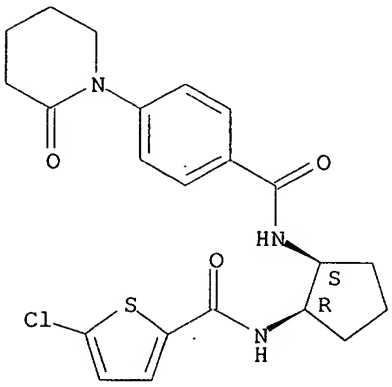
RN 766552-47-0 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766552-48-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

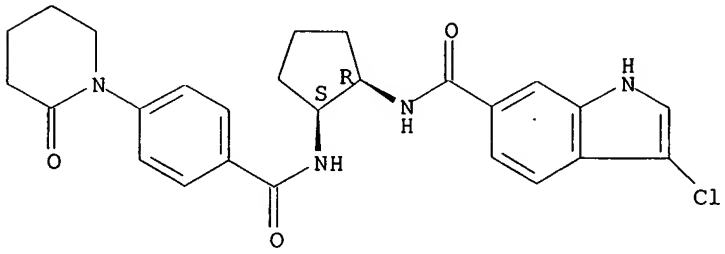


RN 766552-49-2 CAPLUS

Searched by Barb O'Bryen, STIC 2-2518

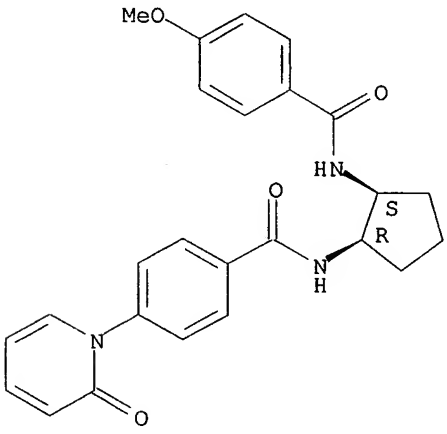
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



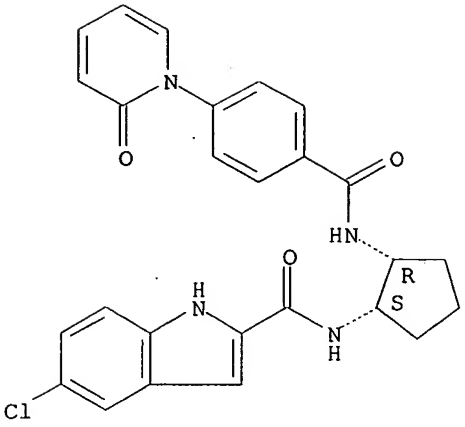
RN 766552-50-5 CAPLUS
CN Benzamide, N-[(1R,2S)-2-[(4-methoxybenzoyl)amino]cyclopentyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



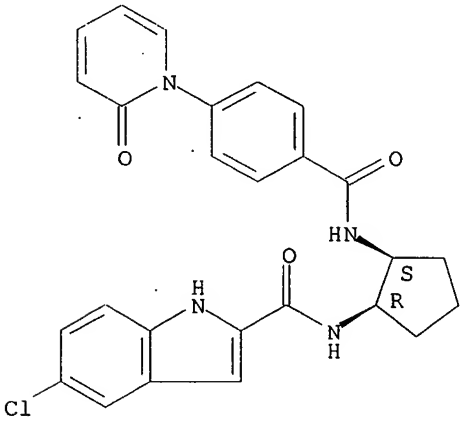
RN 766552-51-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



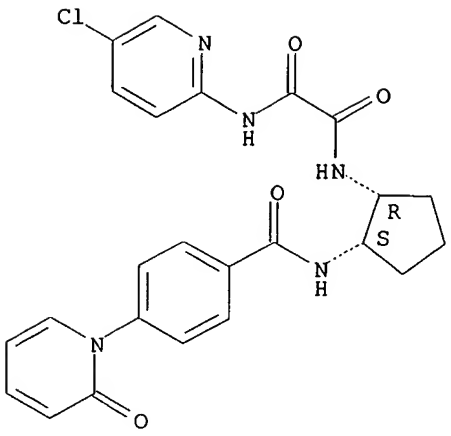
RN 766552-52-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



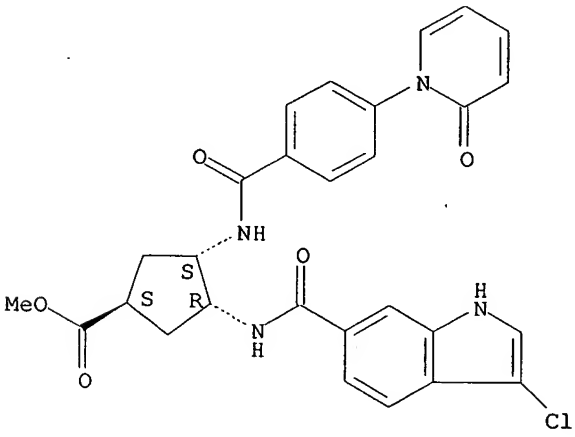
RN 766552-57-2 CAPLUS
CN Ethanediamide, N-(5-chloro-2-pyridinyl)-N'-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



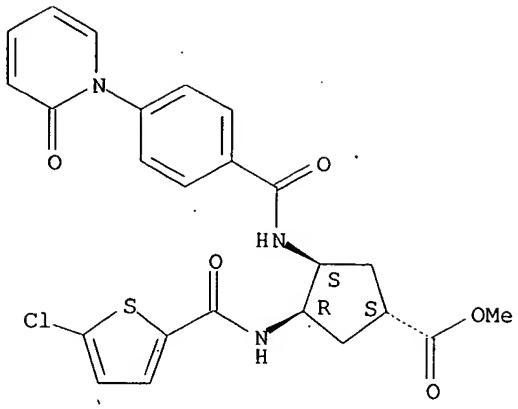
RN 766552-58-3 CAPLUS
CN Cyclopentanecarboxylic acid, 3-[[(3-chloro-1H-indol-6-yl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, methyl ester, (1S,3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



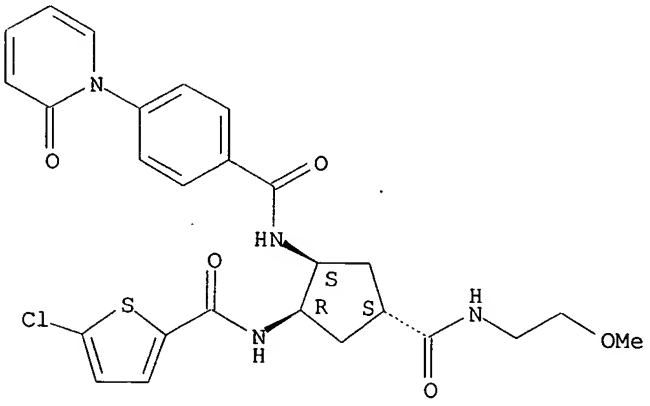
RN 766552-59-4 CAPLUS
CN Cyclopentanecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, methyl ester, (1S,3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



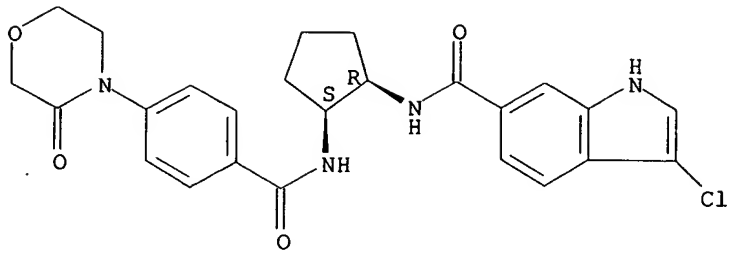
RN 766552-60-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-[[(2-methoxyethyl)amino]carbonyl]-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



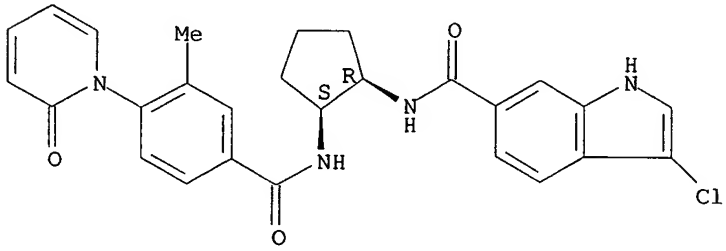
RN 766552-62-9 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



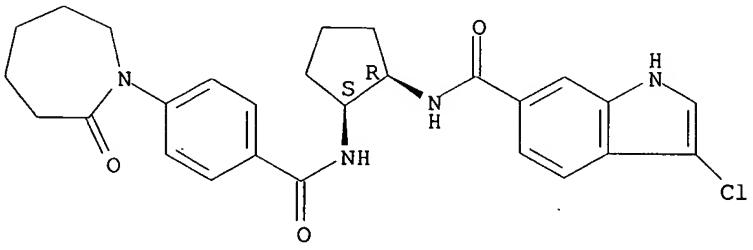
RN 766552-64-1 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[3-methyl-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766552-66-3 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(hexahydro-2-oxo-1H-azepin-1-yl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

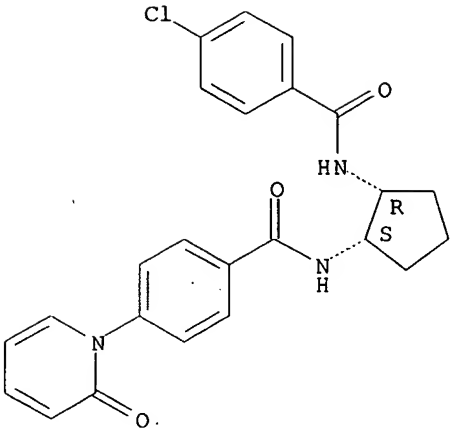
Absolute stereochemistry.



RN 766552-91-4 CAPLUS
CN Benzamide, N-[(1S,2R)-2-[(4-chlorobenzoyl)amino]cyclopentyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)

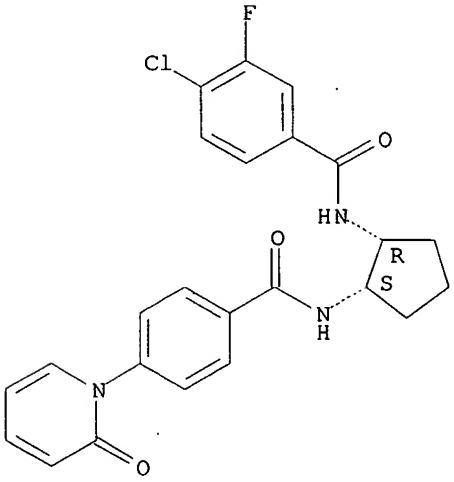
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



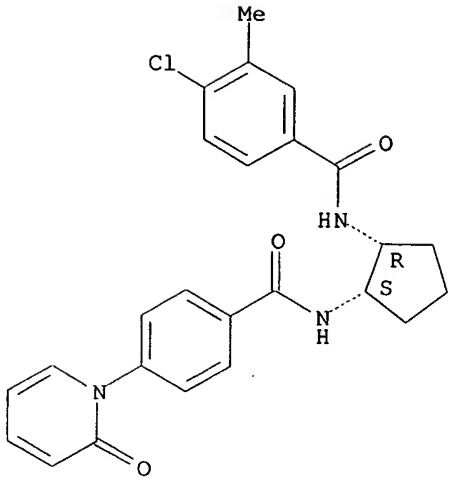
RN 766552-92-5 CAPLUS
CN Benzamide, 4-chloro-3-fluoro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



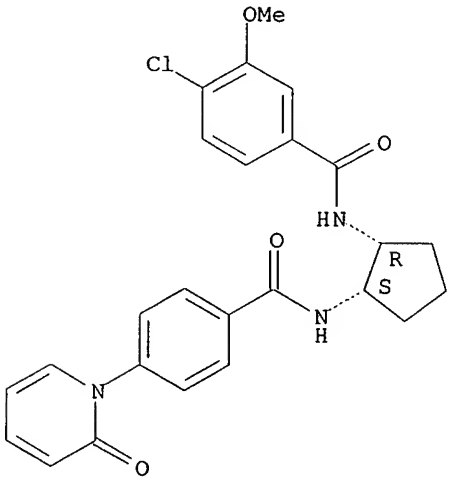
RN 766552-93-6 CAPLUS
CN Benzamide, 4-chloro-3-methyl-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766552-94-7 CAPLUS
CN Benzamide, 4-chloro-3-methoxy-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

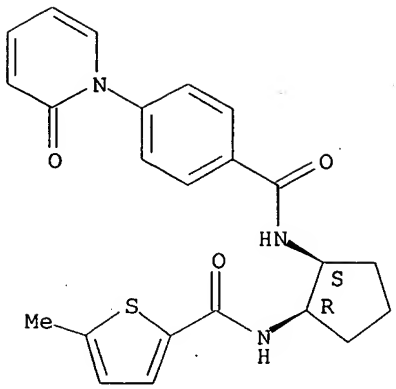
Absolute stereochemistry.



RN 766552-95-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-methyl-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

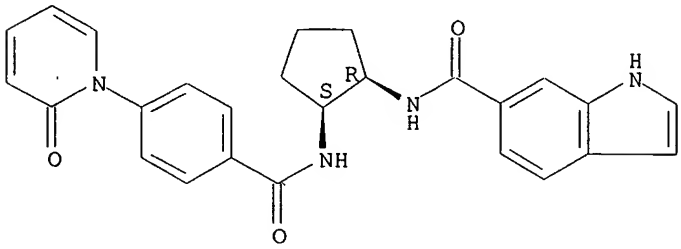
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



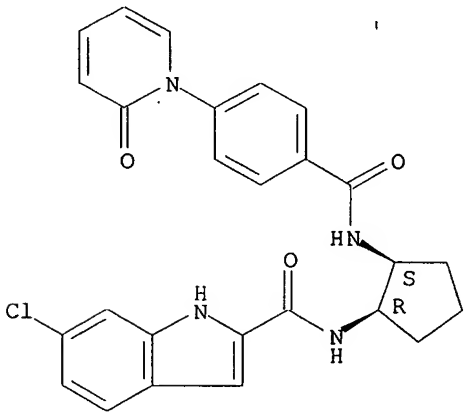
RN 766552-96-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766552-98-1 CAPLUS
CN 1H-Indole-2-carboxamide, 6-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

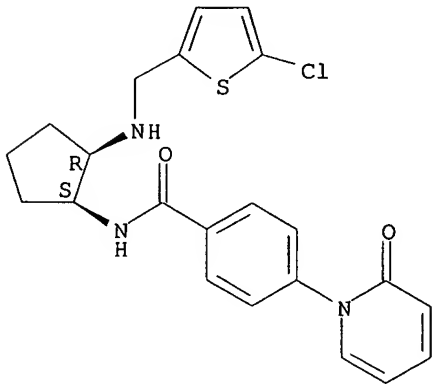
Absolute stereochemistry.



Searched by Barb O'Bryen, STIC 2-2518

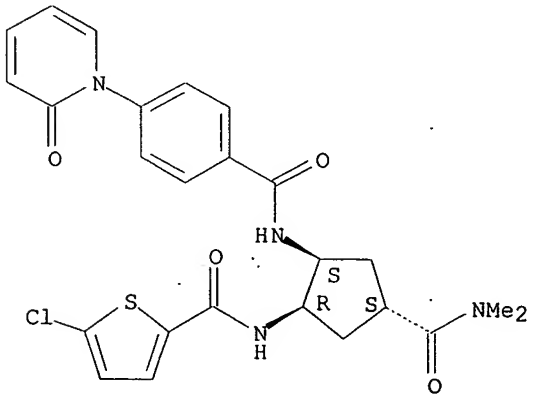
RN 766553-01-9 CAPLUS
CN Benzamide, N-[(1S,2R)-2-[[(5-chloro-2-thienyl)methyl]amino]cyclopentyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



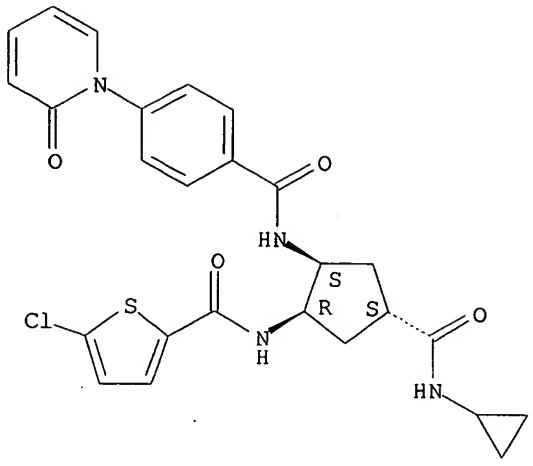
RN 766553-05-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-[(dimethylamino)carbonyl]-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



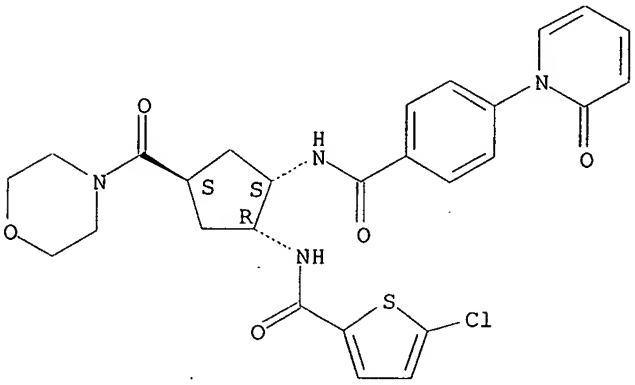
RN 766553-06-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-[(cyclopropylamino)carbonyl]-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



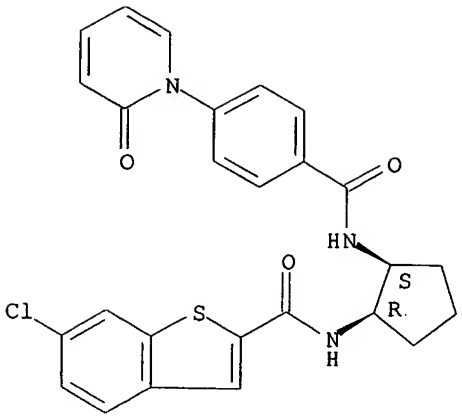
RN 766553-07-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(4-morpholinylcarbonyl)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



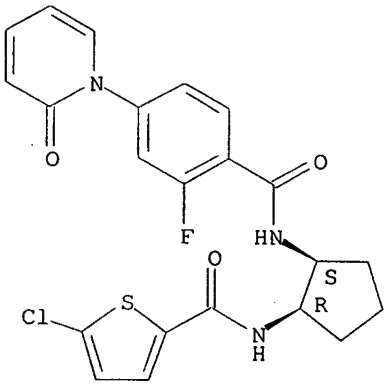
RN 766553-10-0 CAPLUS
CN Benzo[b]thiophene-2-carboxamide, 6-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



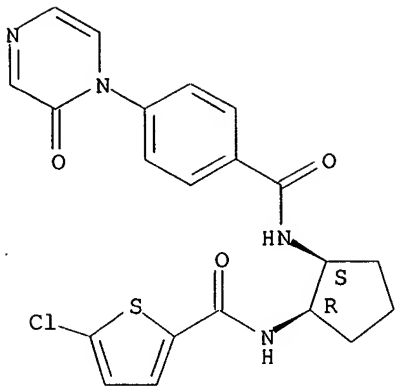
RN 766553-12-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



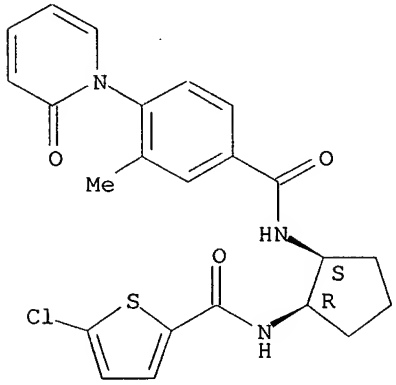
RN 766553-13-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



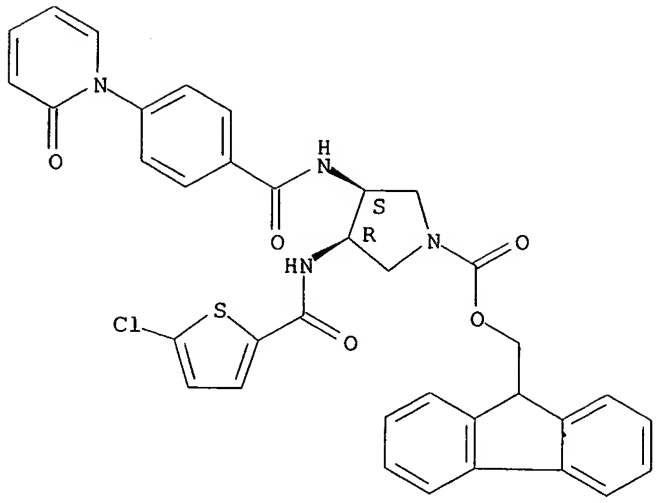
RN 766553-16-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[3-methyl-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



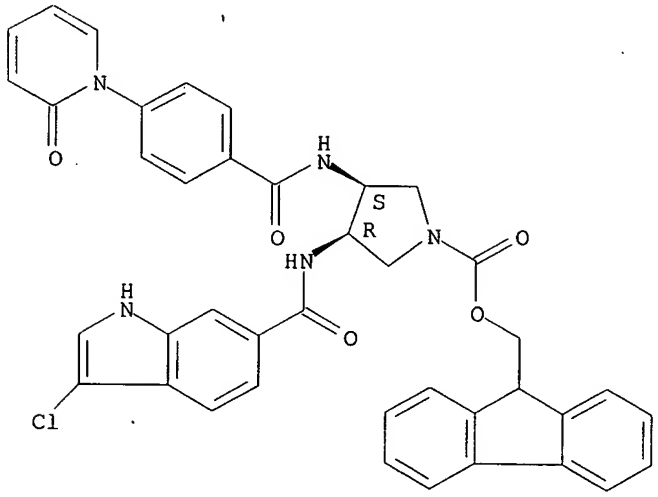
RN 766553-17-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, 9H-fluoren-9-ylmethyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-18-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(3-chloro-1H-indol-6-yl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, 9H-fluoren-9-ylmethyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

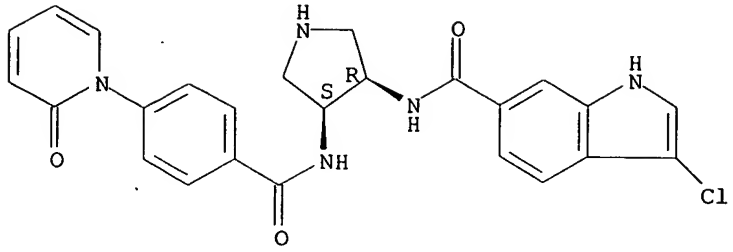
Absolute stereochemistry.



RN 766553-19-9 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3R,4S)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

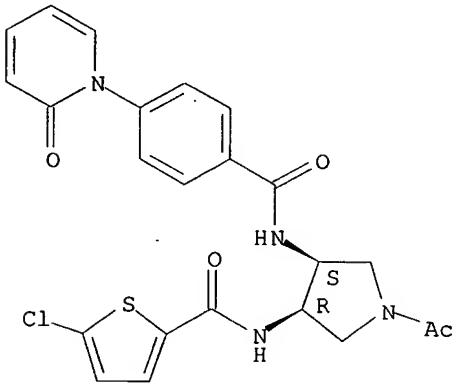
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



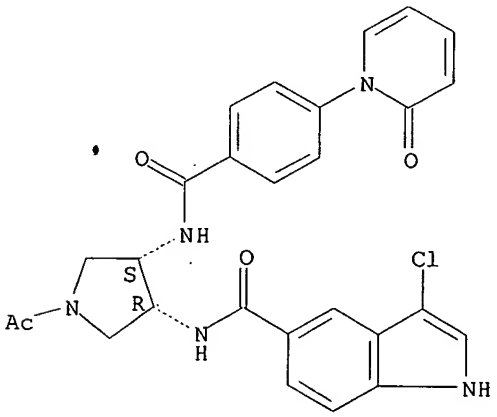
RN 766553-20-2 CAPLUS
CN 2-Thiophenecarboxamide, N-[(3R,4S)-1-acetyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-21-3 CAPLUS
CN 1H-Indole-5-carboxamide, N-[(3R,4S)-1-acetyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]-3-chloro- (9CI) (CA INDEX NAME)

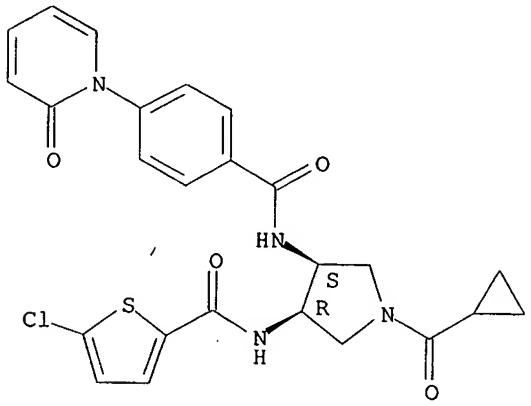
Absolute stereochemistry.



Searched by Barb O'Bryen, STIC 2-2518

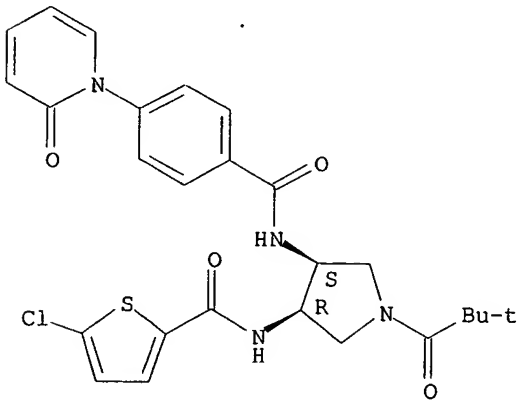
RN 766553-22-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



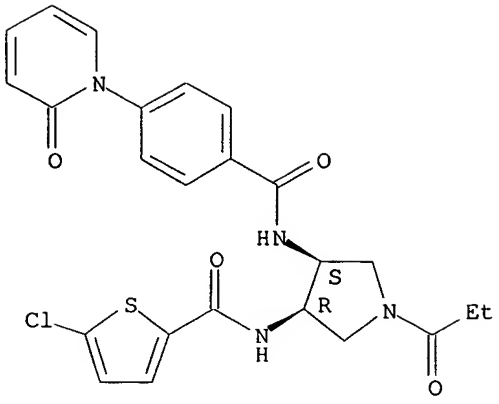
RN 766553-23-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(2,2-dimethyl-1-oxopropyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



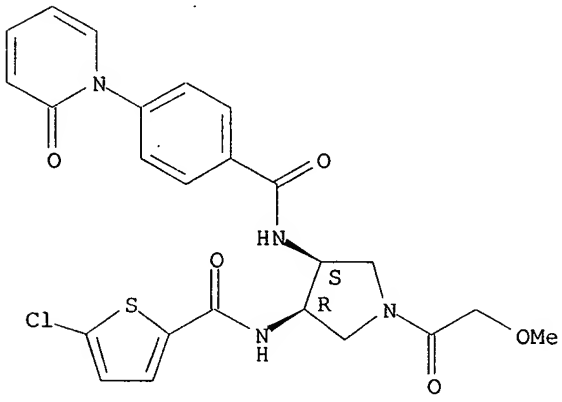
RN 766553-24-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(1-oxopropyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



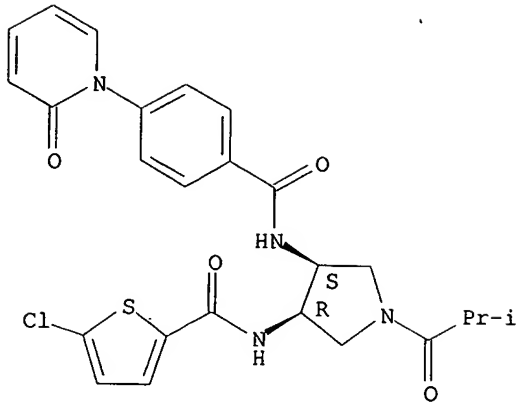
RN 766553-25-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(methoxyacetyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



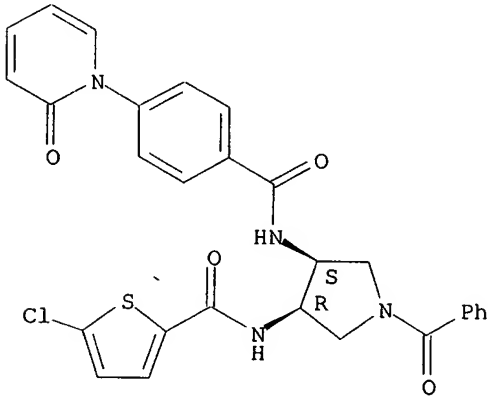
RN 766553-26-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(2-methyl-1-oxopropyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



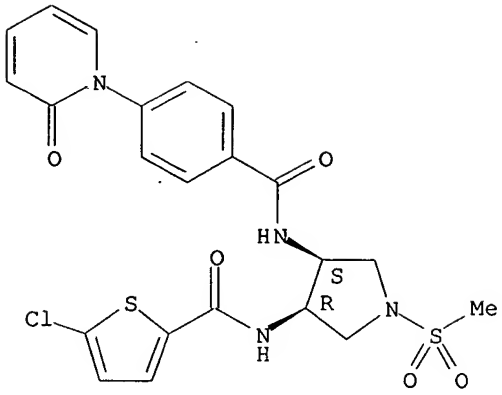
RN 766553-27-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[(3R,4S)-1-benzoyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



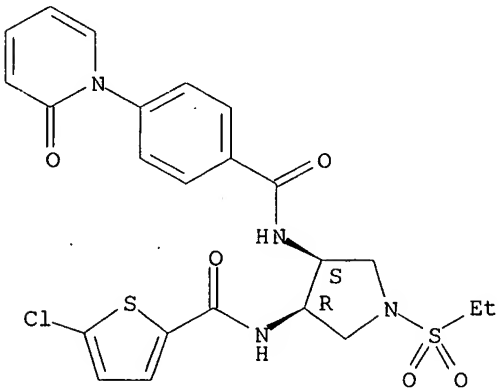
RN 766553-28-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(methylsulfonyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



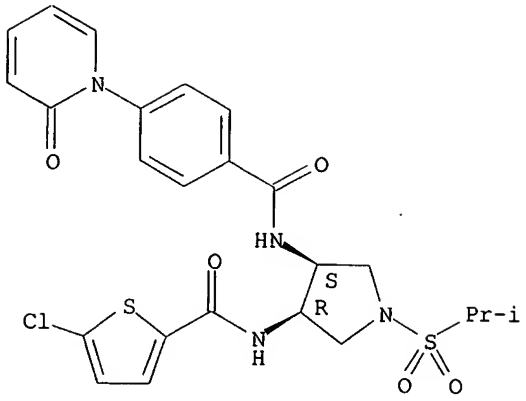
RN 766553-29-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(ethylsulfonyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



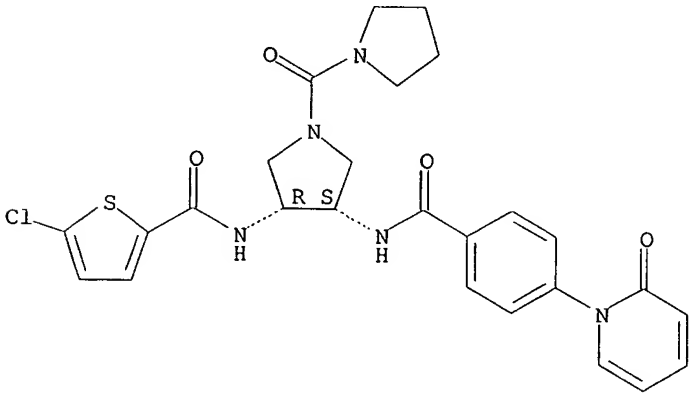
RN 766553-30-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-[(1-methylethyl)sulfonyl]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



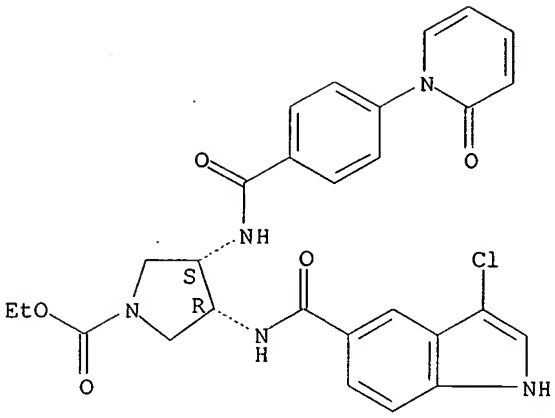
RN 766553-31-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-1-(1-pyrrolidinylcarbonyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



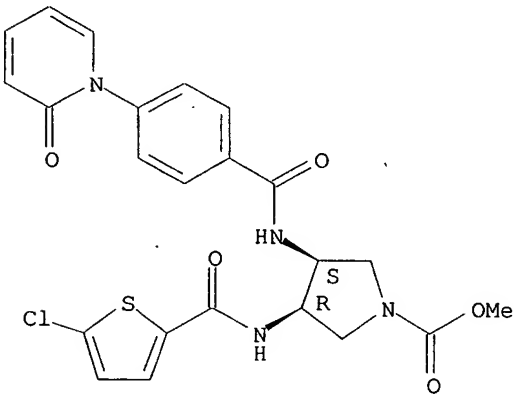
RN 766553-32-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(3-chloro-1H-indol-5-yl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, ethyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



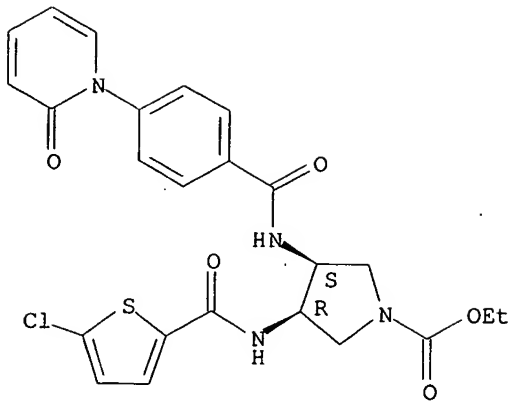
RN 766553-33-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, methyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



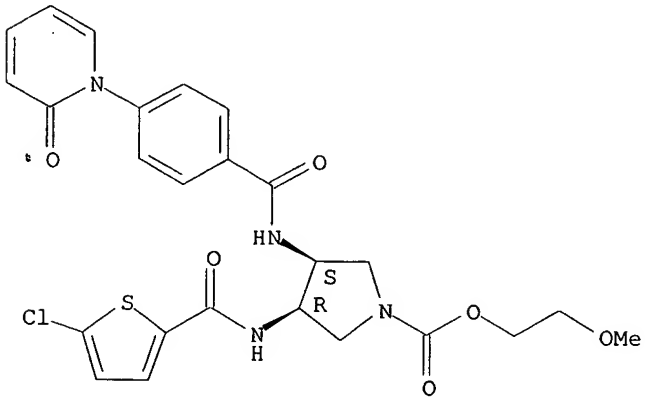
RN 766553-34-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, ethyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



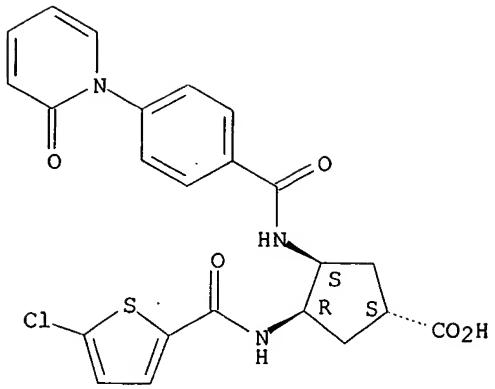
RN 766553-35-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, 2-methoxyethyl ester, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



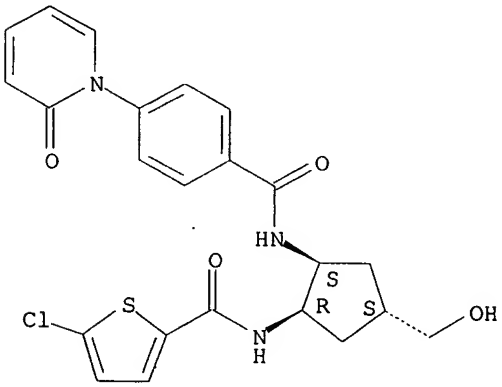
RN 766553-36-0 CAPLUS
CN Cyclopentanecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, (1S,3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-37-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

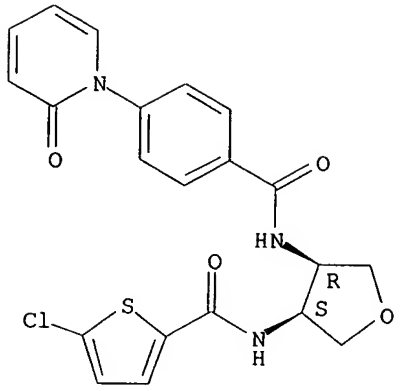
Absolute stereochemistry.



RN 766553-39-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3S,4R)-tetrahydro-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-furanyl]- (9CI) (CA INDEX NAME)

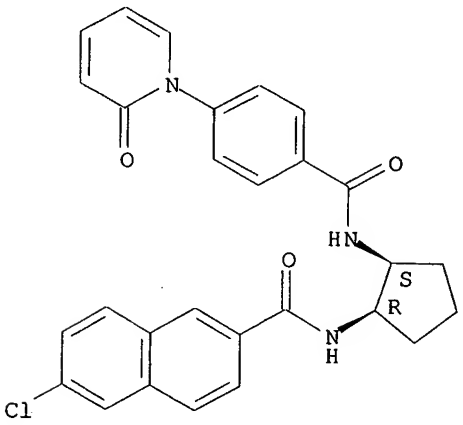
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



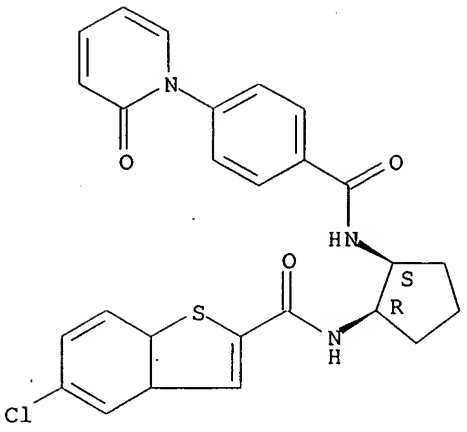
RN 766553-40-6 CAPLUS
CN 2-Naphthalenecarboxamide, 6-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



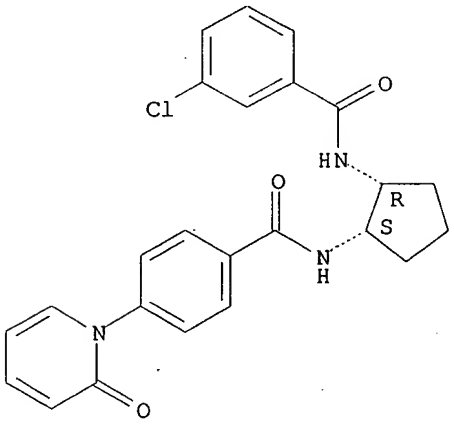
RN 766553-41-7 CAPLUS
CN Benzo[b]thiophene-2-carboxamide, 5-chloro-3a,7a-dihydro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-42-8 CAPLUS
CN Benzamide, 3-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

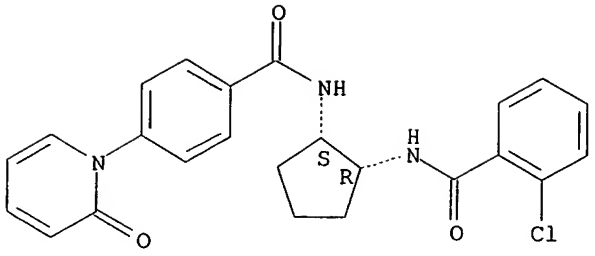
Absolute stereochemistry.



RN 766553-43-9 CAPLUS
CN Benzamide, 2-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

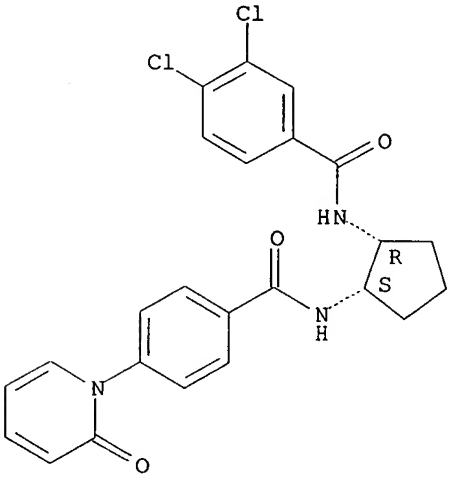
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



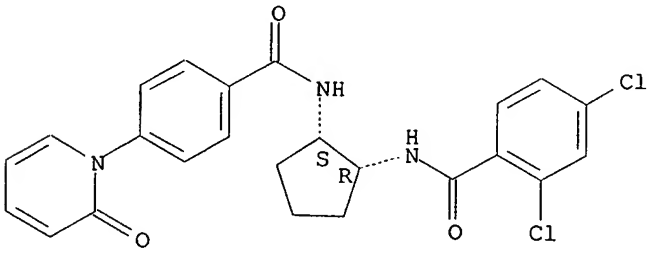
RN 766553-44-0 CAPLUS
CN Benzamide, 3,4-dichloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-45-1 CAPLUS
CN Benzamide, 2,4-dichloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

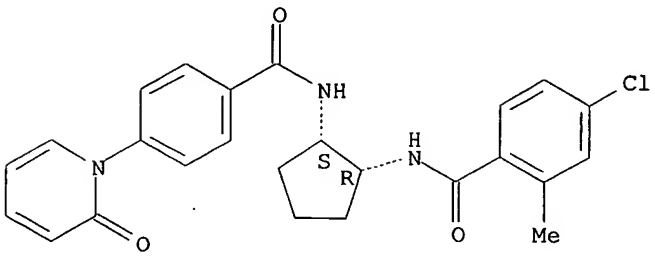
Absolute stereochemistry.



RN 766553-46-2 CAPLUS
CN Benzamide, 4-chloro-2-methyl-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-

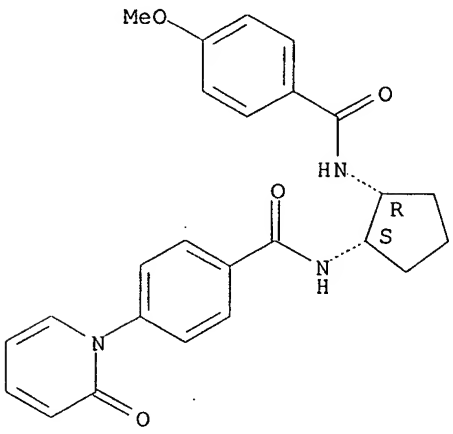
pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



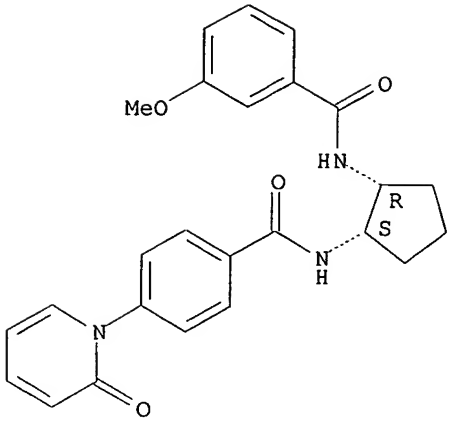
RN 766553-47-3 CAPLUS
CN Benzamide, N-[(1S,2R)-2-[(4-methoxybenzoyl)amino]cyclopentyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



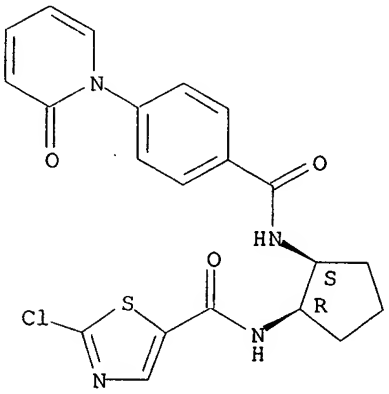
RN 766553-48-4 CAPLUS
CN Benzamide, 3-methoxy-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-49-5 CAPLUS
CN 5-Thiazolecarboxamide, 2-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

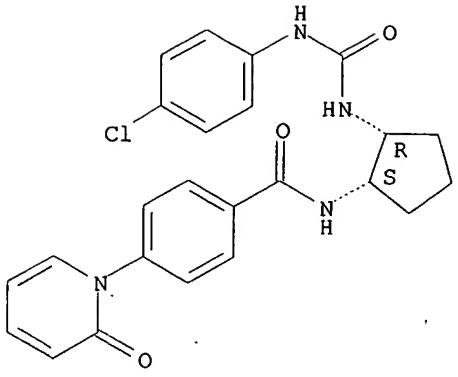
Absolute stereochemistry.



RN 766553-50-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]cyclopentyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)

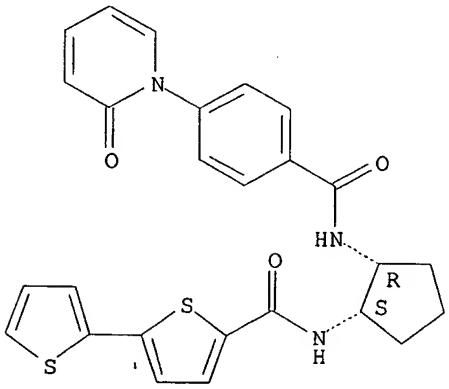
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



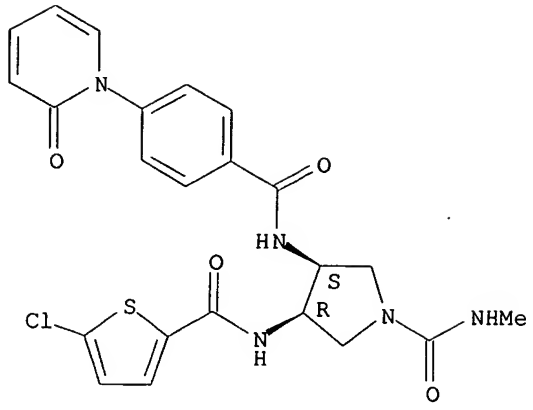
RN 766553-51-9 CAPLUS
CN [2,2'-Bithiophene]-5-carboxamide, N-[(1S,2R)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



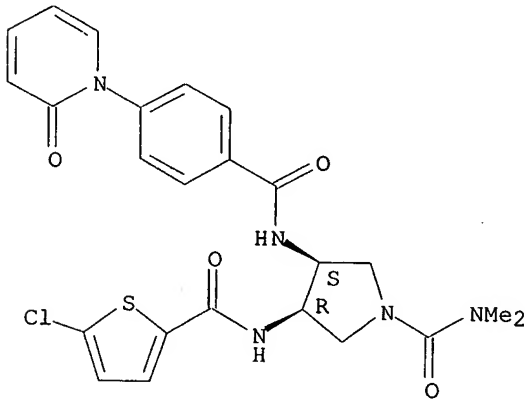
RN 766553-66-6 CAPLUS
CN 1-Pyrrolidinecarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-N-methyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-67-7 CAPLUS
CN 1-Pyrrolidinecarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-N,N-dimethyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, (3R,4S)- (9CI) (CA INDEX NAME)

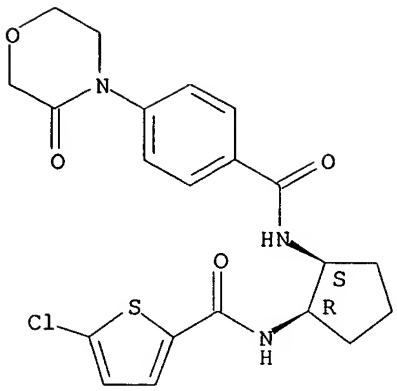
Absolute stereochemistry.



RN 766553-68-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

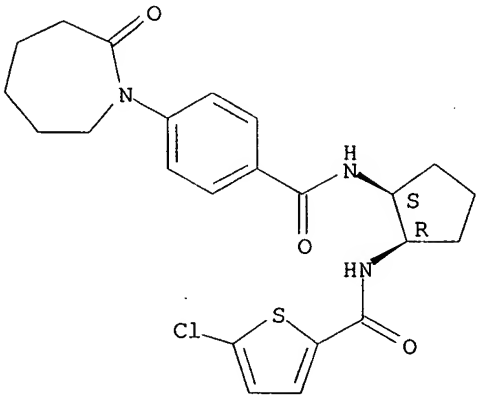
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



RN 766553-69-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(hexahydro-2-oxo-1H-azepin-1-yl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

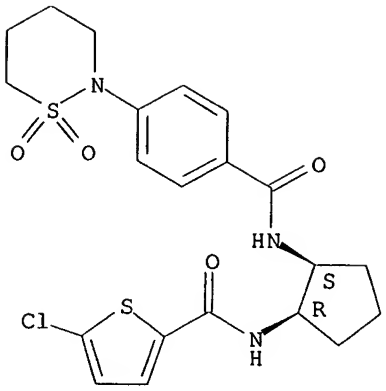
Absolute stereochemistry.



RN 766553-70-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

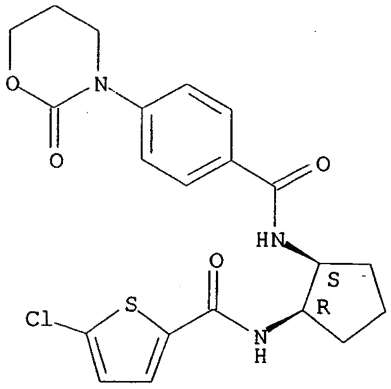
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



RN 766553-71-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(dihydro-2-oxo-2H-1,3-oxazin-3(4H)-yl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

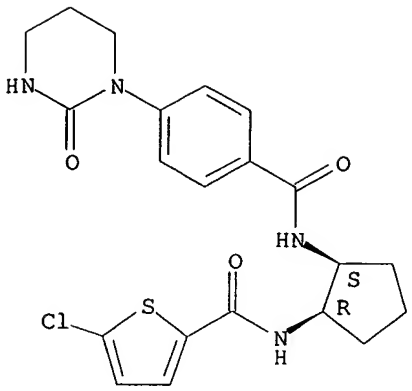
Absolute stereochemistry.



RN 766553-72-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(tetrahydro-2-oxo-1(2H)-pyrimidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

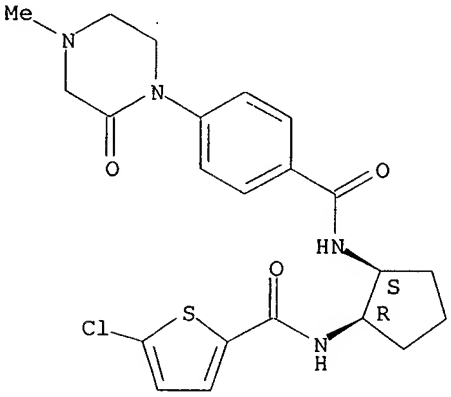
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



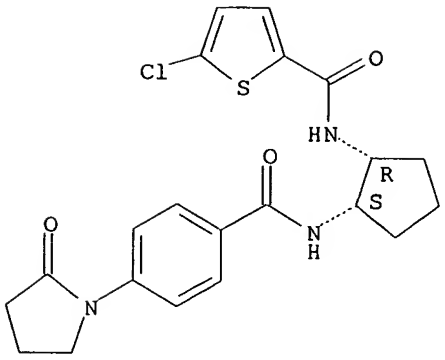
RN 766553-73-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(4-methyl-2-oxo-1-piperazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



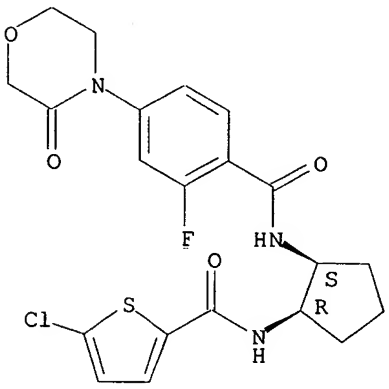
RN 766553-74-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1-pyrrolidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



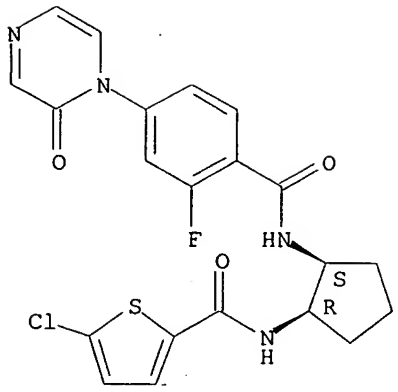
RN 766553-75-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(3-oxo-4-morpholinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



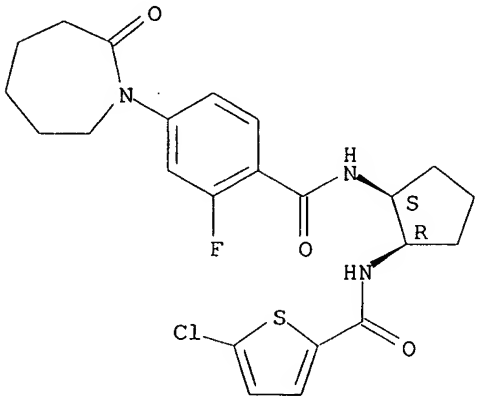
RN 766553-76-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-77-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(hexahydro-2-oxo-1H-azepin-1-yl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

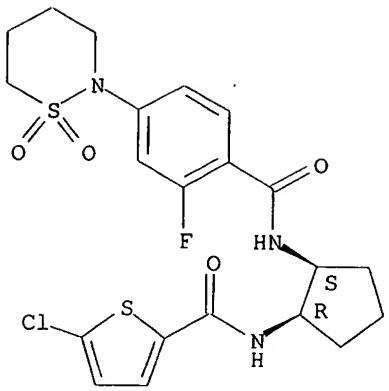
Absolute stereochemistry.



RN 766553-78-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

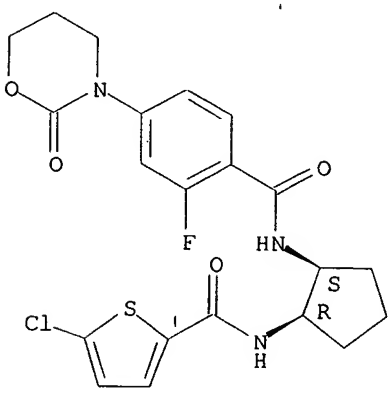
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



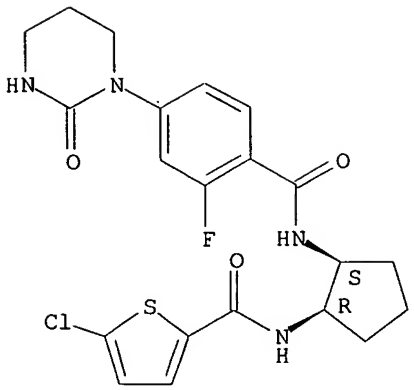
RN 766553-79-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(dihydro-2-oxo-2H-1,3-oxazin-3(4H)-yl)-2-fluorobenzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



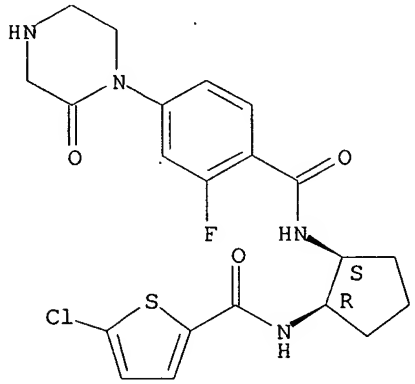
RN 766553-80-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(tetrahydro-2-oxo-1(2H)-pyrimidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



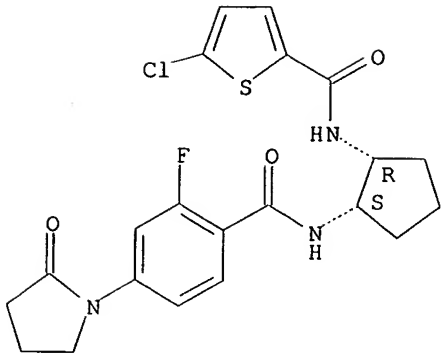
RN 766553-81-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(2-oxo-1-piperazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



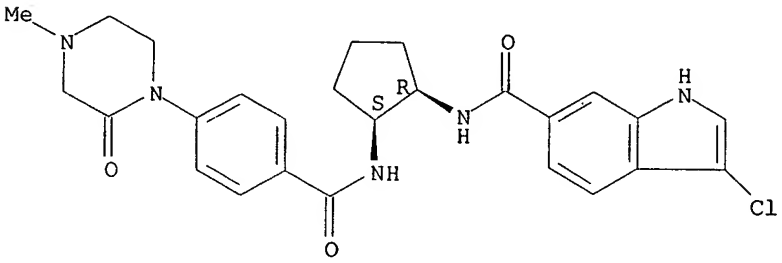
RN 766553-82-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(2-oxo-1-pyrrolidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



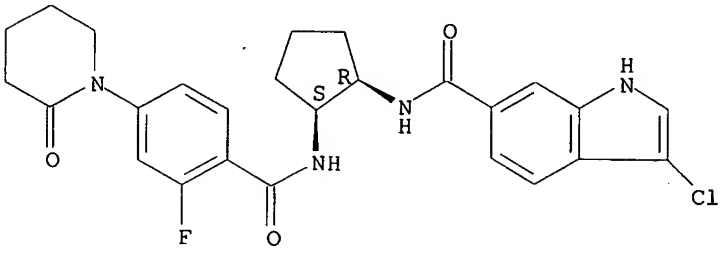
RN 766553-83-7 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(4-methyl-2-oxo-1-piperazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-84-8 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

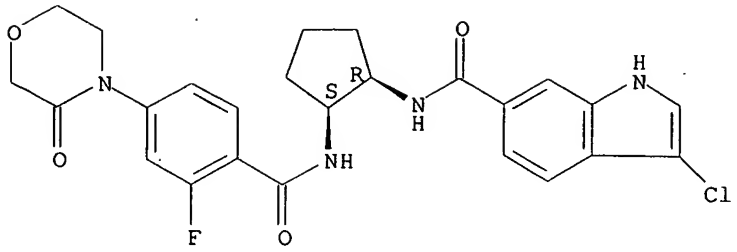
Absolute stereochemistry.



RN 766553-85-9 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(3-oxo-4-morpholinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

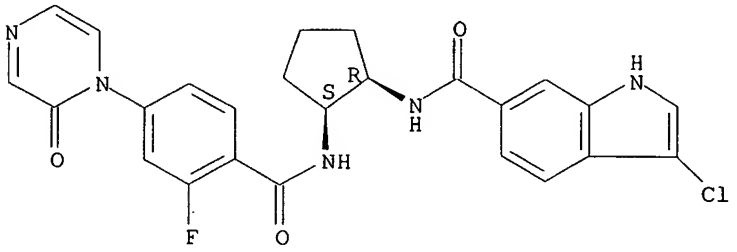
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



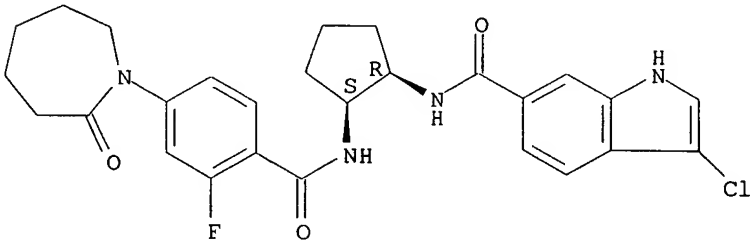
RN 766553-86-0 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-87-1 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(hexahydro-2-oxo-1H-azepin-1-yl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

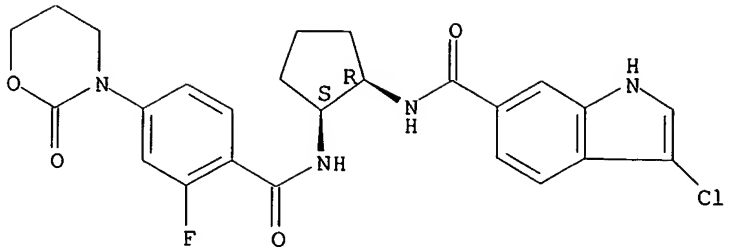
Absolute stereochemistry.



RN 766553-88-2 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(dihydro-2-oxo-2H-1,3-oxazin-3(4H)-yl)-2-fluorobenzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

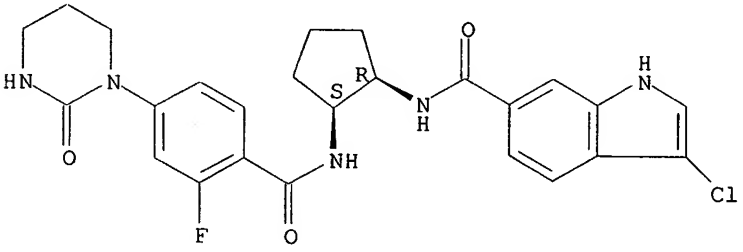
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



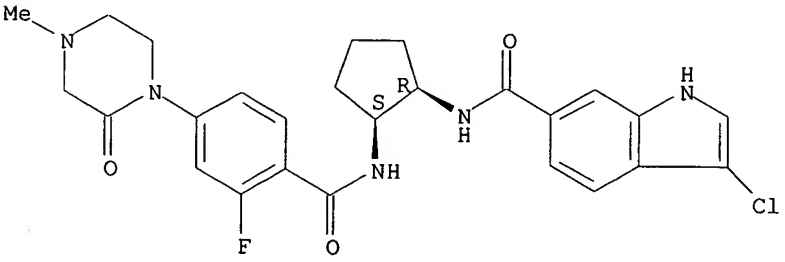
RN 766553-89-3 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(tetrahydro-2-oxo-1(2H)-pyrimidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-90-6 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[2-fluoro-4-(4-methyl-2-oxo-1-piperazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

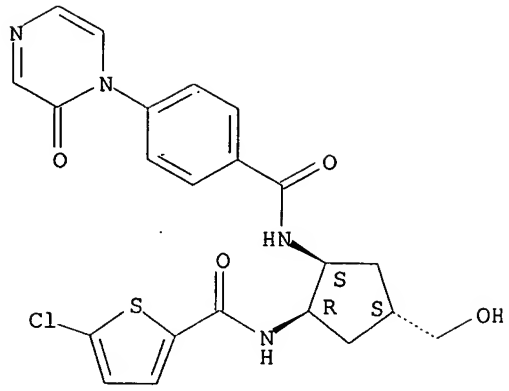
Absolute stereochemistry.



RN 766553-91-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[[4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

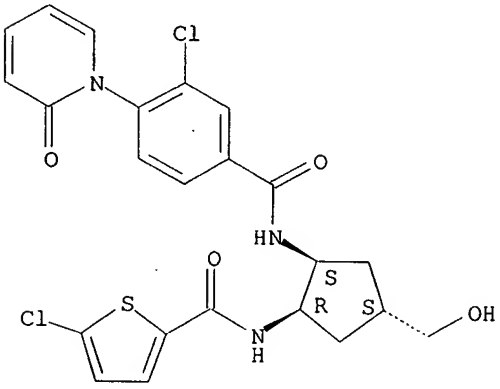
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



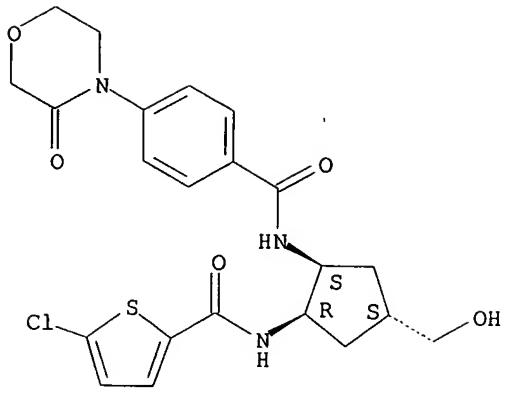
RN 766553-92-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-2-[[3-chloro-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-4-(hydroxymethyl)cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



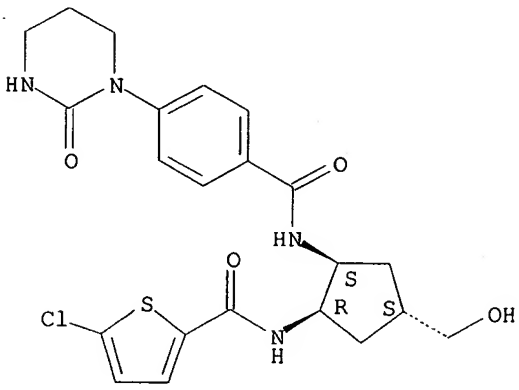
RN 766553-93-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



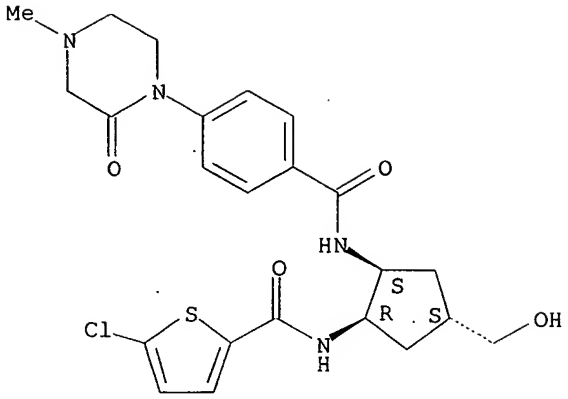
RN 766553-94-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[[4-(tetrahydro-2-oxo-1(2H)-pyrimidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



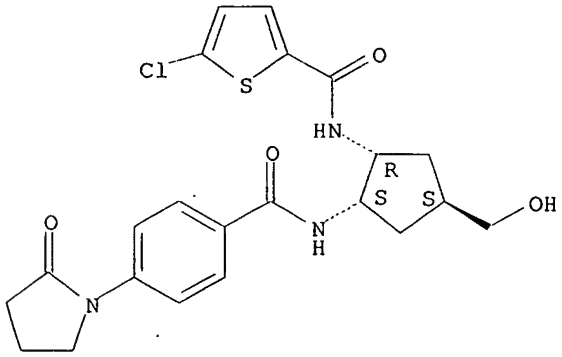
RN 766553-95-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[[4-(4-methyl-2-oxo-1-piperazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766553-96-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[[4-(2-oxo-1-pyrrolidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

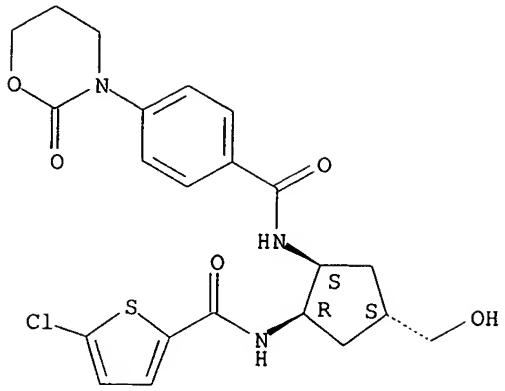
Absolute stereochemistry.



RN 766553-97-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-2-[[4-(dihydro-2-oxo-2H-1,3-oxazin-3(4H)-yl)benzoyl]amino]-4-(hydroxymethyl)cyclopentyl]- (9CI) (CA INDEX NAME)

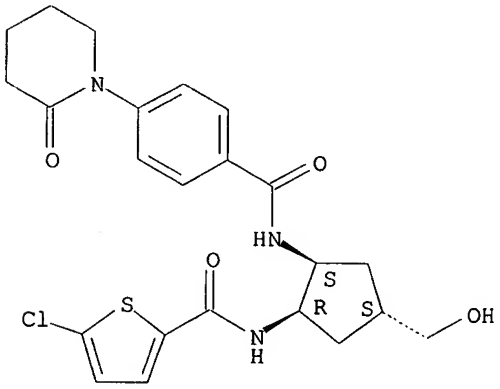
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



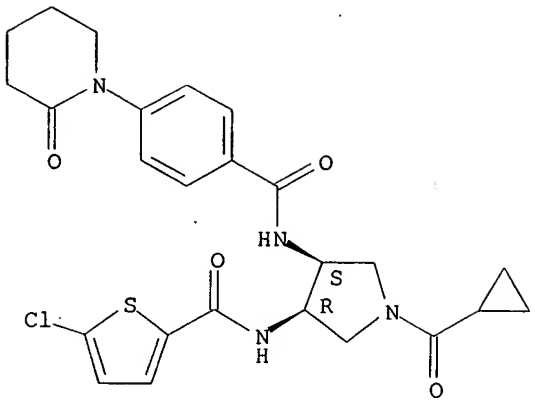
RN 766553-98-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(hydroxymethyl)-2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



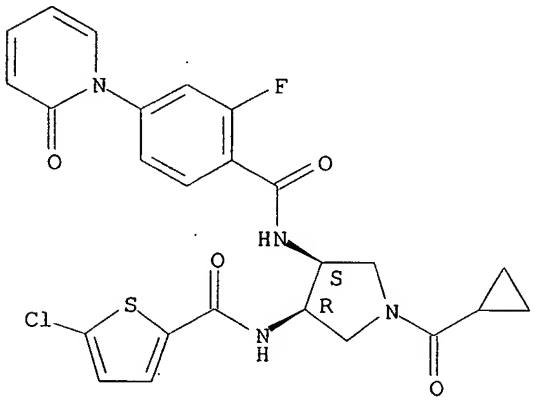
RN 766553-99-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



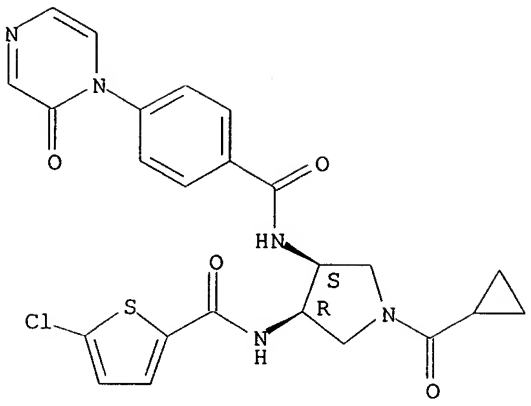
RN 766554-00-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[[2-fluoro-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



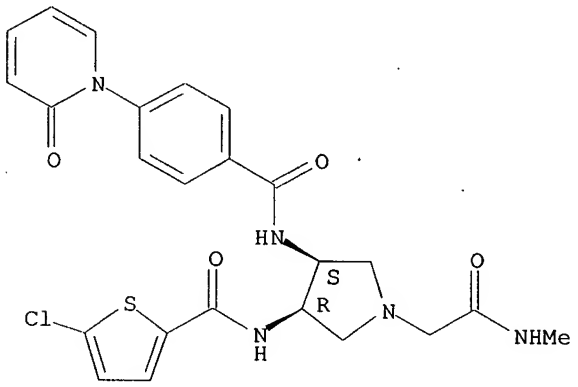
RN 766554-01-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[[4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



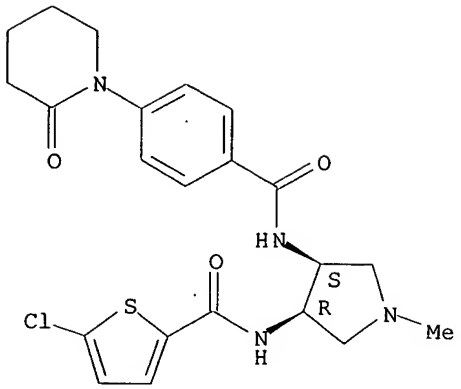
RN 766554-02-3 CAPLUS
CN 1-Pyrrolidineacetamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-N-methyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



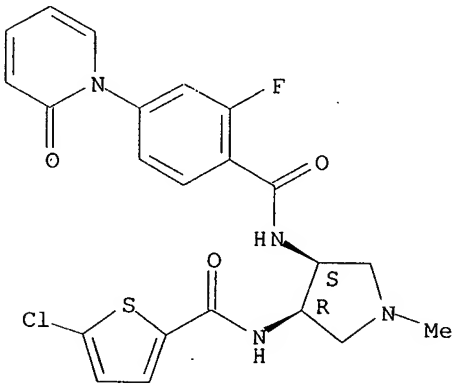
RN 766554-03-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-methyl-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766554-04-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-4-[[2-fluoro-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-1-methyl-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

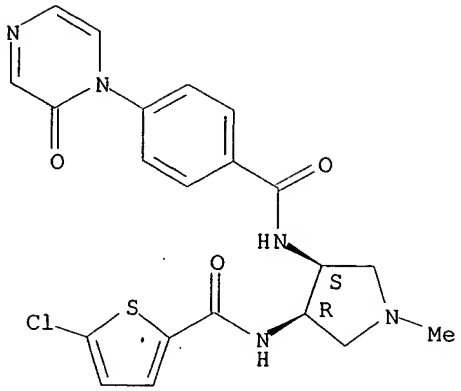
Absolute stereochemistry.



RN 766554-05-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-methyl-4-[[4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

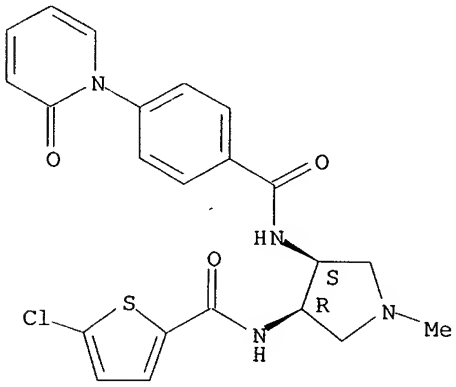
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



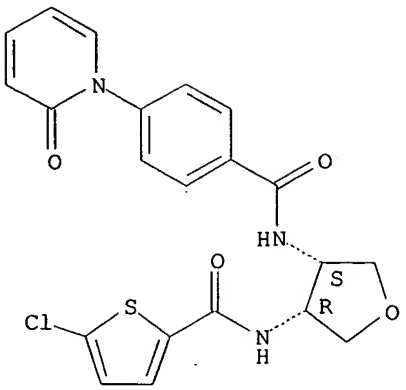
RN 766554-06-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-methyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



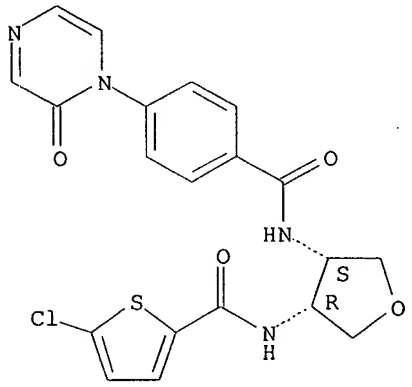
RN 766554-07-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-tetrahydro-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



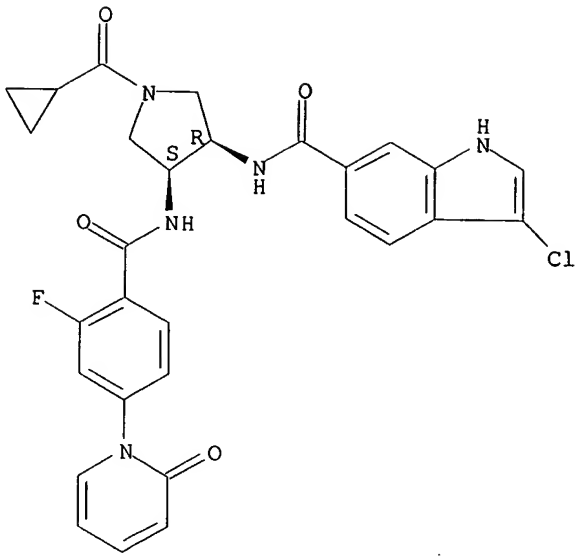
RN 766554-08-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-tetrahydro-4-[[4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



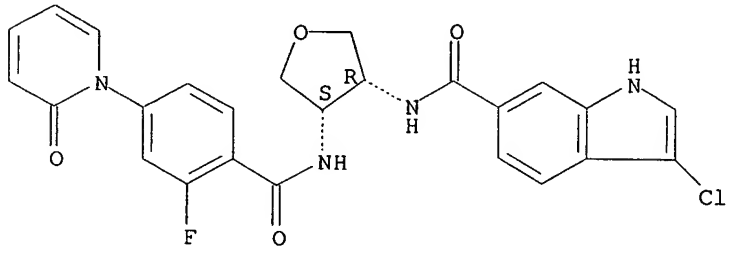
RN 766554-11-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[[2-fluoro-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



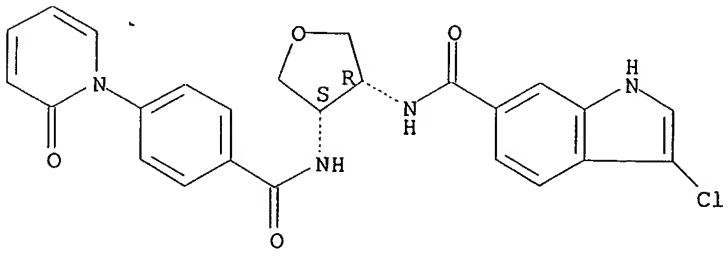
RN 766554-12-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3R,4S)-4-[[2-fluoro-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]tetrahydro-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766554-13-6 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3R,4S)-tetrahydro-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-furanyl]- (9CI) (CA INDEX NAME)

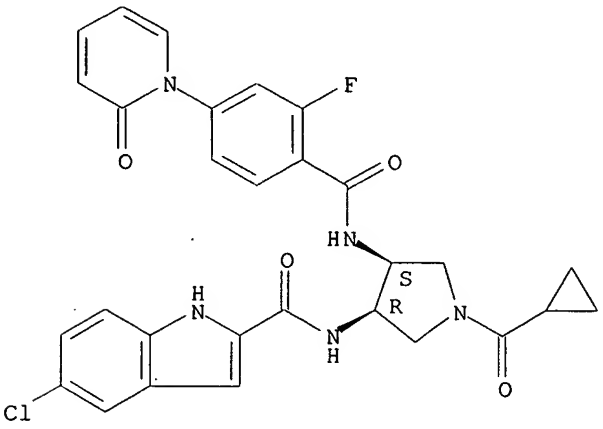
Absolute stereochemistry.



Searched by Barb O'Bryen, STIC 2-2518

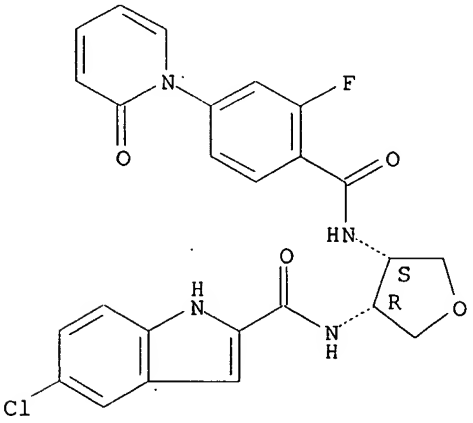
RN 766554-16-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(3R,4S)-1-(cyclopropylcarbonyl)-4-[[2-fluoro-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766554-17-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(3R,4S)-4-[[2-fluoro-4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]tetrahydro-3-furanyl]- (9CI) (CA INDEX NAME)

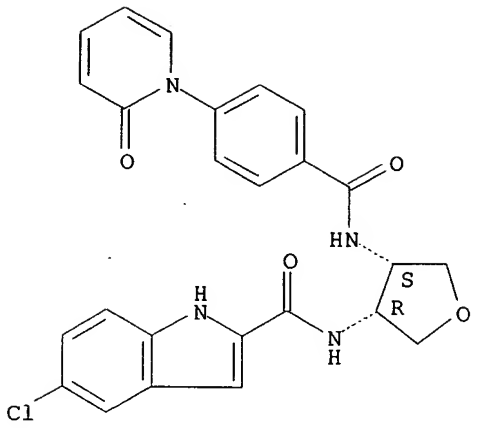
Absolute stereochemistry.



RN 766554-18-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(3R,4S)-tetrahydro-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-furanyl]- (9CI) (CA INDEX NAME)

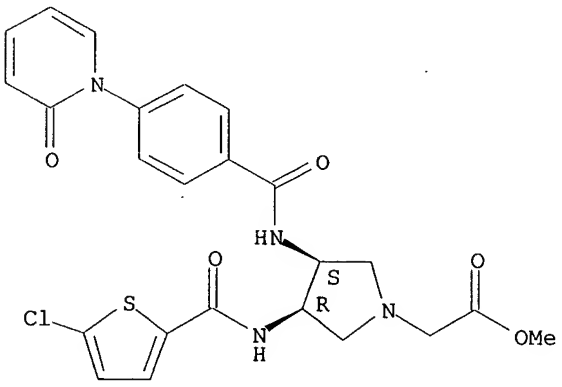
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



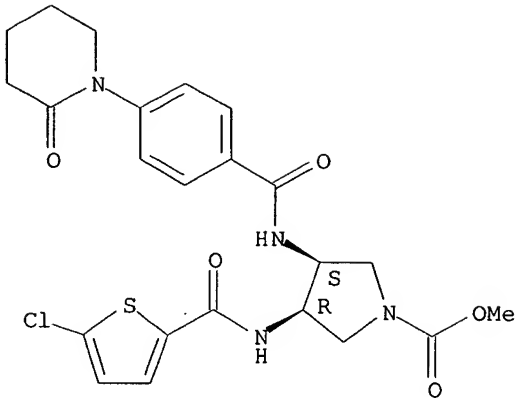
RN 766554-21-6 CAPLUS
CN 1-Pyrrolidineacetic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, methyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



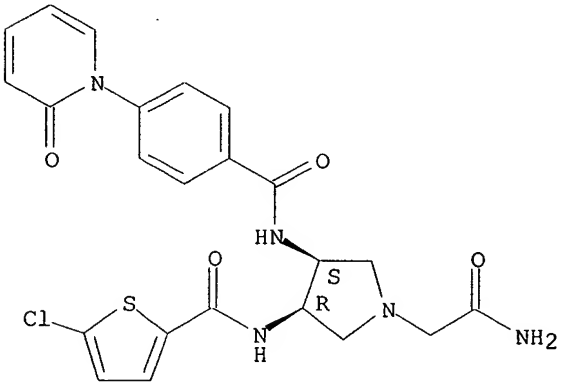
RN 766554-22-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-, methyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766554-23-8 CAPLUS
CN 1-Pyrrolidineacetamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, (3R,4S)- (9CI) (CA INDEX NAME)

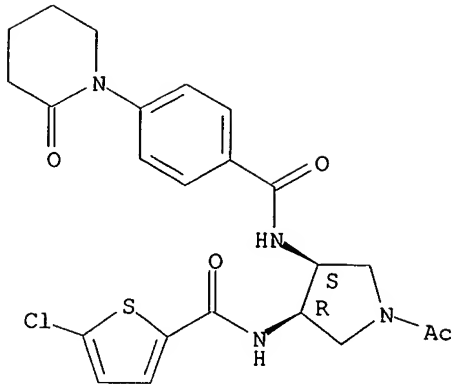
Absolute stereochemistry.



RN 766554-24-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[(3R,4S)-1-acetyl-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]-5-chloro- (9CI) (CA INDEX NAME)

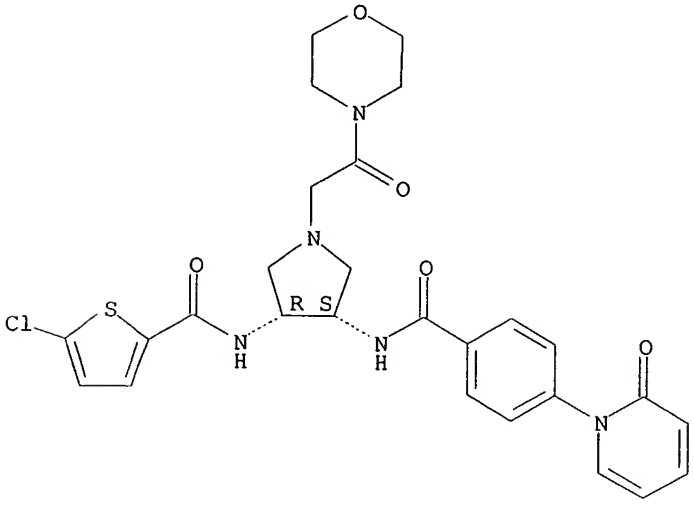
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



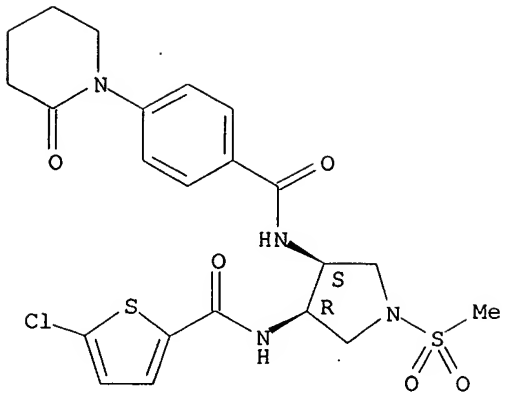
RN 766554-25-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-[2-(4-morpholinyl)-2-oxoethyl]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



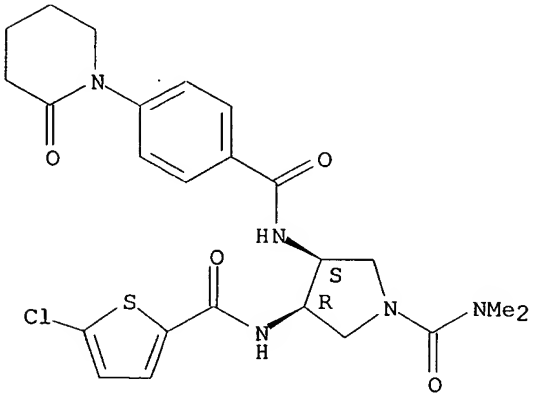
RN 766554-26-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(methylsulfonyl)-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



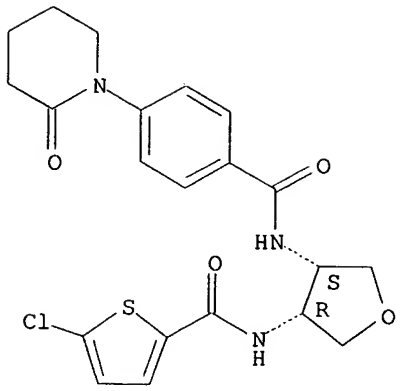
RN 766554-27-2 CAPLUS
CN 1-Pyrrolidinecarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-N,N-dimethyl-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



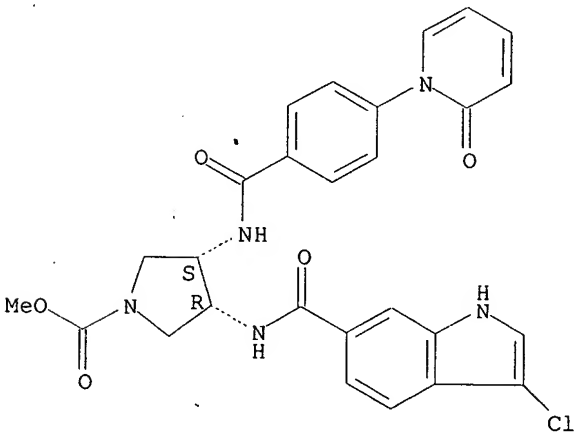
RN 766554-28-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-tetrahydro-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766554-30-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(3-chloro-1H-indol-6-yl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, methyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

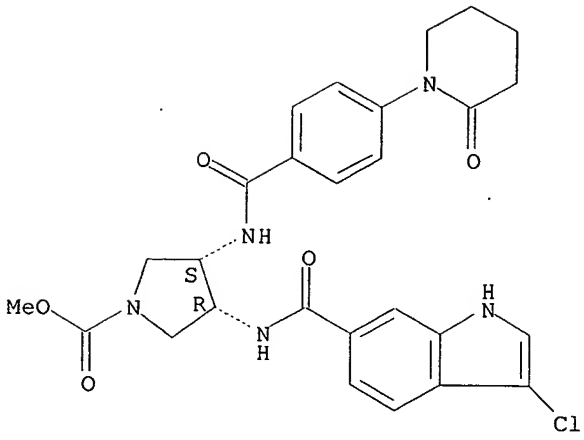
Absolute stereochemistry.



RN 766554-31-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(3-chloro-1H-indol-6-yl)carbonyl]amino]-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-, methyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

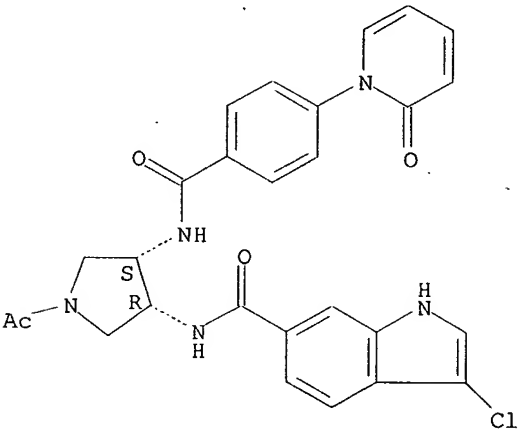
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



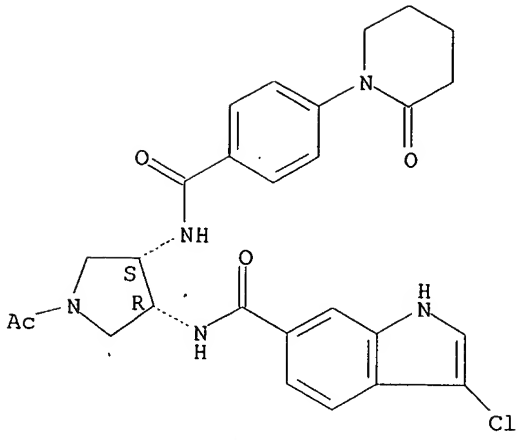
RN 766554-32-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(3R,4S)-1-acetyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]-3-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



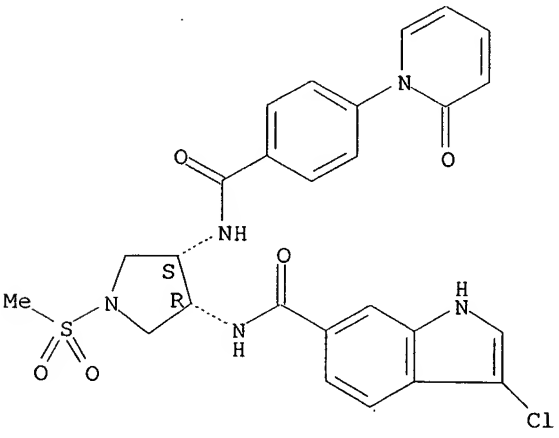
RN 766554-33-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(3R,4S)-1-acetyl-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]-3-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



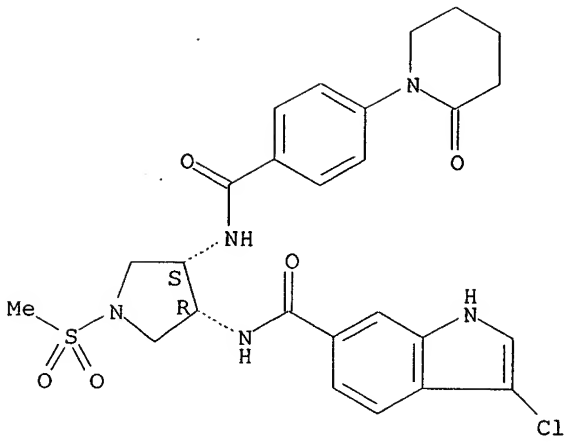
RN 766554-34-1 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3R,4S)-1-(methylsulfonyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



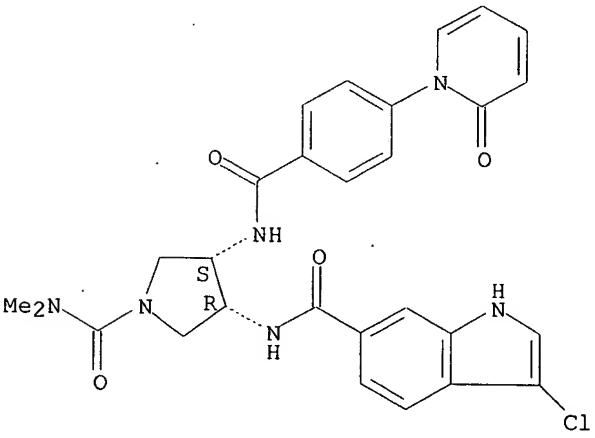
RN 766554-35-2 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3R,4S)-1-(methylsulfonyl)-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



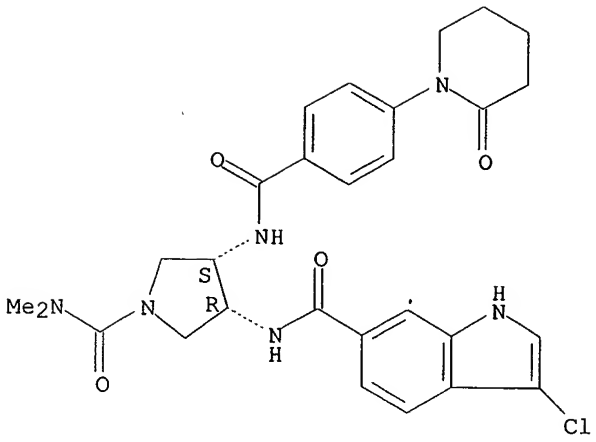
RN 766554-36-3 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3R,4S)-1-[(dimethylamino)carbonyl]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



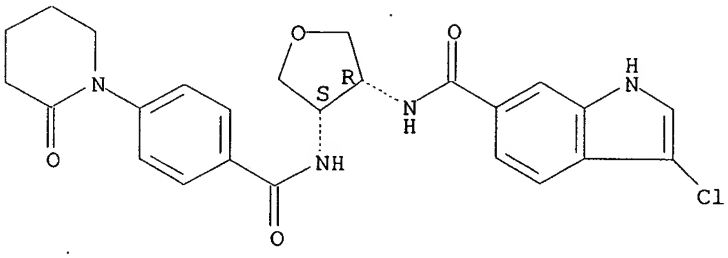
RN 766554-37-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3R,4S)-1-[(dimethylamino)carbonyl]-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766554-38-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3R,4S)-tetrahydro-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-furanyl]- (9CI) (CA INDEX NAME)

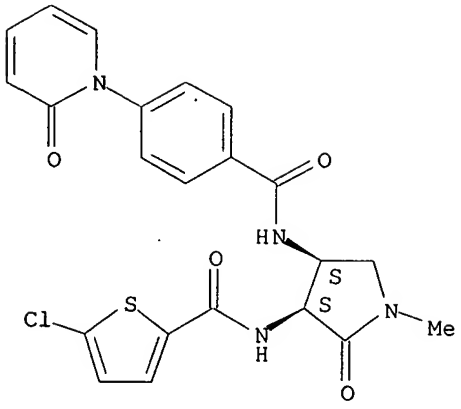
Absolute stereochemistry.



RN 766555-09-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3S,4S)-1-methyl-2-oxo-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

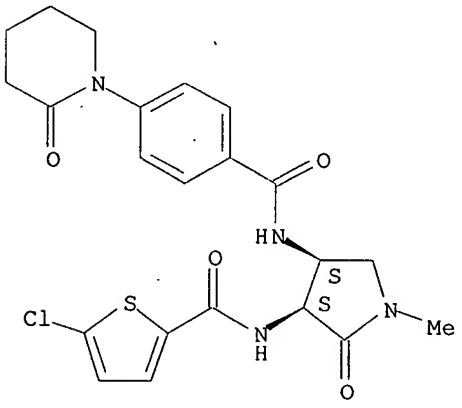
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



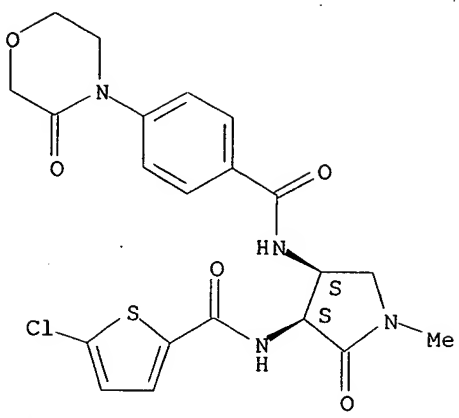
RN 766555-10-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3S,4S)-1-methyl-2-oxo-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



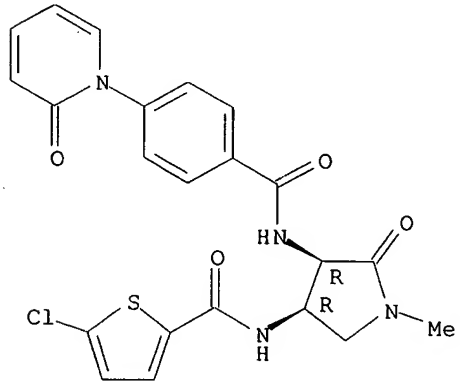
RN 766555-11-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3S,4S)-1-methyl-2-oxo-4-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



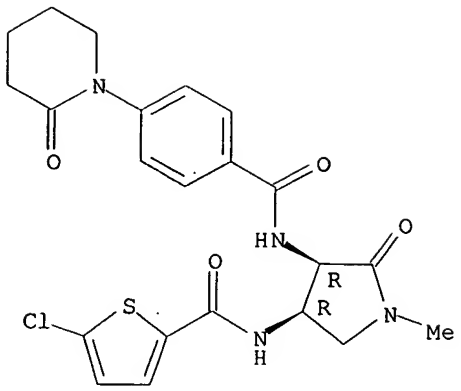
RN 766555-16-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4R)-1-methyl-5-oxo-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



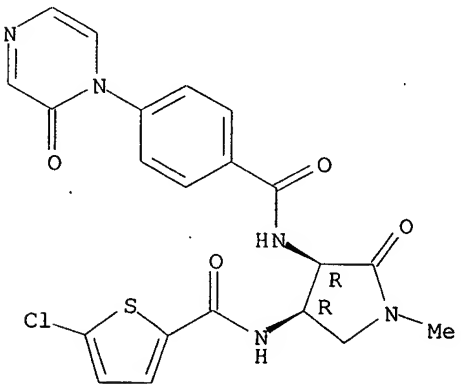
RN 766555-17-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4R)-1-methyl-5-oxo-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



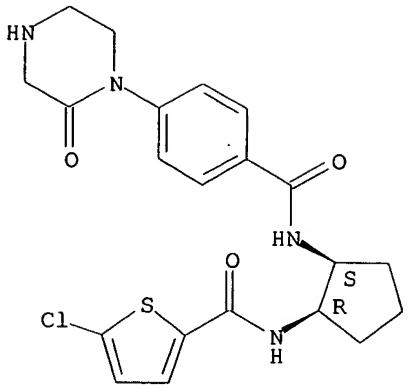
RN 766555-18-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4R)-1-methyl-5-oxo-4-[[4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



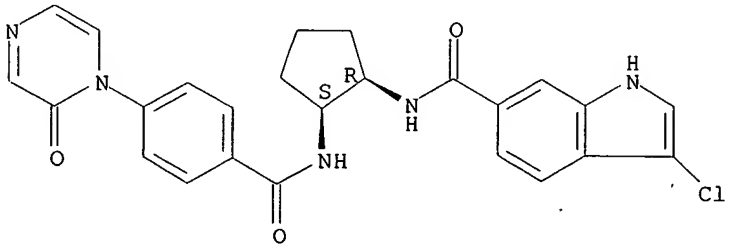
RN 766555-23-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1-piperazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



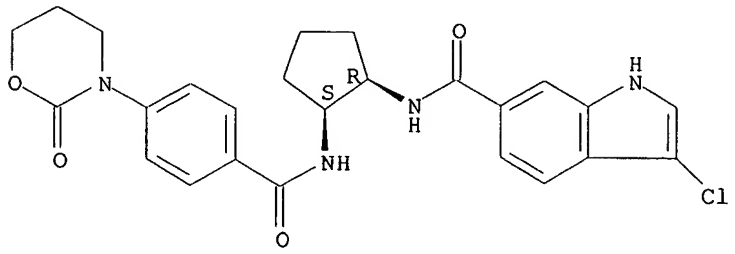
RN 766555-24-2 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766555-25-3 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(dihydro-2-oxo-2H-1,3-oxazin-3(4H)-yl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

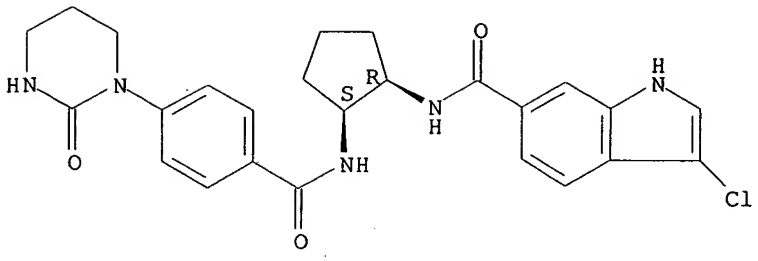
Absolute stereochemistry.



RN 766555-26-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(tetrahydro-2-oxo-1(2H)-pyrimidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

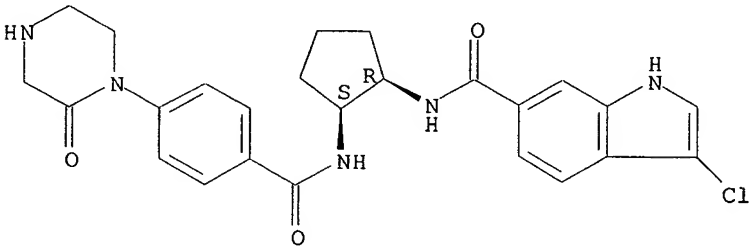
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



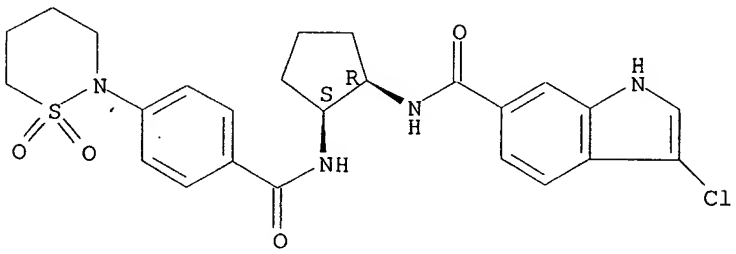
RN 766555-27-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1-piperazinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

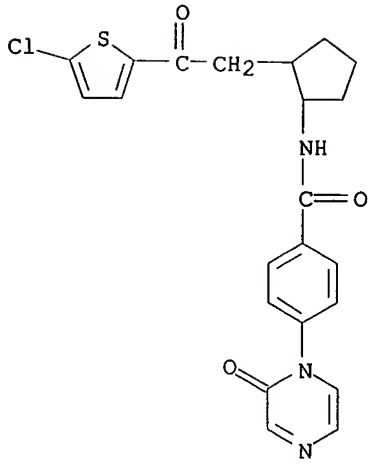


RN 766555-28-6 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R,2S)-2-[[4-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

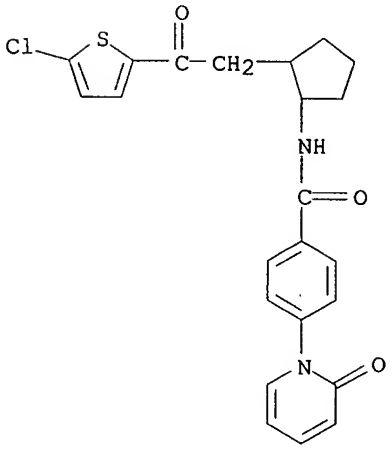
Absolute stereochemistry.



RN 766556-04-1 CAPLUS
CN Benzamide, N-[2-[2-(5-chloro-2-thienyl)-2-oxoethyl]cyclopentyl]-4-(2-oxo-1(2H)-pyrazinyl)- (9CI) (CA INDEX NAME)

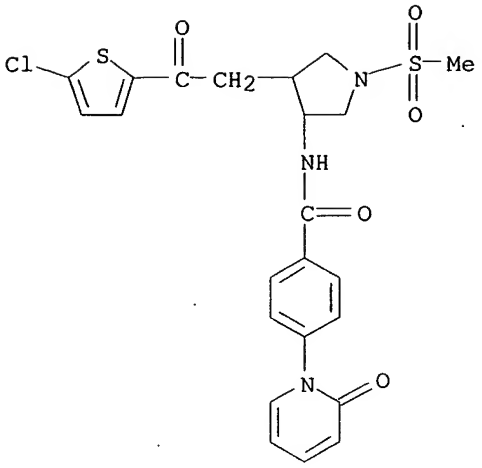


RN 766556-05-2 CAPLUS
CN Benzamide, N-[2-[2-(5-chloro-2-thienyl)-2-oxoethyl]cyclopentyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)



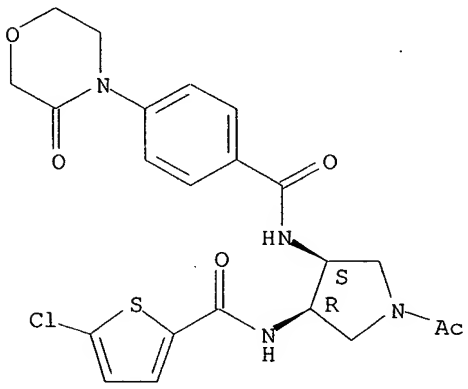
RN 766556-08-5 CAPLUS
CN Benzamide, N-[4-[2-(5-chloro-2-thienyl)-2-oxoethyl]-1-(methylsulfonyl)-3-pyrrolidinyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)

Searched by Barb O'Bryen, STIC 2-2518



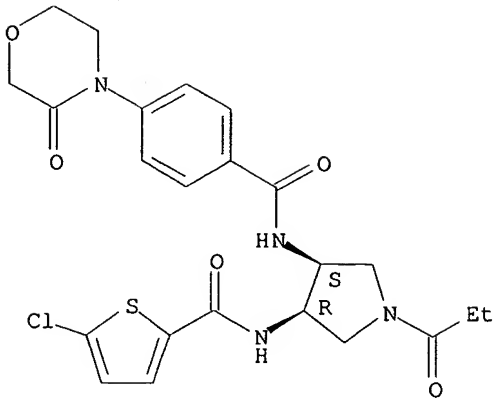
RN 766556-13-2 CAPLUS
CN 2-Thiophenecarboxamide, N-[(3R,4S)-1-acetyl-4-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]-3-pyrrolidinyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



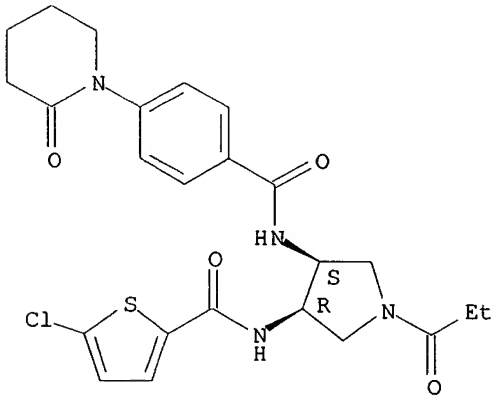
RN 766556-14-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-4-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]-1-(1-oxopropyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



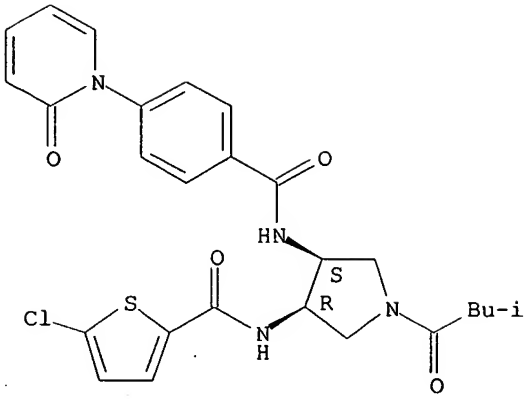
RN 766556-15-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-1-(1-oxopropyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



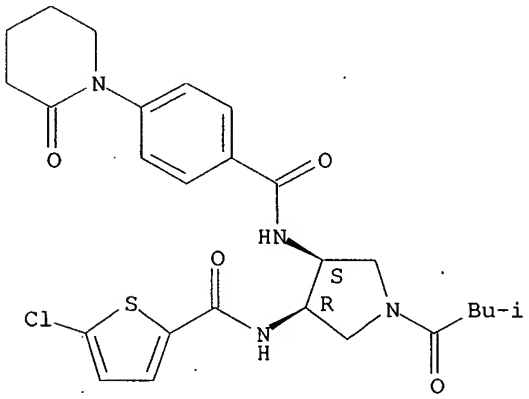
RN 766556-16-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(3-methyl-1-oxobutyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



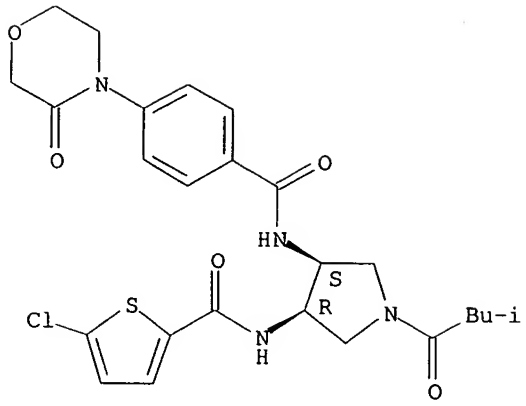
RN 766556-17-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(3-methyl-1-oxobutyl)-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



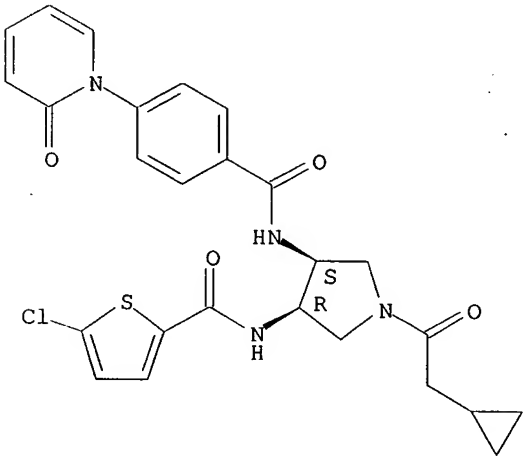
RN 766556-18-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(3-methyl-1-oxobutyl)-4-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



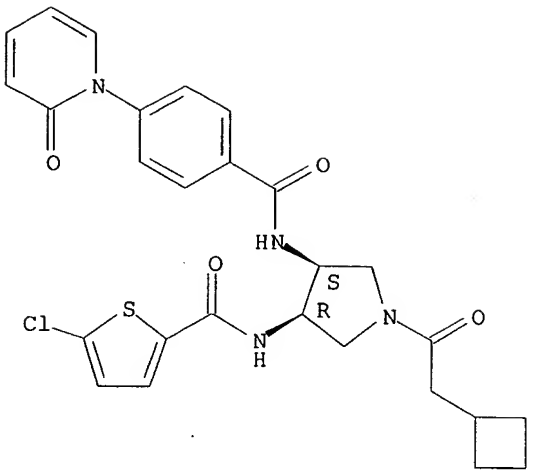
RN 766556-19-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(cyclopropylacetyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



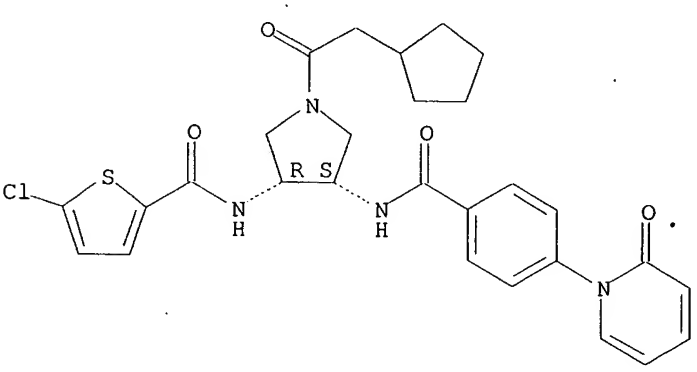
RN 766556-20-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(cyclobutylacetyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



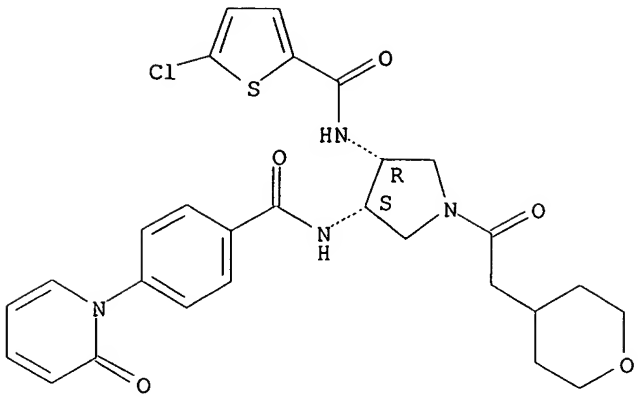
RN 766556-21-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(cyclopentylacetyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



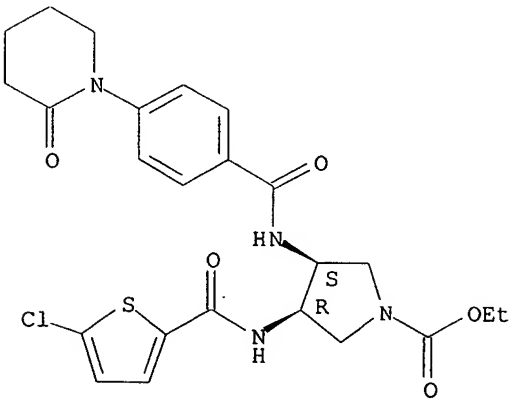
RN 766556-22-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-1-[(tetrahydro-2H-pyran-4-yl)acetyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



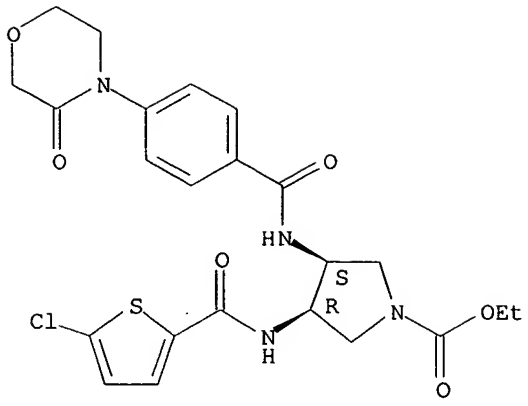
RN 766556-23-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-, ethyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



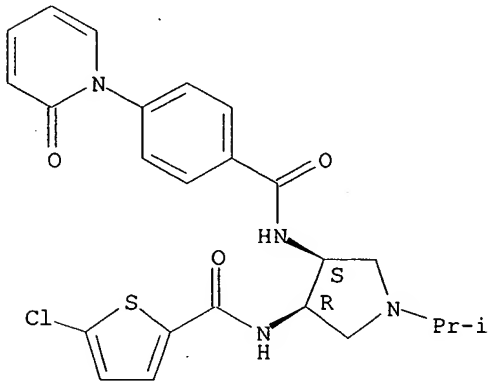
RN 766556-24-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]-, ethyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766556-25-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(1-methylethyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

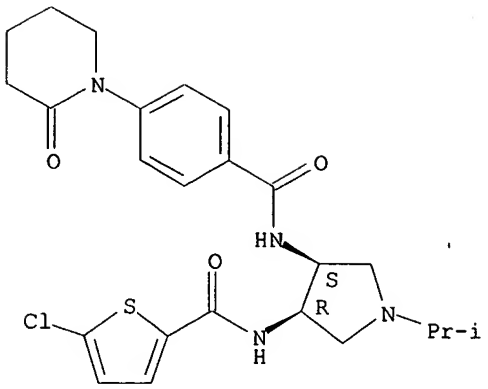
Absolute stereochemistry.



RN 766556-26-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(1-methylethyl)-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

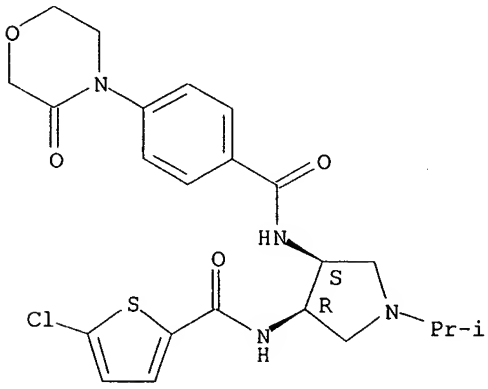
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



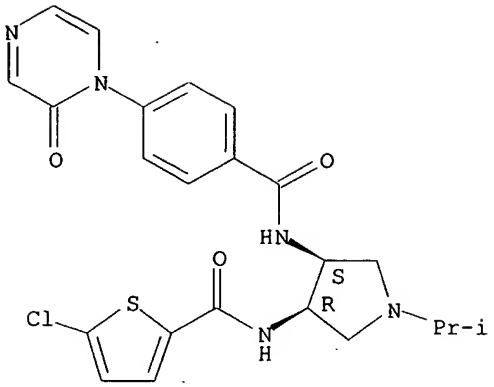
RN 766556-27-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(1-methylethyl)-4-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



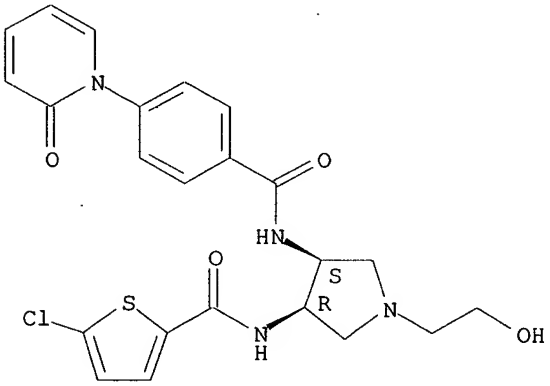
RN 766556-28-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(1-methylethyl)-4-[[4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766556-29-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(2-hydroxyethyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

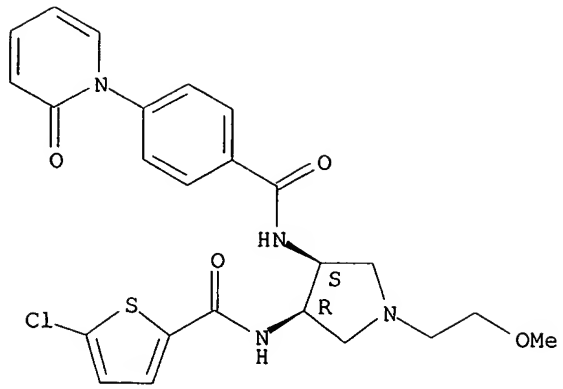
Absolute stereochemistry.



RN 766556-30-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(2-methoxyethyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

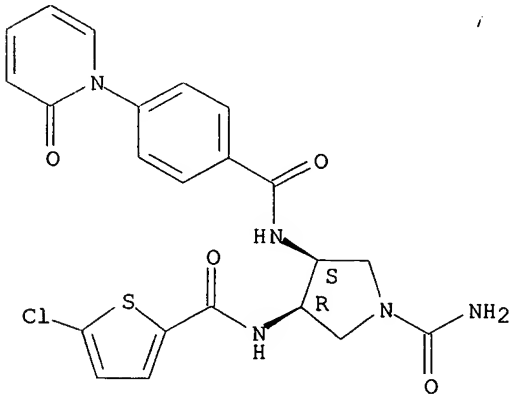
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



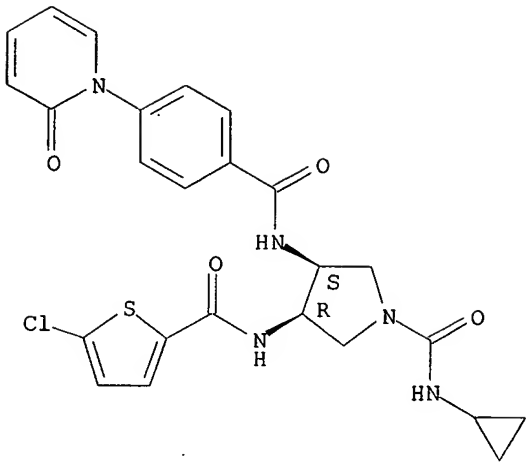
RN 766556-31-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



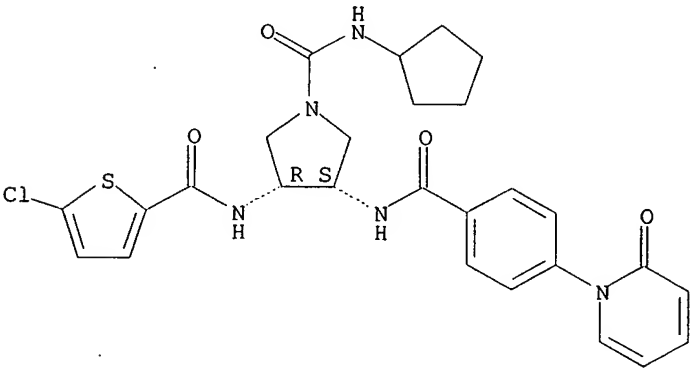
RN 766556-32-5 CAPLUS
CN 1-Pyrrolidinecarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-N-cyclopropyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



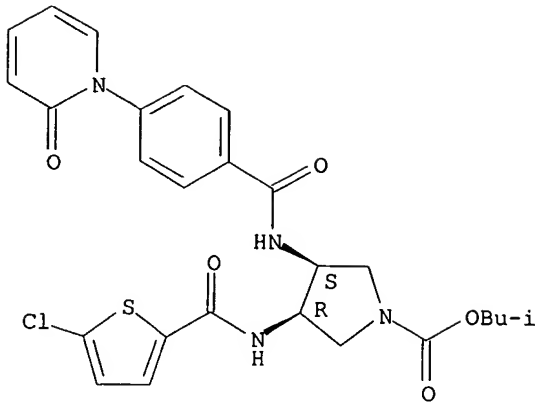
RN 766556-33-6 CAPLUS
CN 1-Pyrrolidinecarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-N-cyclopentyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



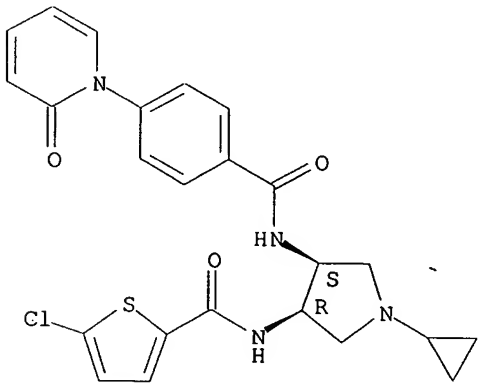
RN 766556-34-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, 2-methylpropyl ester, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



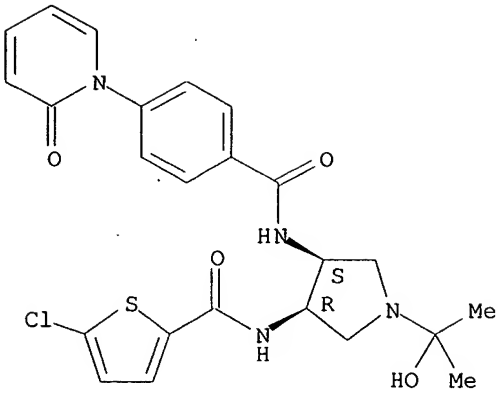
RN 766556-35-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-cyclopropyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



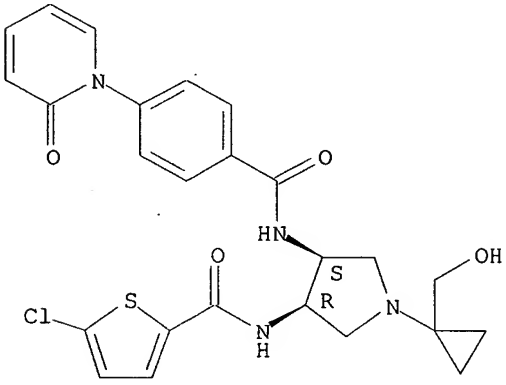
RN 766556-36-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(1-hydroxy-1-methylethyl)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



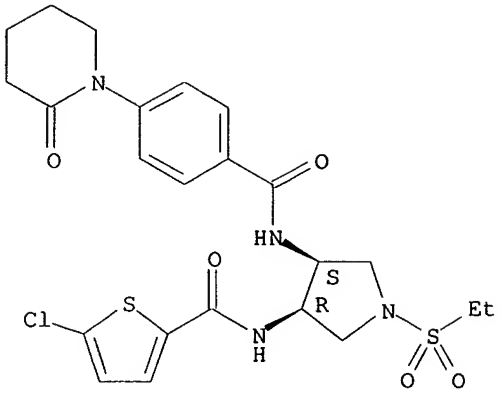
RN 766556-37-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-[1-(hydroxymethyl)cyclopropyl]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



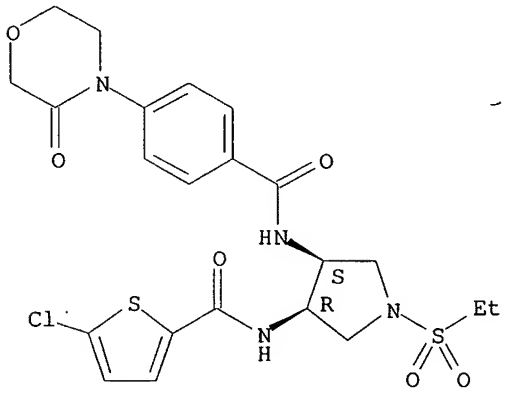
RN 766556-38-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(ethylsulfonyl)-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



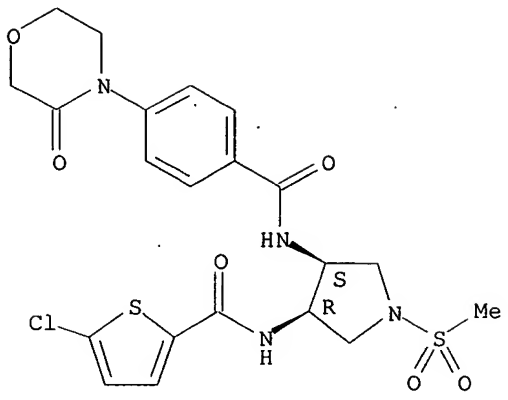
RN 766556-39-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(ethylsulfonyl)-4-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



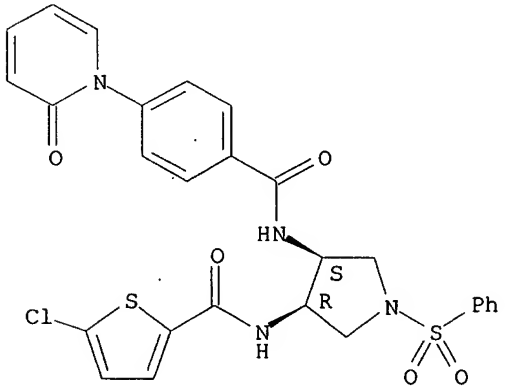
RN 766556-40-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-(methylsulfonyl)-4-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



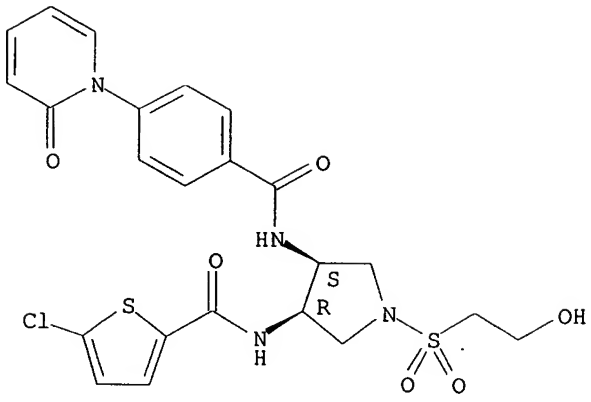
RN 766556-41-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-1-(phenylsulfonyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



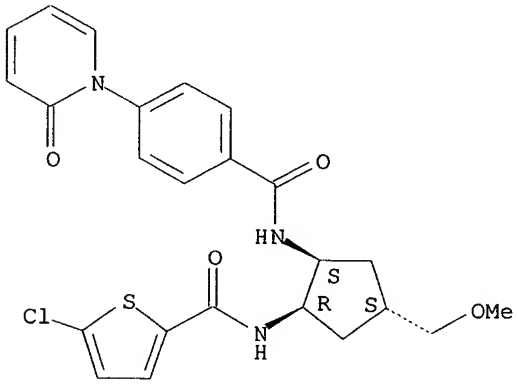
RN 766556-42-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-1-[(2-hydroxyethyl)sulfonyl]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



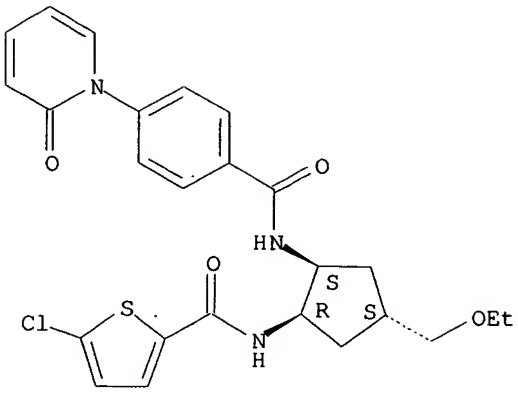
RN 766556-43-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(methoxymethyl)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



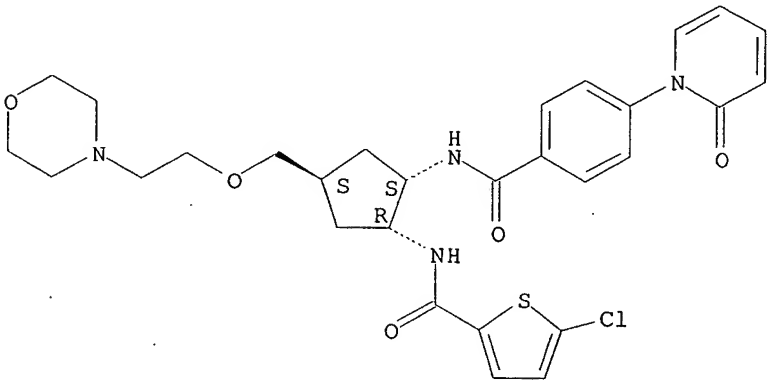
RN 766556-44-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(ethoxymethyl)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766556-45-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-[[2-(4-morpholinyl)ethoxy]methyl]-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

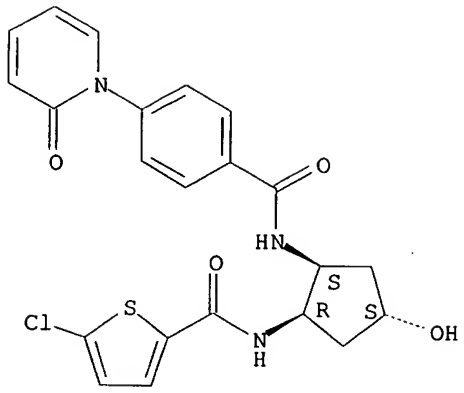
Absolute stereochemistry.



RN 766556-46-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-hydroxy-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

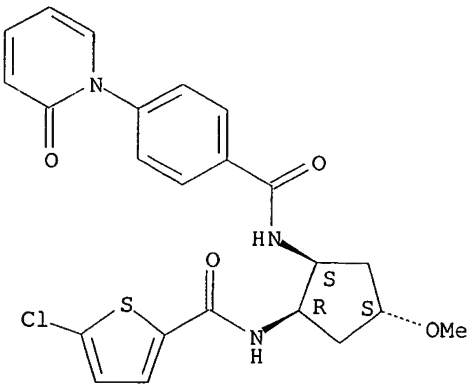
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



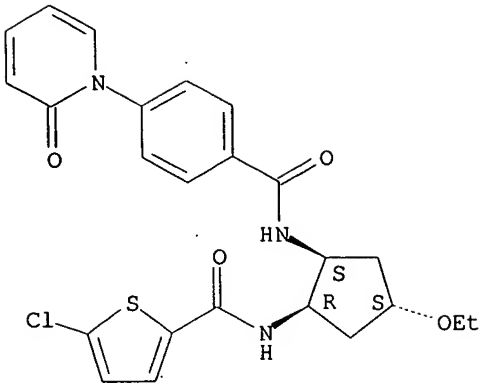
RN 766556-47-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-methoxy-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



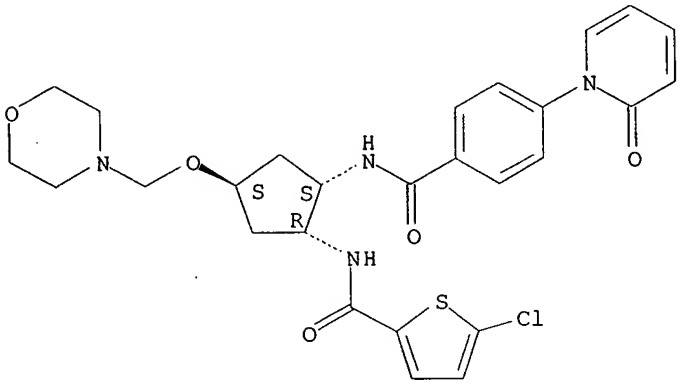
RN 766556-48-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-ethoxy-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



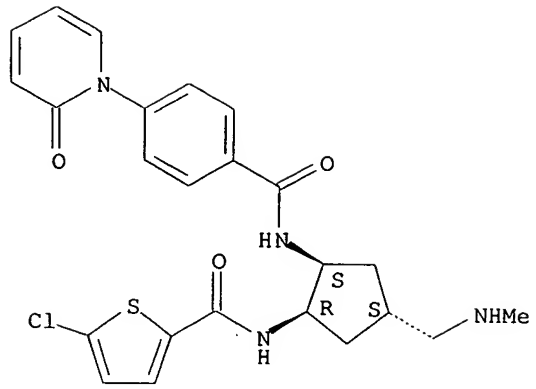
RN 766556-49-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-(4-morpholinylmethoxy)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



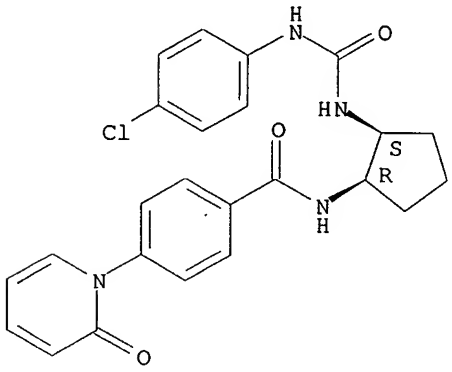
RN 766556-50-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-4-[(methylamino)methyl]-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766556-53-0 CAPLUS
CN Benzamide, N-[(1R,2S)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]cyclopenty
l]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)

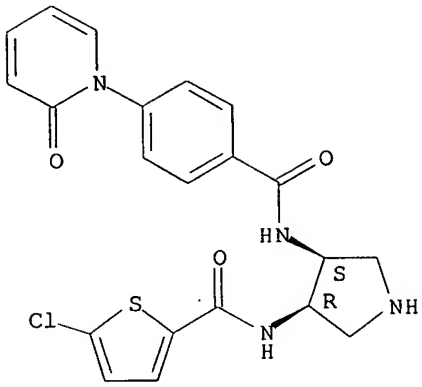
Absolute stereochemistry.



RN 766557-68-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-4-[[4-(2-oxo-1(2H)-
pyridinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

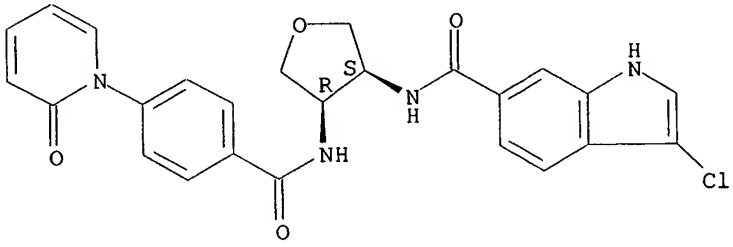
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



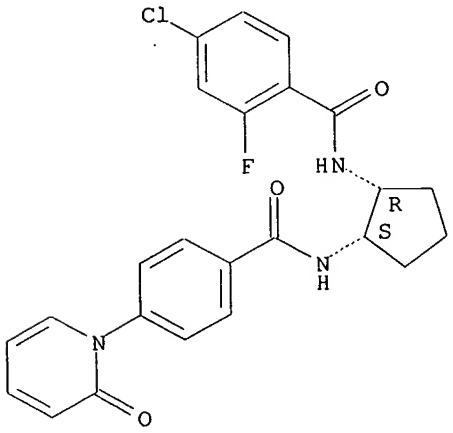
RN 766557-69-1 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(3S,4R)-tetrahydro-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766557-70-4 CAPLUS
CN Benzamide, 4-chloro-2-fluoro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

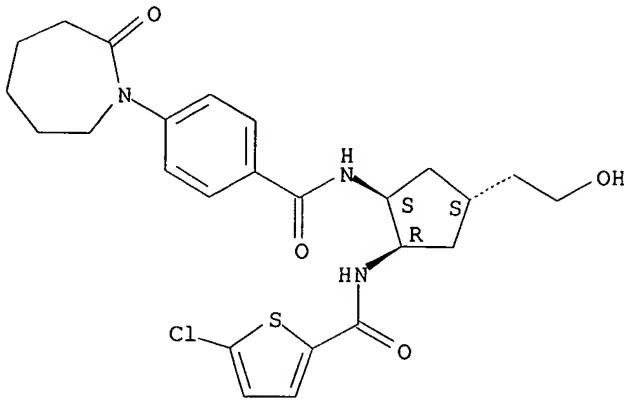
Absolute stereochemistry.



Searched by Barb O'Bryen, STIC 2-2518

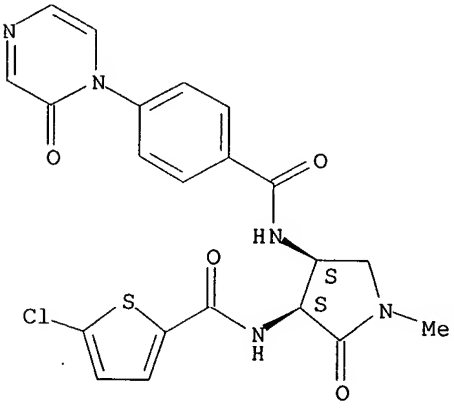
RN 766557-71-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S,4S)-2-[[4-(hexahydro-2-oxo-1H-azepin-1-yl)benzoyl]amino]-4-(2-hydroxyethyl)cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766557-72-6 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3S,4S)-1-methyl-2-oxo-4-[[4-(2-oxo-1(2H)-pyrazinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

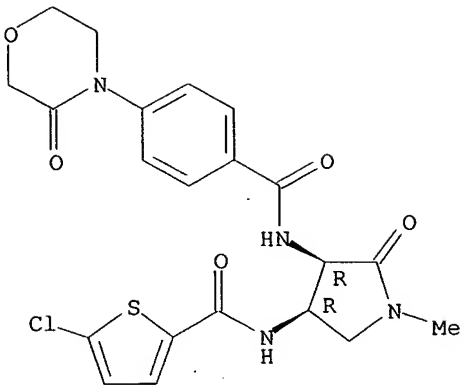
Absolute stereochemistry.



RN 766557-73-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4R)-1-methyl-5-oxo-4-[[4-(3-oxo-4-morpholinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

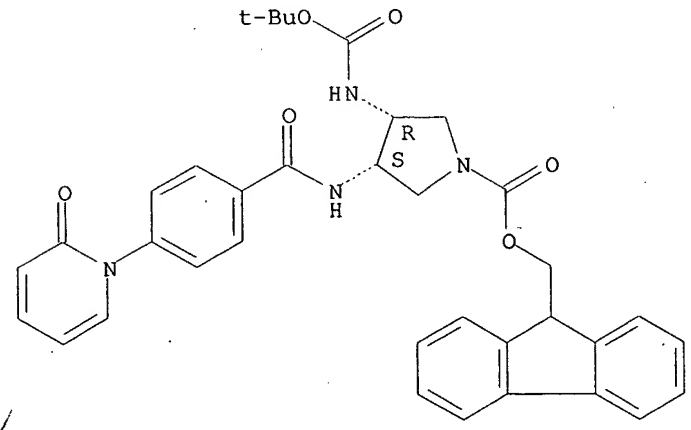
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 2-2518



IT **766556-96-1P**, (3R,4S)-3-[(tert-Butoxycarbonyl)amino]-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]pyrrolidine-1-carboxylic acid 9H-fluoren-9-ylmethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of lactam-containing cyclic diamines and derivs. as
factor Xa inhibitors for treating thromboembolic disorders)
RN 766556-96-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, 9H-fluoren-9-ylmethyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:780704 CAPLUS
DOCUMENT NUMBER: 141:296035
TITLE: Preparation of oxopyrazolocinnolines as CD80 inhibitors useful as immunomodulators
INVENTOR(S): Mathews, Ian Richard
PATENT ASSIGNEE(S): Avidex Limited, UK

Searched by Barb O'Bryen, STIC 2-2518

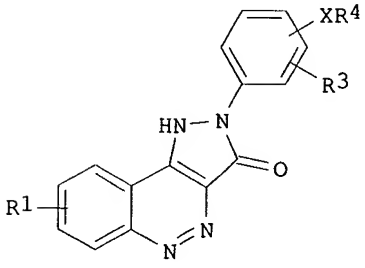
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004081011	A1	20040923	WO 2004-GB1008	20040310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004220310	A1	20040923	AU 2004-220310	20040310
CA 2519063	AA	20040923	CA 2004-2519063	20040310
EP 1603917	A1	20051214	EP 2004-719006	20040310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008365	A	20060321	BR 2004-8365	20040310
CN 1761664	A	20060419	CN 2004-80006886	20040310
NO 2005004710	A	20051213	NO 2005-4710	20051013

PRIORITY APPLN. INFO.:

GB 2003-5876	A	20030314
GB 2003-19429	A	20030819
WO 2004-GB1008	W	20040310

OTHER SOURCE(S): MARPAT 141:296035
ED Entered STN: 24 Sep 2004
GI



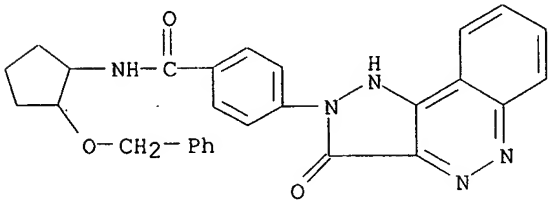
I

AB Title compds. [I; R1, R3 = H, F, Cl, Br, NO2, cyano, alkyl, fluoroalkyl, chloroalkyl, alkoxy, fluoroalkoxy; R4 = CO2H (ester), CONR6R7, NR7COR6, NR7COOR6, NHCONR6R7, NHCSNR6R7; R6 = H, (Alk)mQ; m = 0, 1; Alk = (substituted) alkylene, alkenylene, alkynylene, carbocyclylene which may contain ≥1 O, S, NR8; R8 = H, alkyl, alkenyl, alkynyl, cycloalkyl; Q = H, NR9R10; R9, R10 = H, alkyl, alkenyl, alkynyl, cycloalkyl, ester group, (substituted) carbocyclyl, heterocyclyl; R9R10N = (substituted) heterocyclyl; R7 = H, alkyl; R6R7 = atoms to form (substituted) heterocyclyl; X = bond, (Z)n(Alk), (Alk)(Z)n; Z = O, S, NH; n = 0, 1],

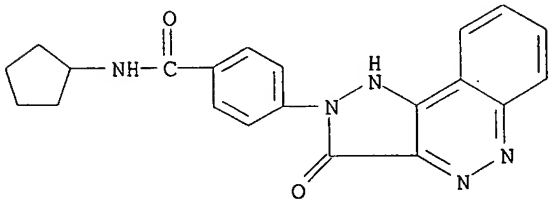
were prepared Thus, 4-(3-oxo-1,3-dihydro-2H-pyrazolo[4,3-c]cinnolin-2-yl)benzoic acid (preparation given) was stirred with DMF, diisopropylethylamine, 3-dimethylaminopropylamine, and HTBU at room temperature for 2 h to give 40% N-[(3-dimethylamino)propyl] 4-(3-oxo-1,3-dihydro-2H-pyrazolo[4,3-c]cinnolin-2-yl)benzamide (AV1142005). The latter inhibited interleukin-2 production by human Jurkat T cells by 65% at 30 μM.

IT **763142-61-6P 763143-05-1P 763143-14-2P**
763144-85-0P 763144-87-2P 763146-10-7P
763146-38-9P 763147-00-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxopyrazolocinnolines as CD80 inhibitors useful as immunomodulators)

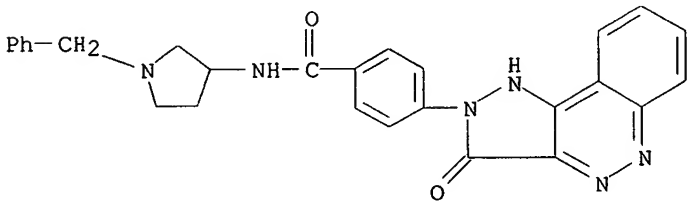
RN 763142-61-6 CAPLUS
CN Benzamide, 4-(1,3-dihydro-3-oxo-2H-pyrazolo[4,3-c]cinnolin-2-yl)-N-[2-(phenylmethoxy)cyclopentyl]- (9CI) (CA INDEX NAME)



RN 763143-05-1 CAPLUS
CN Benzamide, N-cyclopentyl-4-(1,3-dihydro-3-oxo-2H-pyrazolo[4,3-c]cinnolin-2-yl)- (9CI) (CA INDEX NAME)



RN 763143-14-2 CAPLUS
CN Benzamide, 4-(1,3-dihydro-3-oxo-2H-pyrazolo[4,3-c]cinnolin-2-yl)-N-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)



RN 763144-85-0 CAPLUS

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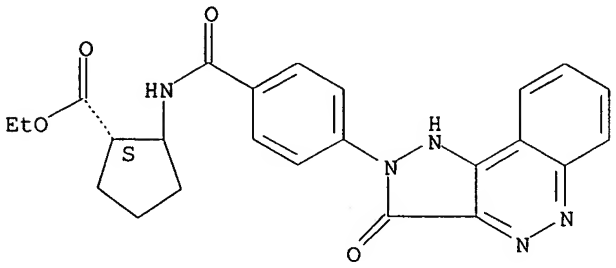
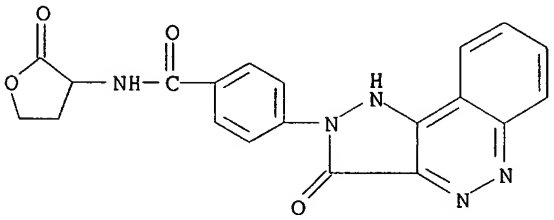
CN Cyclopentanecarboxylic acid, 2-[[4-(1,3-dihydro-3-oxo-2H-pyrazolo[4,3-c]cinnolin-2-yl)benzoyl]amino]-, ethyl ester, (1S)- (9CI) (CA INDEX NAME)

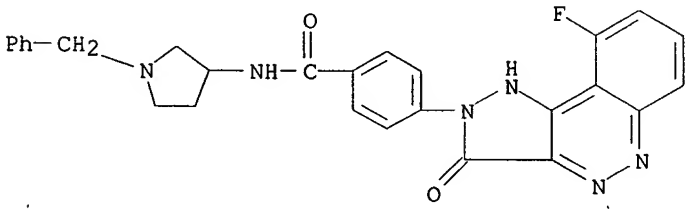
Absolute stereochemistry.



RN 763144-87-2 CAPLUS
CN Benzamide, 4-(1,3-dihydro-3-oxo-2H-pyrazolo[4,3-c]cinnolin-2-yl)-N-(tetrahydro-2-oxo-3-furanyl)- (9CI) (CA INDEX NAME)

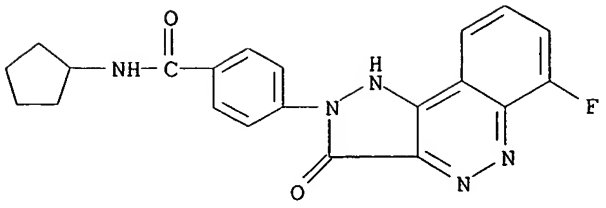


RN 763146-10-7 CAPLUS
CN Benzamide, 4-(9-fluoro-1,3-dihydro-3-oxo-2H-pyrazolo[4,3-c]cinnolin-2-yl)-N-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

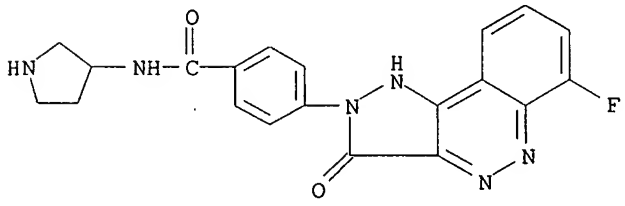


RN 763146-38-9 CAPLUS
CN Benzamide, N-cyclopentyl-4-(6-fluoro-1,3-dihydro-3-oxo-2H-pyrazolo[4,3-c]cinnolin-2-yl)- (9CI) (CA INDEX NAME)

Searched by Barb O'Bryen, STIC 2-2518



RN 763147-00-8 CAPLUS
CN Benzamide, 4-(6-fluoro-1,3-dihydro-3-oxo-2H-pyrazolo[4,3-c]cinnolin-2-yl)-N-3-pyrrolidinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:550741 CAPLUS
DOCUMENT NUMBER: 141:82299
TITLE: Antibiotics for the treatment of infections in acidic environments
INVENTOR(S): Locher, Hans
PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft Fuer Kombinatorische Chemie, Germany
SOURCE: U.S. Pat. Appl. Publ., 32 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004132764	A1	20040708	US 2003-690890		20031022
PRIORITY APPLN. INFO.:			US 2002-420810P	P	20021023

OTHER SOURCE(S): MARPAT 141:82299
ED Entered STN: 09 Jul 2004
AB The present invention relates to the use of compds., in which the pharmacophores of quinolone and oxazolidinone are chemical linked together through a linker that is stable under physiol. conditions, for the treatment of bacterial infections in acidic environments (pH<7.0). The activity of these compds. is strongly increased at even slightly acidic conditions that makes them especially interesting for the treatment of infections in abscesses or inflamed tissues. The pH-dependent antibacterial activity of three compds. is shown.
IT **714200-99-4**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);

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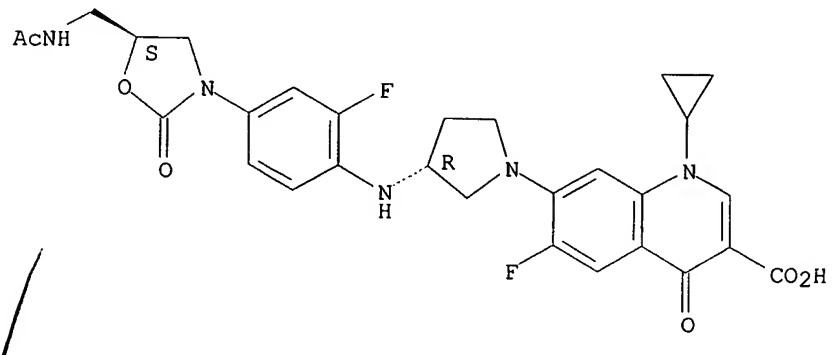
THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quinolone-oxazolidinone antibiotics for treatment of infections in acidic environments)

RN 714200-99-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]amino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:493673 CAPLUS

DOCUMENT NUMBER: 141:54189

TITLE: Preparation of hydroxyethylamine derivatives for the treatment of Alzheimer's disease

INVENTOR(S): Demont, Emmanuel H.; Faller, Andrew; MacPherson, David Timothy; Milner, Peter Henry; Naylor, Alan; Redshaw, Sally; Stanway, Steven James; Vesey, David R.; Walter, Daryl S.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050619	A1	20040617	WO 2003-EP13806	20031203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508325	AA	20040617	CA 2003-2508325	20031203
AU 2003292198	A1	20040623	AU 2003-292198	20031203
EP 1567488	A1	20050831	EP 2003-767756	20031203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003017020	A	20051025	BR 2003-17020		20031203
CN 1735592	A	20060215	CN 2003-80108515		20031203
JP 2006514634	T2	20060511	JP 2004-556305		20031203
US 2006025459	A1	20060202	US 2005-536303		20050525
NO 2005003263	A	20050831	NO 2005-3263		20050704
PRIORITY APPLN. INFO.:			GB 2002-28410	A	20021205
			WO 2003-EP13806	W	20031203

OTHER SOURCE(S): MARPAT 141:54189
ED Entered STN: 18 Jun 2004
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

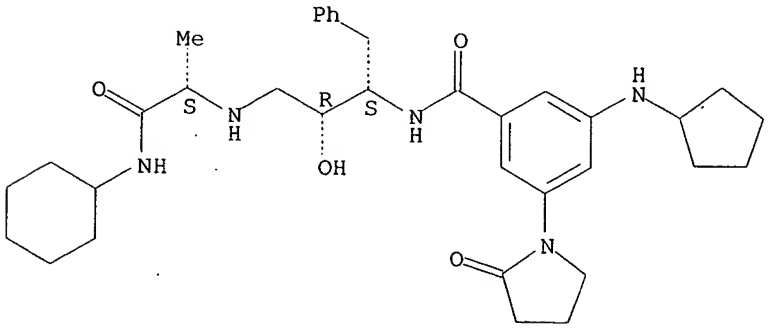
AB Title compds. I [R1 = alkyl, alkenyl, halo, etc.; R2' = H, alkyl, alkoxy, halo; m, n = 0-2; X = CO, SO, SO2; p = 1-3; R2 = H, alk(en)yl, (hetero)aryl, etc.; R3 = halo, alk(en)yl, (hetero)aryl, etc.; R4 = alkynyl, alkylaryl, etc.; R5 = H, alkyl, cycloalkyl, cycloalkenyl, etc.] are prepared For instance, 5-(2-oxopyrrolidin-1-yl)-N,N-dipropylisophthalamic (preparation given) is coupled to (2S)-2-[((2R,3S)-3-amino-2-hydroxy-4-phenylbutyl)amino]-N-cyclohexylpropionamide (preparation given) (DMF, EDCI, HOBT, 4-ethylmorpholine, 3 h) to give II. Compds. of the invention inhibit protease Asp2 and Cathepsin D. I are useful in the treatment of diseases characterized by elevated amyloid levels or amyloid deposits, particularly Alzheimer's disease.

IT **706796-08-9P**, N-[(1S,2R)-1-Benzyl-3-[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-cyclopentylamino-5-(2-oxopyrrolidin-1-yl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)

RN 706796-08-9 CAPLUS

CN Benzamide, N-[(1S,2R)-3-[[(1S)-2-(cyclohexylamino)-1-methyl-2-oxoethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(cyclopentylamino)-5-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

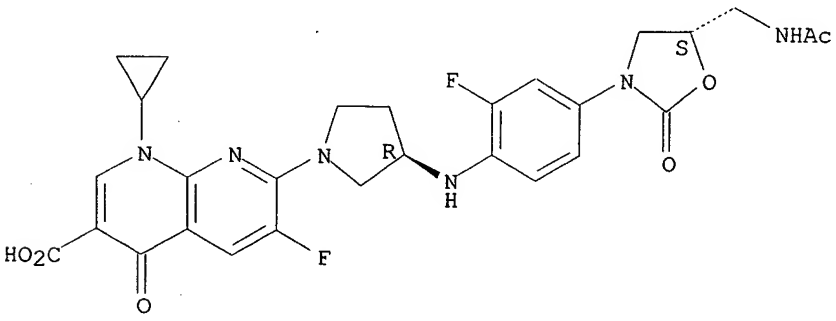


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Searched by Barb O'Bryen, STIC 2-2518

L21 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:877318 CAPLUS
DOCUMENT NUMBER: 140:111314
TITLE: Structure-activity relationship in the oxazolidinone-quinolone hybrid series: influence of the central spacer on the antibacterial activity and the mode of action
AUTHOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc; Baeschlin, Daniel K.; Borer, Yves; Haefeli, Sascha; Sigwalt, Christine; Schroeder, Susanne; Locher, Hans H.
CORPORATE SOURCE: Morphochem AG, Basel, 4058, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4229-4233
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:111314
ED Entered STN: 10 Nov 2003
AB Oxazolidinone-quinolone hybrids, which combine the pharmacophores of a quinolone and an oxazolidinone, were synthesized and shown to be active against a variety of susceptible and resistant Gram-pos. and Gram-neg. bacteria. The nature of the spacer greatly influences the antibacterial activity by directing the mode of action, that is quinolone- and/or oxazolidinone-like activity. The best compds. in this series have a balanced dual mode of action and overcome all types of resistance, including resistance to quinolones and linezolid, in clin. relevant Gram-pos. pathogens.
IT **510729-22-3**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and structure-activity relationship of oxazolidinone-quinolone hybrid series and their influence of central spacer on antibacterial activity and mode of action)
RN 510729-22-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(3R)-3-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]amino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



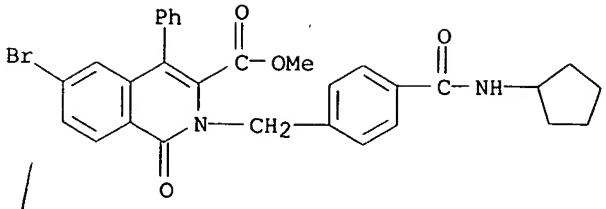
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Searched by Barb O'Bryen, STIC 2-2518

L21 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:656749 CAPLUS
DOCUMENT NUMBER: 139:197386
TITLE: Preparation of isoquinolinone derivatives as JNK inhibitors
INVENTOR(S): Itoh, Fumio; Kimura, Hiroyuki; Igata, Hideki; Kawamoto, Tomohiro; Sasaki, Mitsuru; Kitamura, Shuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 369 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003068750	A1	20030821	WO 2003-JP1429		20030212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2476162	AA	20030821	CA 2003-2476162		20030212
AU 2003211931	A1	20030904	AU 2003-211931		20030212
EP 1484320	A1	20041208	EP 2003-705075		20030212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2005148624	A1	20050707	US 2003-504132		20030212
JP 2004143134	A2	20040520	JP 2003-35096		20030213
PRIORITY APPLN. INFO.:			JP 2002-35073	A	20020213
			JP 2002-251997	A	20020829
			WO 2003-JP1429	W	20030212

OTHER SOURCE(S): MARPAT 139:197386
ED Entered STN: 22 Aug 2003
AB Claimed are JNK (c-Jun N-terminal kinase) inhibitors containing isoquinolinones or salts thereof. The second claim specifies that said isoquinolinones are 1-isoquinolinones. Compds. of this invention in vitro showed IC50 values of 0.0067 μM to 0.095 μM against JNK1. Formulations are given.
IT **583835-72-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoquinolinone derivs. as JNK inhibitors)
RN 583835-72-7 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 6-bromo-2-[[4-[(cyclopentylamino)carbonyl]phenyl]methyl]-1,2-dihydro-1-oxo-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

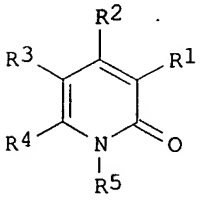
L21 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:656582 CAPLUS
DOCUMENT NUMBER: 139:197371
TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase
INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; McGee, Kevin F.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 1052 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068230	A1	20030821	WO 2003-US4634	20030214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2476012	AA	20030821	CA 2003-2476012	20030214
AU 2003217433	A1	20030904	AU 2003-217433	20030214
US 2004058964	A1	20040325	US 2003-367987	20030214
BR 2003007631	A	20041221	BR 2003-7631	20030214
EP 1490064	A1	20041229	EP 2003-713478	20030214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1646125	A	20050727	CN 2003-808042	20030214
JP 2005531501	T2	20051020	JP 2003-567412	20030214
ZA 2004006275	A	20051004	ZA 2004-6275	20040805
NO 2004003820	A	20041109	NO 2004-3820	20040913
PRIORITY APPLN. INFO.:			US 2002-357029P P	20020214

Searched by Barb O'Bryen, STIC 2-2518

US 2002-436915P P 20021230
WO 2003-US4634 W 20030214

OTHER SOURCE(S): MARPAT 139:197371
ED Entered STN: 22 Aug 2003
GI



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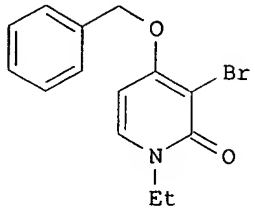


II

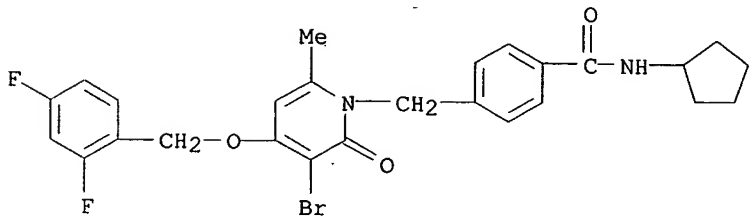
AB Disclosed are title compds. I [wherein R1 = H, halo, NO2, CHO, CN, CO2H, or (un)substituted (halo)alkyl, (aryl)alkoxy, aryl(alkyl), alkenyl, (aryl)alkynyl, (aryl)alkanoyl, alkoxyalkyl, or haloalkoxy; R2 = H, OH, halo, NR8R9, CO2R, or (un)substituted OSO2-alkyl, OSO2-aryl, arylalkoxy, aryloxy(alkyl), arylthio(alkoxy), arylalkynyl, alkoxy(alkoxy), alkyl, alkynyl, OCONH(CH2)n-aryl, OCON(alkyl)(CH2)n-aryl, dialkylamino, (hetero)aryl(alkyl), arylalkenyl, or heterocycloalkyl(alkyl); R3 = H, halo, alkenyl, NR6R7, NR6R7-alkyl, alkyl, or (un)substituted (aryl)alkoxycarbonyl, aryloxycarbonyl, arylalkyl, OCONH(CH2)n-aryl, arylalkoxy, OCON(alkyl)(CH2)n-aryl, aryloxy, arylthio, or (aryl)thioalkoxy; R4 = H or (un)substituted alkyl; R5 = H, aryl, aryl(thio)alkyl, NH2, alkoxycarbonyl, alkynyl, SO2-alkyl, (hetero)cycloalkyl(alkyl), heteroaryl, or (un)substituted alkyl, alkoxy(alkyl), or alkenyl; R6 and R7 = independently H, OH, or (un)substituted (aryl)alkyl, alkoxy(alkyl), alkanoyl(alkyl), arylalkoxy, SO2-alkyl, (aryl)alkoxycarbonyl, heteroarylalkyl, or arylalkanoyl; or NR6R7 = (un)substituted (thio)morpholinyl, pyrrolidinyl, piperidinyl, pyrrolidinyl, or piperazinyl; R8 = independently H or (un)substituted (aryl)alkyl or (aryl)alkanoyl; R9 = H or (un)substituted (aryl)alkyl, (aryl)alkanoyl, cycloalkyl(alkyl), alkenyl, heteroaryl, (alkyl)aminoalkyl, SO2Ph, or aryl; R = independently H or (un)substituted alkyl; n = 0-6; and pharmaceutically acceptable salts thereof]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity, such as inflammation, ischemia, viral infections, and autoimmune diseases (no data). Pharmaceutical compns. containing I, methods of preparing them, and methods of treatment using the compds. are also disclosed. For example, reaction of 4-benzyloxy-2(1H)-pyridone with EtBr in the presence of K2CO3 in DMF gave II. The latter inhibited MKK6-activated human p38α kinase phosphorylation of a biotinylated substrate or human p38α-induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 μM to 25 μM.

IT **586375-47-5P**, 3-Bromo-4-[(2,4-difluorobenzyl)oxy]-6-methyl-1-[4-[(cyclopentylamino)carbonyl]benzyl]pyridin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 586375-47-5 CAPLUS

CN Benzamide, 4-[[3-bromo-4-[(2,4-difluorophenyl)methoxy]-6-methyl-2-oxo-

1(2H)-pyridinyl]methyl]-N-cyclopentyl- (9CI) (CA INDEX NAME)

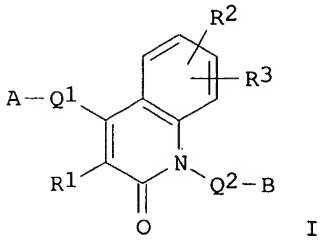


RE FERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:389980 CAPLUS
DOCUMENT NUMBER: 138:401612
TITLE: Preparation of carbostyryl derivatives and their use as oxytocin antagonists and therapeutics for treatment of premature delivery, miscarriage, dysmenorrhea, and galactorrhea
INVENTOR(S): Shiraiwa, Masafumi; Ota, Shuji; Takefuchi, Ken; Uchida, Hiroshi; Saegusa, Mamoru; Mitsubori, Tomohiro; Yoshizawa, Masayuki
PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 142 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003146972	A2	20030521	JP 2001-348850	20011114
PRIORITY APPLN. INFO.:			JP 2001-348850	20011114

OTHER SOURCE(S): MARPAT 138:401612
ED Entered STN: 21 May 2003
GI



I

AB Title derivs. I [Q1 = bond, CH2, CH2CH2, vinyl, CHMe, etc.; A = lower alkyl, (un)substituted cycloalkyl (condensed with hydrocarbyl ring), (un)substituted aryl, (un)substituted heterocyclyl (condensed with hydrocarbyl ring); R1 = H, lower alkyl; R2, R3 = H, (un)substituted lower

alkyl(oxy), aralkyloxy, piperidinyl, etc.; R2R3 may be linked to form lower alkylenedioxy; Q2 = bond, CH2, CH2CH2, etc.; B = CO2H, lower alkoxycarbonyl, (un)substituted 2-pyridinyl, (un)substituted Ph, (un)substituted cyclohexyl, etc.] or their salts are claimed. The derivs. are also useful for termination of delivery prior to Caesarean section. Thus, 4-(2,3-dimethoxyphenyl)-7-methoxy-2-oxoquinoline was treated with Me 4-bromomethylbenzoate to give 56% I (AQ1 = 2,3-dimethoxyphenyl, R1-R3 = H, Q2B = 4-CH2C6H4CO2Me), which inhibited binding of [3H]-oxytocin to its receptor with IC50 of 0.972 μmol/L.

IT **528824-18-2P**

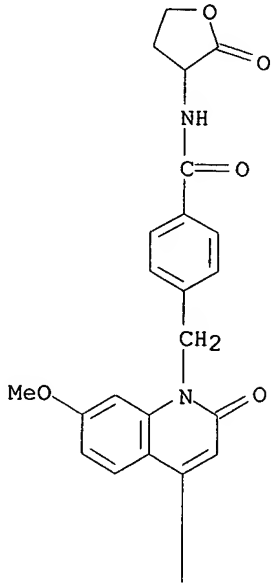
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carbostyryl derivs. as oxytocin antagonists)

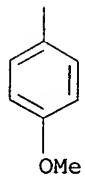
RN 528824-18-2 CAPLUS

CN Benzamide, 4-[[7-methoxy-4-(4-methoxyphenyl)-2-oxo-1(2H)-quinolinyl]methyl]-N-(tetrahydro-2-oxo-3-furanyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

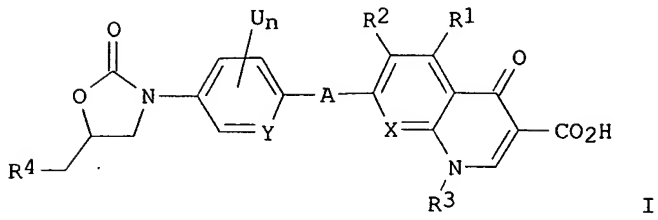


Searched by Barb O'Bryen, STIC 2-2518

L21 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:319692 CAPLUS
DOCUMENT NUMBER: 138:338143
TITLE: Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria
INVENTOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc
PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003032962	A2	20030424	WO 2002-EP11163		20021004
WO 2003032962	A3	20030717			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2460572	AA	20030424	CA 2002-2460572		20021004
EP 1432705	A2	20040630	EP 2002-796533		20021004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002013063	A	20040928	BR 2002-13063		20021004
US 2005096343	A1	20050505	US 2003-491519		20021004
CN 1630655	A	20050622	CN 2002-819724		20021004
JP 2005529061	T2	20050929	JP 2003-535766		20021004
NZ 531879	A	20051028	NZ 2002-531879		20021004
ZA 2004001909	A	20050309	ZA 2004-1909		20040309
PRIORITY APPLN. INFO.:			US 2001-327162P	P	20011004
			WO 2002-EP11163	W	20021004

OTHER SOURCE(S): MARPAT 138:338143
ED Entered STN: 25 Apr 2003
GI



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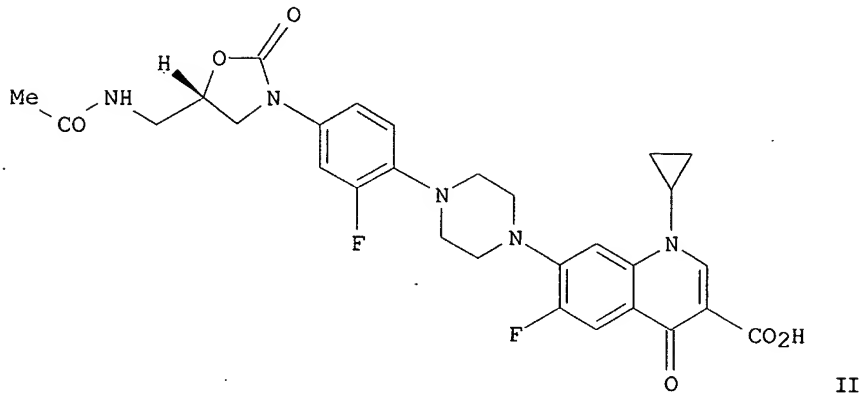


II

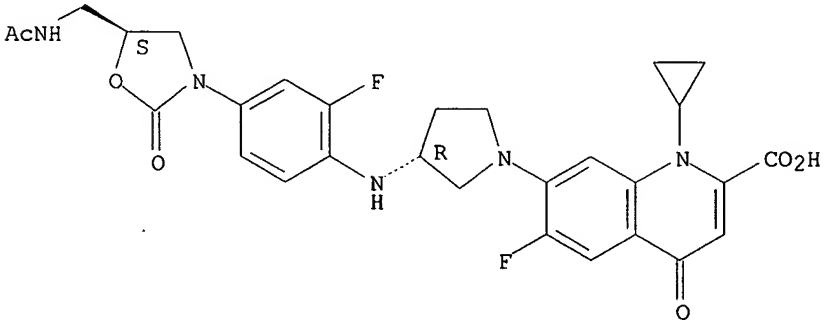
AB The present invention relates to compds. of the Formula (I) that are useful antimicrobial agents and effective against a variety of multi-drug resistant bacteria. The present invention relates to oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included; the examples of this patent and many of the claims are the same as those of WO 03/031443 A1. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds.

IT **510728-61-7P**, 7-[(3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-

oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-2-carboxylic acid **510729-22-3P**
, 7-[(3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

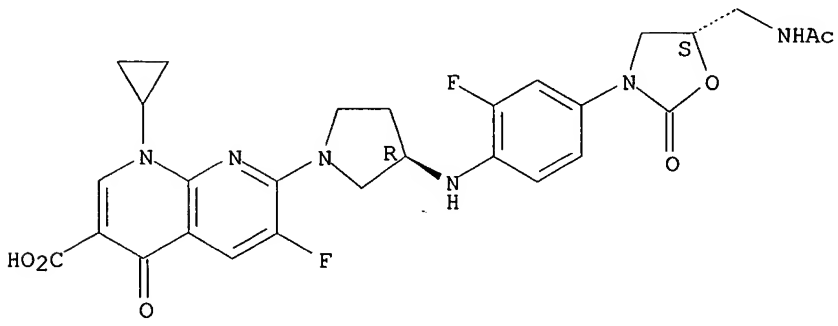
RN 510728-61-7 CAPLUS
CN 2-Quinolinecarboxylic acid, 7-[(3R)-3-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]amino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 510729-22-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(3R)-3-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]amino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:301084 CAPLUS
DOCUMENT NUMBER: 138:304289

Searched by Barb O'Bryen, STIC 2-2518

TITLE: Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria
INVENTOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc
PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031443	A1	20030417	WO 2002-EP10766	20020925
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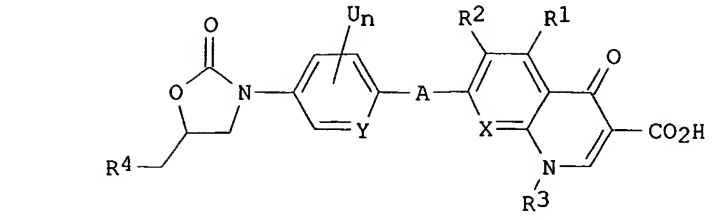
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1630655	A	20050622	CN 2002-819724	20021004
ZA 2004001909	A	20050309	ZA 2004-1909	20040309

PRIORITY APPLN. INFO.: US 2001-327162P P 20011004
OTHER SOURCE(S): MARPAT 138:304289
ED Entered STN: 18 Apr 2003
GI

Searched by Barb O'Bryen, STIC 2-2518



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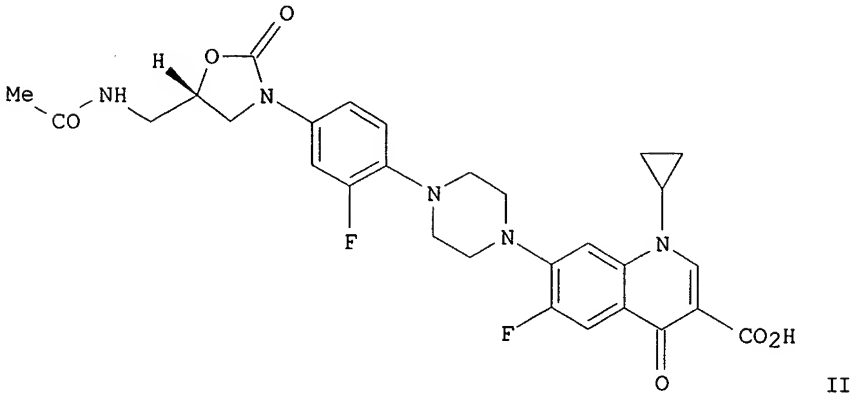


II

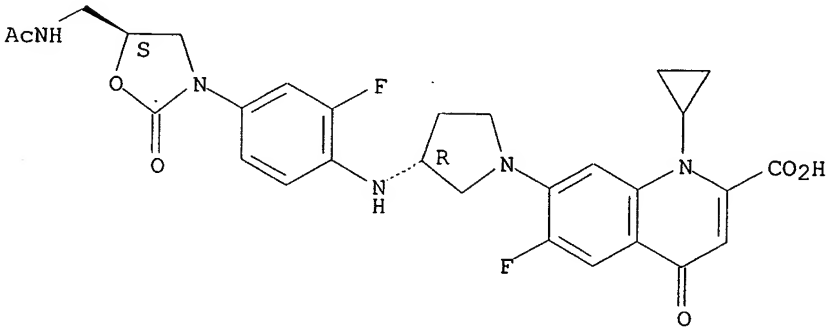
AB The present invention relates to oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds.

IT **510728-61-7P**, 7-[(3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-2-carboxylic acid **510729-22-3P**, 7-[(3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-

dihydro-[1,8]naphthyridine-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

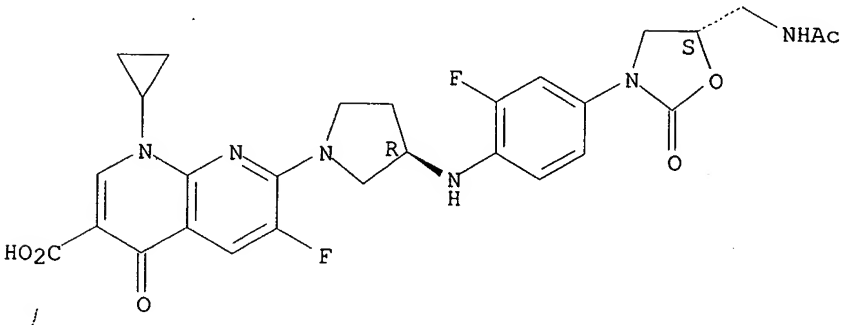
RN 510728-61-7 CAPLUS
CN 2-Quinolinecarboxylic acid, 7-[(3R)-3-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]amino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 510729-22-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(3R)-3-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]amino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:301082 CAPLUS
DOCUMENT NUMBER: 138:304288
TITLE: Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone

Searched by Barb O'Bryen, STIC 2-2518

moiety effective against multi-drug resistant bacteria

INVENTOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc

PATENT ASSIGNEE(S): Morphochen Aktiengesellschaft fuer Kombinatorische Chemie, Germany

SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031441	A1	20030417	WO 2002-EP10765	20020925

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

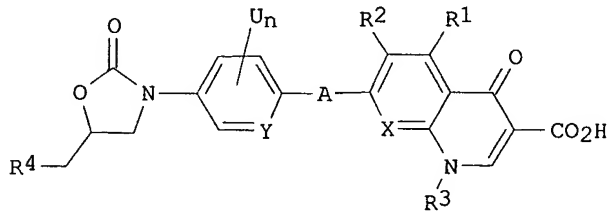
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-327208P P 20011004

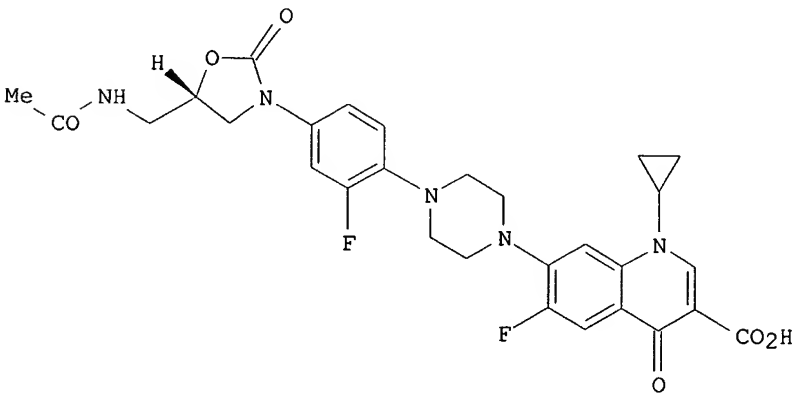
OTHER SOURCE(S): MARPAT 138:304288

ED Entered STN: 18 Apr 2003

GI



I



II

Searched by Barb O'Bryen, STIC 2-2518

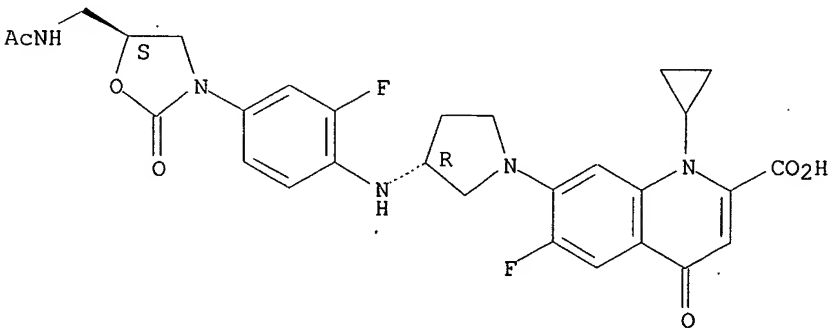
AB The present invention refers to novel multiple action compds., i.e., to compds. which contain at least two pharmaceutically active components in one mol. The compds. have a higher stability than corresponding compds. of the prior art. Although the present invention does not claim any specific compds. or even a Markush expression, the examples involve oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds. The examples of this patent are the same as those of WO 03/031443 A1.

IT **510728-61-7P 510729-22-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510728-61-7 CAPLUS

CN 2-Quinolinecarboxylic acid, 7-[(3R)-3-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]amino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

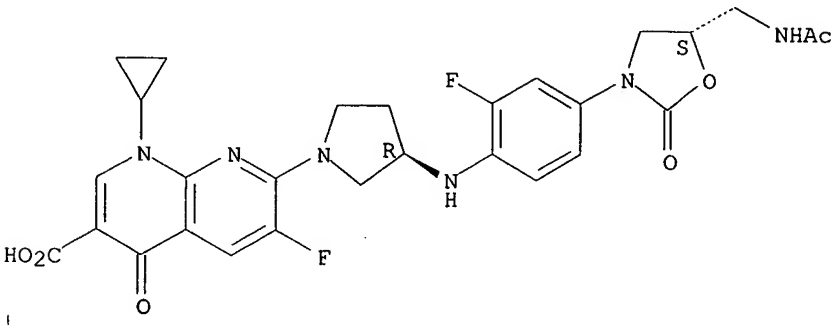
Absolute stereochemistry.



Searched by Barb O'Bryen, STIC 2-2518

RN 510729-22-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(3R)-3-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]amino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:261670 CAPLUS
DOCUMENT NUMBER: 138:287666
TITLE: Preparation of heteroaryllactams as Factor Xa inhibitors
INVENTOR(S): Pinto, Donald; Quan, Mimi; Orwat, Michael; Li, Yun-Long; Han, Wei; Qiao, Jennifer; Lam, Patrick; Koch, Stephanie
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 441 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026652	A1	20030403	WO 2002-US29491	20020917
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2461202	AA	20030403	CA 2002-2461202	20020917
US 2003191115	A1	20031009	US 2002-245122	20020917
US 6967208	B2	20051122		
EP 1427415	A1	20040616	EP 2002-775843	20020917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

BR 2002012726	A	20040803	BR 2002-12726		20020917
CN 1578660	A	20050209	CN 2002-821537		20020917
JP 2005507889	T2	20050324	JP 2003-530289		20020917
ZA 2004002184	A	20050503	ZA 2004-2184		20040318
NO 2004001163	A	20040503	NO 2004-1163		20040319
US 2004220174	A1	20041104	US 2004-850587		20040520
US 6989391	B2	20060124			
US 2005124602	A1	20050609	US 2004-970781		20041021
US 7005435	B2	20060228			
US 2005171085	A1	20050804	US 2004-970807		20041021
US 6995172	B2	20060207			
US 2005261287	A1	20051124	US 2005-154972		20050616
US 2005267097	A1	20051201	US 2005-198801		20050805
PRIORITY APPLN. INFO.:			US 2001-324165P	P	20010921
			US 2002-402317P	P	20020809
			US 2002-245122	A3	20020917
			WO 2002-US29491	W	20020917
			US 2004-850587	A3	20040520
			US 2004-970807	A1	20041021

OTHER SOURCE(S): MARPAT 138:287666

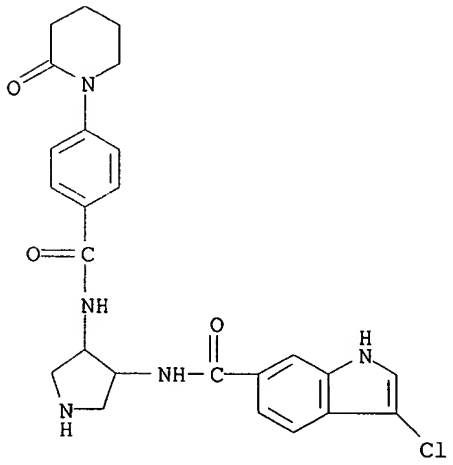
ED Entered STN: 04 Apr 2003

AB P4PMM4 [M = 3-10 membered (substituted) (unsatd.) carbocyclyl, 4-10 membered heeterocyclyl; P = null, 5-7 membered (substituted) (unsatd.) carbocyclyl, heterocyclyl fused to ring M; 1 of P4, M4 = ZAB, the other = G1G; G = (benzo-, pyrido-, pyrimido-, pyrazino-, or pyridazino-fused) (substituted) (unsatd.) 5-6 membered (hetero)cyclyl; G1 = null, (CR3R3a)1-5, etc.; R3, R3a = H, Me, Et, Pr, Ph, PhCH2, etc.; Z = bond, (CR3R3e)1-4, etc.; R3e = H, SO2NHR3, SO2N(R3)2, COR3, (substituted) alkyl, alkenyl, alkynyl, etc.; A = (substituted) 3-10 membered carbocyclyl, 5-12 membered heterocyclyl; Z = XNQ; X = null, CO, SO, SO2, etc.; NQ = 4-8 membered mono- or bicyclic (substituted) (unsatd.) ring containing a CO or SO2 group adjacent to the N atom; with provisos], were prepared Thus, 6-(4-iodophenyl)-3-methoxy-1-(4-methoxyphenyl)-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one (preparation given), δ-valerolactam, K2CO3, and CuI were refluxed in Me2SO to give 15% 3-methoxy-1-(4-methoxyphenyl)-6-[4-(2-oxo-1-piperidinyl)phenyl]-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one. Several title compds. inhibited Factor Xa with IC50≤ 10 μM.

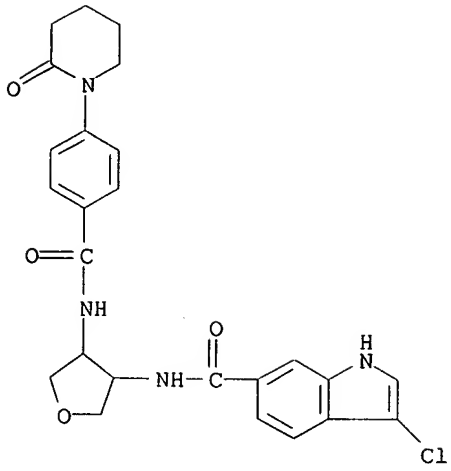
IT **503613-66-9P**, 3-Chloro-N-(4-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]pyrrolidin-3-yl)-1H-indole-6-carboxamide
503613-67-0P, 3-Chloro-N-(4-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]tetrahydrofuran-3-yl)-1H-indole-6-carboxamide
503613-68-1P, 3-Chloro-N-(2-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]cyclopentyl)-1H-indole-6-carboxamide **503613-80-7P**, 5-Chloro-N-(2-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]cyclopentyl)thiophene-2-carboxamide **503613-81-8P**, 5-Chloro-N-(2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl)thiophene-2-carboxamide
503613-88-5P, 5-Chloro-N-(2-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]cyclopentyl)-1H-indole-2-carboxamide **503613-89-6P**, 5-Chloro-N-(2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl)-1H-indole-2-carboxamide **503613-96-5P**, 6-Chloro-N-(2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl)-2-naphthalenecarboxamide
503613-97-6P, 6-Chloro-N-(2-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]cyclopentyl)-2-naphthalenecarboxamide
503614-03-7P, 2-Chloro-N-(2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl)quinoline-6-carboxamide **503614-04-8P**, 2-Chloro-N-(2-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]cyclopentyl)quinoline-6-carboxamide **503614-10-6P**, 6-Chloro-N-(2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl)-1-benzothiophene-2-carboxamide

503614-11-7P, 6-Chloro-N-(2-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]cyclopentyl)-1-benzothiophene-2-carboxamide **503614-17-3P**, 6-Chloro-N-(2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl)thieno[2,3-b]pyridine-2-carboxamide **503614-18-4P**, 6-Chloro-N-(2-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]cyclopentyl)thieno[2,3-b]pyridine-2-carboxamide **503614-24-2P**, 5-Methoxy-N-(2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl)thiophene-2-carboxamide **503614-30-0P**, 4-Methoxy-N-(2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl)benzamide **503614-31-1P**, 4-Methoxy-N-(2-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]cyclopentyl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of heteroaryllactams as Factor Xa inhibitors)

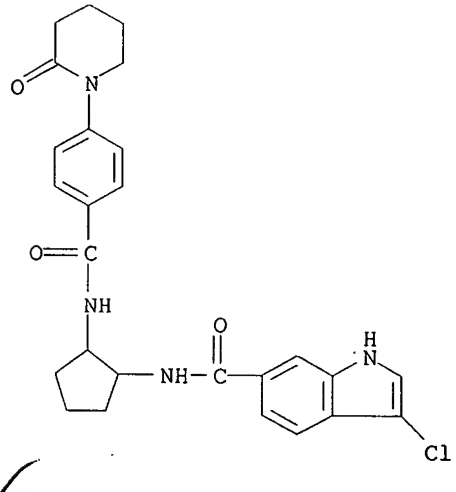
RN 503613-66-9 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)



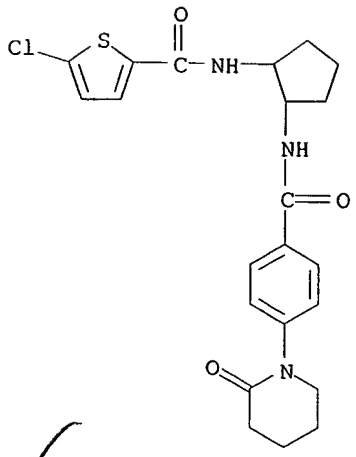
RN 503613-67-0 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[tetrahydro-4-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]-3-furanyl]- (9CI) (CA INDEX NAME)



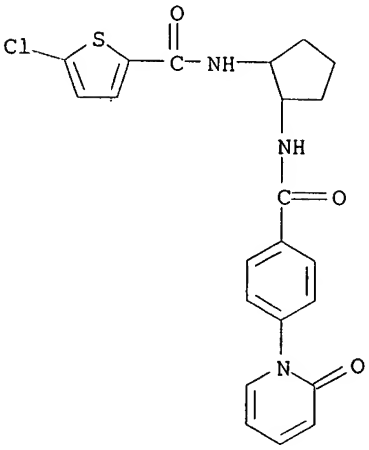
RN 503613-68-1 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)



RN 503613-80-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

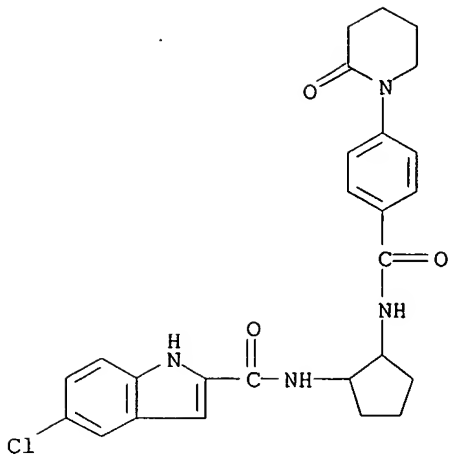


RN 503613-81-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

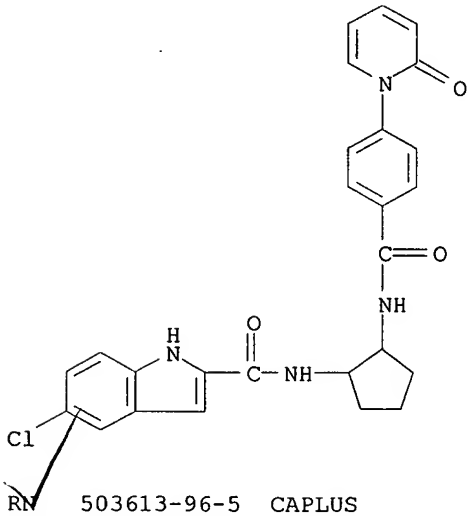


RN 503613-88-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

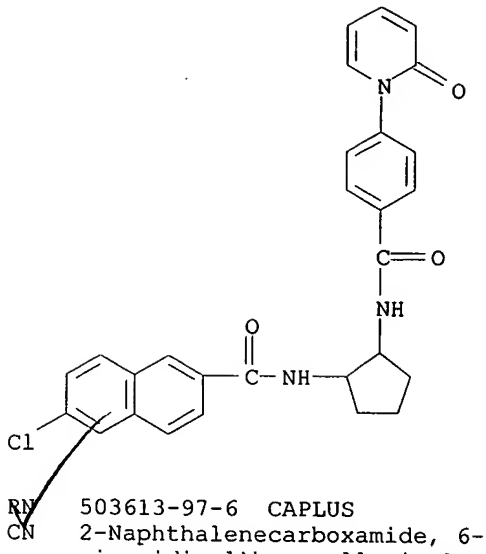
Searched by Barb O'Bryen, STIC 2-2518



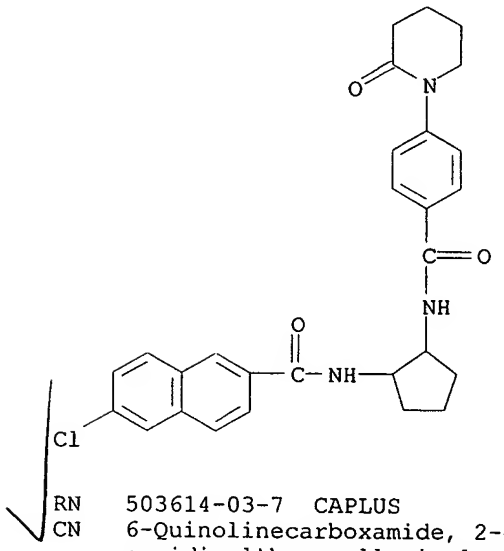
RN 503613-89-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)



RN 503613-96-5 CAPLUS
CN 2-Naphthalenecarboxamide, 6-chloro-N-[2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

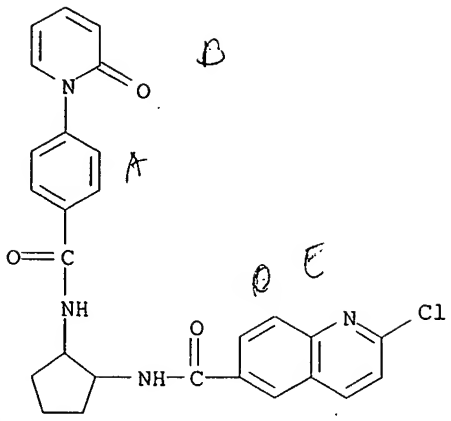


RN 503613-97-6 CAPLUS
CN 2-Naphthalenecarboxamide, 6-chloro-N-[2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

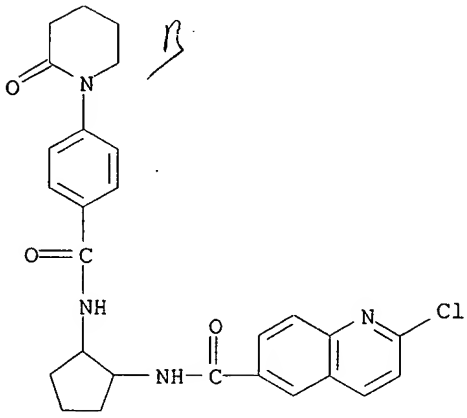


RN 503614-03-7 CAPLUS
CN 6-Quinolinecarboxamide, 2-chloro-N-[2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Searched by Barb O'Bryen, STIC 2-2518

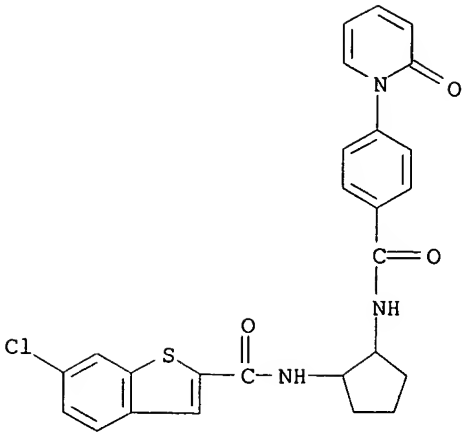


RN 503614-04-8 CAPLUS
CN 6-Quinolinecarboxamide, 2-chloro-N-[2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

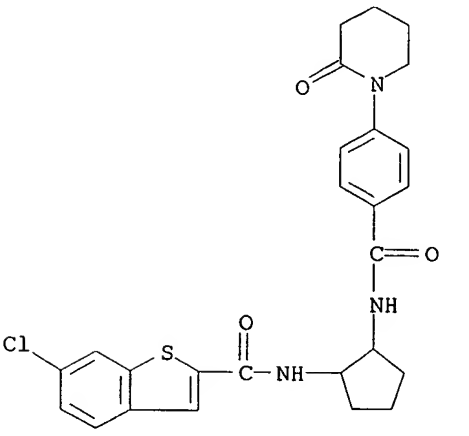


RN 503614-10-6 CAPLUS
CN Benzo[b]thiophene-2-carboxamide, 6-chloro-N-[2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

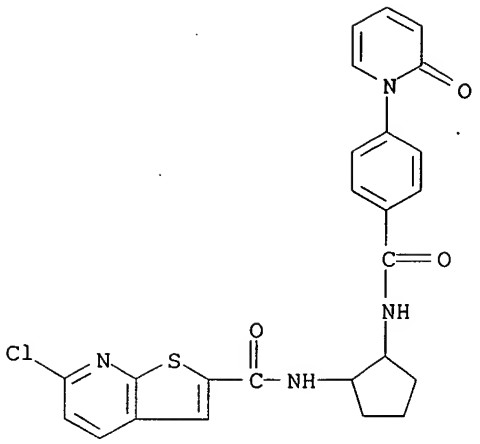
Searched by Barb O'Bryen, STIC 2-2518



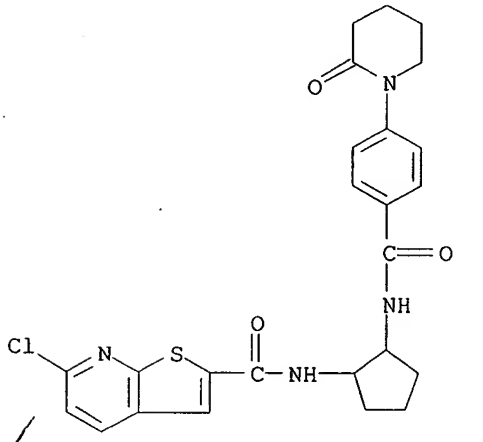
RN 503614-11-7 CAPLUS
CN Benzo[b]thiophene-2-carboxamide, 6-chloro-N-[2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)



RN 503614-17-3 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

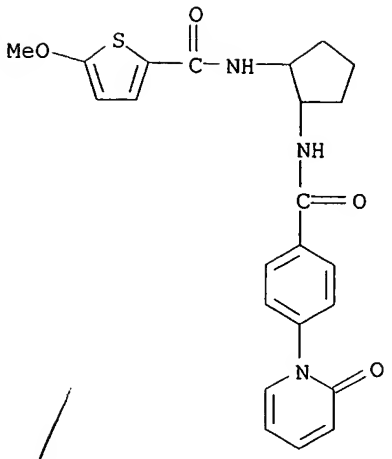


RN 503614-18-4 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

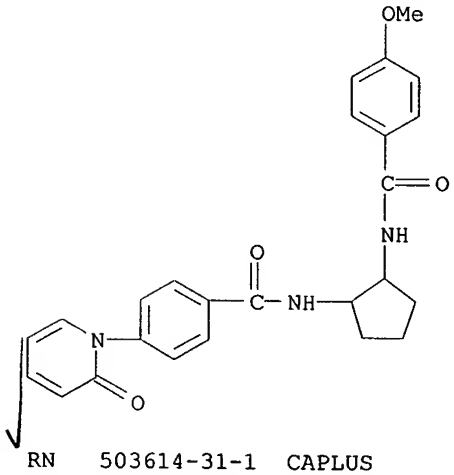


RN 503614-24-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-methoxy-N-[2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

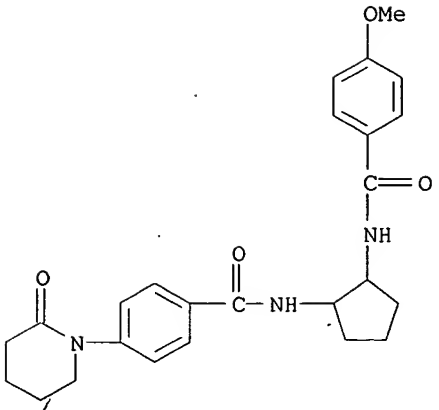
Searched by Barb O'Bryen, STIC 2-2518



RN 503614-30-0 CAPLUS
CN Benzamide, N-[2-[(4-methoxybenzoyl)amino]cyclopentyl]-4-(2-oxo-1(2H)-pyridinyl)- (9CI) (CA INDEX NAME)



RN 503614-31-1 CAPLUS
CN Benzamide, N-[2-[(4-methoxybenzoyl)amino]cyclopentyl]-4-(2-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)



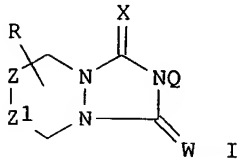
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:185127 CAPLUS
DOCUMENT NUMBER: 136:232306
TITLE: Method for producing anellated tetrahydro-[1H]-triazoles for use as herbicides
INVENTOR(S): Hamprecht, Gerhard; Menke, Olaf; Reinhard, Robert; Puhl, Michael; Sagasser, Ingo; Zagar, Cyrill; Witschel, Matthias; Walter, Helmut
PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020531	A2	20020314	WO 2001-EP10352	20010907
WO 2002020531	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001091836	A5	20020322	AU 2001-91836	20010907
CA 2421839	AA	20030307	CA 2001-2421839	20010907
EP 1315733	A2	20030604	EP 2001-972023	20010907
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004508377	T2	20040318	JP 2002-525152	20010907
US 2004097728	A1	20040520	US 2003-363661	20030305
PRIORITY APPLN. INFO.:			DE 2000-10044457 A	20000908
			WO 2001-EP10352 W	20010907

Searched by Barb O'Bryen, STIC 2-2518

OTHER SOURCE(S): CASREACT 136:232306; MARPAT 136:232306
ED Entered STN: 15 Mar 2002
GI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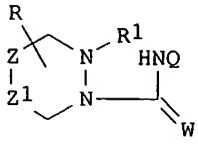
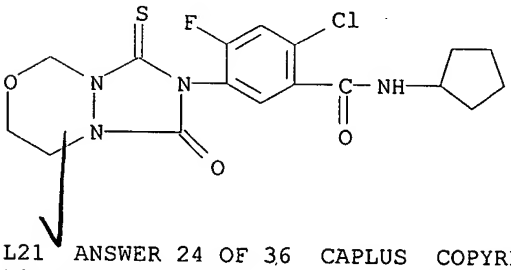

II

AB Anellated tetrahydro-[1H]-triazoles I [R = halogen, CN, (un)substituted CO2H, CONH2, OH, alkyl, alkenyl, acyl, alkynyl, alkylthio, alkylsulfinyl, alkylsulfonyl; X, W = O, S; Q = (un)substituted aryl, heteroaryl; Z, Z1 = (un)substituted CH2, O, S, S(O), SO2] were prepared by cyclizing the ureas or thioureas II [R1 = esterified CO2H, COSH] with base. Thus, I [R = H, X = O, W = S, Z = CH2, Z1 = O, Q = 2,4,5-F(Cl)(HC.tplbond.CCH2O)C6H2, III] was obtained by cyclizing II [R1 = CO2Me] with Et3N. III was effective against Abutilon theophrasti at 3.9-7.8 g/ha post-emergence.

IT **403654-99-9P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anellated tetrahydro-[1H]-triazoles for use as herbicides)

RN 403654-99-9 CAPLUS

CN Benzamide, 2-chloro-N-cyclopentyl-5-(dihydro-1-oxo-3-thioxo-1H,5H-[1,2,4]triazolo[1,2-c][1,3,4]oxadiazin-2(3H)-yl)-4-fluoro- (9CI) (CA INDEX NAME)



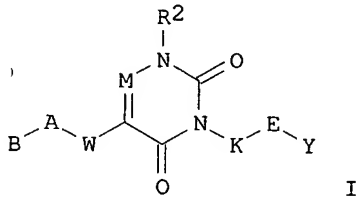
L21 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:851129 CAPLUS
DOCUMENT NUMBER: 136:6000
TITLE: Preparation of (hetero)aryluracils as coagulation cascade serine protease inhibitors
INVENTOR(S): South, Michael S.; Rueppel, Melvin L.; Jones, Darin E.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087852	A1	20011122	WO 2000-US31885	20001120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,				

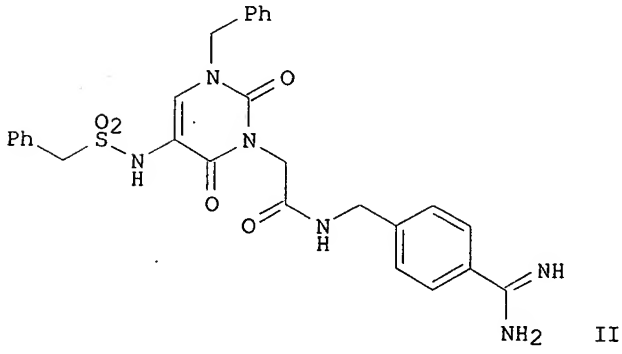
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6458952 B1 20021001 US 2000-574207 20000518
PRIORITY APPLN. INFO.: US 2000-574207 A 20000518
US 1999-134957P P 19990519

OTHER SOURCE(S): MARPAT 136:6000
ED Entered STN: 23 Nov 2001
GI



I



II

AB The title compds. [I; B = (un)substituted Ph, heteroaryl, etc.; A = a bond, CH2SO2, etc.; W = NH, NOH; M = N, CR1; R1 = H, alkyl, halo, etc.; R2 = CH2Ph, Ph, etc.; K = CH2, etc.; E = a bond, CO, CONH, etc.; Y = 4-amidinobenzyl, (un)substituted heteroarylalkyl, etc.], useful for anticoagulant therapy for the treatment and prevention of a variety of thrombotic conditions including coronary artery and cerebrovascular diseases, were prepared E.g., a multi-step synthesis of II.2HCl, starting from 1-benzyl-5-nitro-2,4(1H,3H)-pyrimidinedione, was described.. Data for inhibitory activity of title compds. I toward factor Xa, TF-VIIa, thrombin II, and trypsin II, were given.

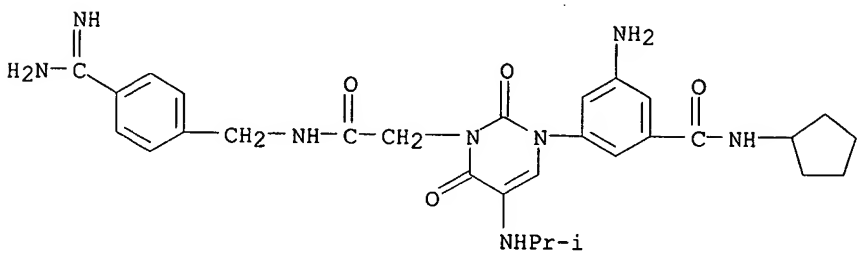
IT **374814-71-8P 374815-11-9P 374815-83-5P**
374816-01-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Searched by Barb O'Bryen, STIC 2-2518

(preparation of (hetero)aryluracils as coagulation cascade serine protease inhibitors)

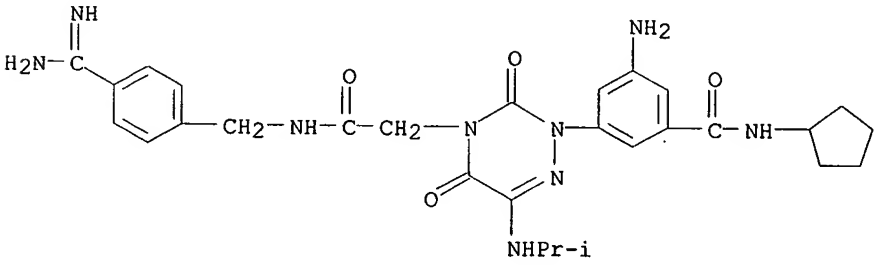
RN 374814-71-8 CAPLUS

CN 1(2H)-Pyrimidineacetamide, 3-[3-amino-5-[(cyclopentylamino)carbonyl]phenyl]-N-[[4-(aminoiminomethyl)phenyl]methyl]-3,6-dihydro-5-[(1-methylethyl)amino]-2,6-dioxo- (9CI) (CA INDEX NAME)



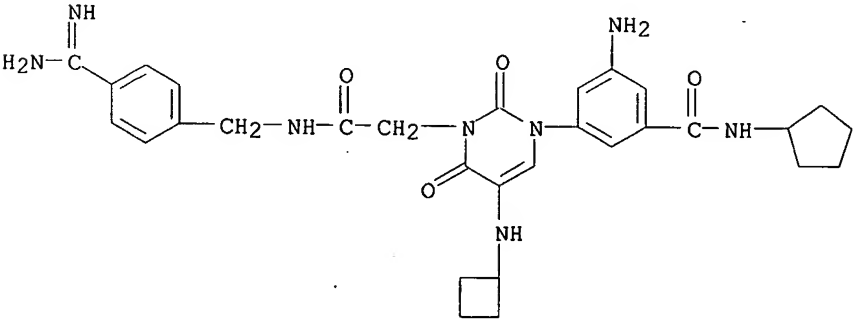
RN 374815-11-9 CAPLUS

CN 1,2,4-Triazine-4(3H)-acetamide, 2-[3-amino-5-[(cyclopentylamino)carbonyl]phenyl]-N-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dihydro-6-[(1-methylethyl)amino]-3,5-dioxo- (9CI) (CA INDEX NAME)



RN 374815-83-5 CAPLUS

CN 1(2H)-Pyrimidineacetamide, 3-[3-amino-5-[(cyclopentylamino)carbonyl]phenyl]-N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(cyclobutylamino)-3,6-dihydro-2,6-dioxo- (9CI) (CA INDEX NAME)

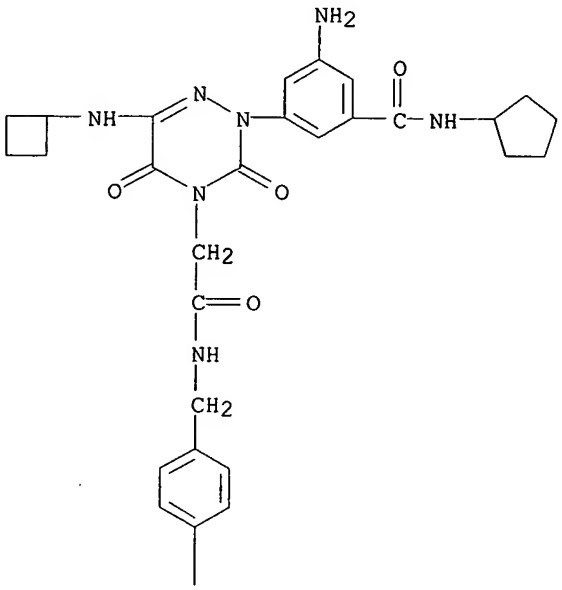


RN 374816-01-0 CAPLUS

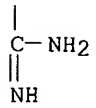
Searched by Barb O'Bryen, STIC 2-2518

CN 1,2,4-Triazine-4(3H)-acetamide, 2-[3-amino-5-[(cyclopentylamino)carbonyl]phenyl]-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(cyclobutylamino)-2,5-dihydro-3,5-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:723021 CAPLUS
DOCUMENT NUMBER: 131:337022
TITLE: Preparation of condensed imidazole derivative as therapeutic agents for liver disease
INVENTOR(S): Nagasawa, Masaaki; Nishioka, Hiroyasu; Suzuki, Takanori; Segawa, Yoshihide; Tsuzuike, Naoki
PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan; Zeria Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Searched by Barb O'Bryen, STIC 2-2518

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957103	A1	19991111	WO 1999-JP2309	19990430

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

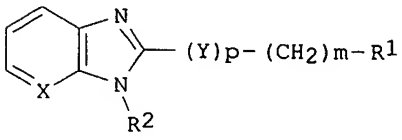
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1998-136045 A 19980430

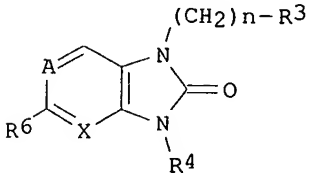
OTHER SOURCE(S): MARPAT 131:337022

ED Entered STN: 12 Nov 1999

GI



I



II

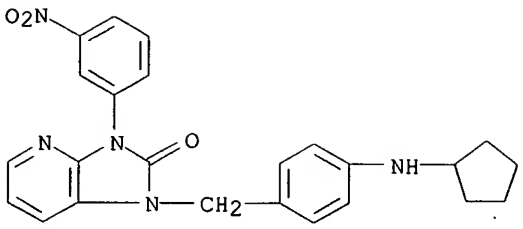
AB Title compds. I and II (X, Z = N, CH; A = N, CR5; Y = O, S, SO, SO2, NH; p = 0, 1; m = 0, 1, 2; n = 1, 2; R1 = Ph, pyridyl, etc; R2, R4 = Ph, pyridyl, substituted Ph, etc.; and R5, R6 = H; R5R6 = an atom group forming an aromatic ring together with the carbon atoms to which they are attached) and their pharmaceutically acceptable salts, useful as a therapeutic agents for liver diseases with no serious adverse effect, are prepared Thus, refluxing 2-(3-nitrophenylamino)nicotinic acid with diphenylphosphoryl azide in toluene in the presence of Et3N gave 3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine, refluxing of which with PCl5 and POCl3 gave, after treatment with 3-hydroxypyridine and NaH in DMF, 3-(3-nitrophenyl)-2-(3-pyridyl)oxy-3H-imidaz[4,5-b]pyridine. 1-(4-Pyridyl)methyl-3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine administered 30 mg/kg orally to BALB/C mice prior to i.v. administration of Con-A inhibited the Con-A induced liver damage as reflected by blood GPT levels.

IT **249605-92-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of condensed imidazole derivs. as therapeutic agents for liver disease)

RN 249605-92-3 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1-[[4-(cyclopentylamino)phenyl]methyl]-1,3-dihydro-3-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

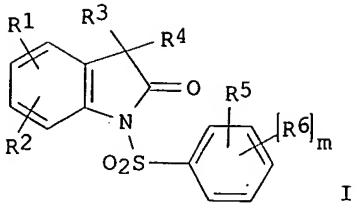
L21 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:3288 CAPLUS
DOCUMENT NUMBER: 130:66390
TITLE: Preparation of 1-benzenesulfonyl-1,3-dihydroindol-2-ones as vasopressin and/or oxytocin antagonists
INVENTOR(S): Di Malta, Alain; Foulon, Loic; Garcia, Georges; Nisato, Dino; Roux, Richard; Serradeil-Legal, Claudine; Valette, Gerard; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: U.S., 53 pp., Cont.-in-part of U.S. Ser. No. 129,310, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849780	A	19981215	US 1994-323921	19941017
FR 2686878	A1	19930806	FR 1992-1034	19920130
FR 2686878	B1	19950630		
FR 2708605	A1	19950210	FR 1993-9404	19930730
EP 636608	A1	19950201	EP 1994-401737	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5663431	A	19970902	US 1995-477571	19950607
US 5686624	A	19971111	US 1995-473302	19950607
US 5728723	A	19980317	US 1995-478738	19950607
US 5726322	A	19980310	US 1997-824305	19970326

PRIORITY APPLN. INFO.:

FR 1992-1034	A	19920130
FR 1993-9404	A	19930730
US 1993-129310	B2	19930930
EP 1994-401737	A	19940728
US 1994-323921	A3	19941017
US 1995-473302	A3	19950607

OTHER SOURCE(S): MARPAT 130:66390
ED Entered STN: 04 Jan 1999
GI



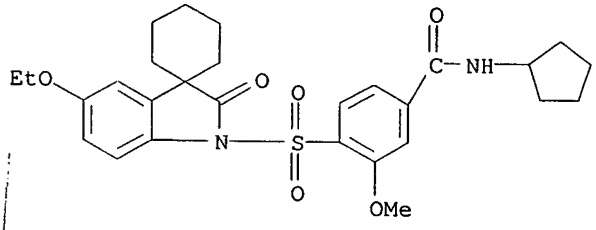
I

AB The title compds. [I; R1, R2 = H, OH, halo, etc.; R3R4 together with the carbon to which they are bonded = an optionally fused, (un)saturated (un)substituted C3-12 hydrocarbon ring; R5, R6 = H, halo, C1-7 alkyl, etc.; m = 1-4], having an affinity for the vasopressin V1 and V2 and/or oxytocin receptors, were prepared Thus, treatment of 5-chloro-1,3-dihydro-3-spirocyclohexaneindol-2-one with NaH in THF followed by addition of 2-methoxy-4-nitrobenzenesulfonyl chloride afforded I [R1 = 5-Cl; R2 = H; R3R4 = (CH2)5; R5 = 2-MeO; R6 = 4-NO2]. Biol. data for compds. I are given.

IT **161951-30-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-benzenesulfonyl-1,3-dihydroindol-2-ones as vasopressin and/or oxytocin antagonists)

RN 161951-30-0 CAPLUS

CN Benzamide, N-cyclopentyl-4-[(5'-ethoxy-2'-oxospiro[cyclohexane-1,3'-[3H]indol]-1'(2'H)-yl)sulfonyl]-3-methoxy- (9CI) (CA INDEX NAME)



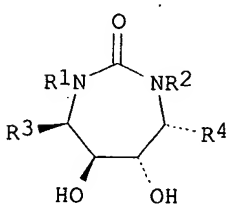
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:735797 CAPLUS
DOCUMENT NUMBER: 128:22928
TITLE: Preparation of cyclic urea HIV protease inhibitors
INVENTOR(S): Jadhav, Prabhakar Kondaji; Ko, Soo Sung
PATENT ASSIGNEE(S): Dupont Merck Pharmaceutical Co., USA
SOURCE: U.S., 68 pp., Cont.-in-part of U.S. Ser. No. 406,240, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5683999	A	19971104	US 1996-613554	19960311
CA 2215536	AA	19960926	CA 1996-2215536	19960313
WO 9629329	A1	19960926	WO 1996-US3426	19960313
W: AU, BR, CA, CN, CZ, EE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9653100	A1	19961008	AU 1996-53100	19960313
EP 815108	A1	19980107	EP 1996-909680	19960313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
ZA 9602133	A	19970915	ZA 1996-2133	19960315
PRIORITY APPLN. INFO.:			US 1995-406240	B2 19950317
			US 1996-613554	A 19960311
			WO 1996-US3426	W 19960313

OTHER SOURCE(S): MARPAT 128:22928
ED Entered STN: 22 Nov 1997
GI



I

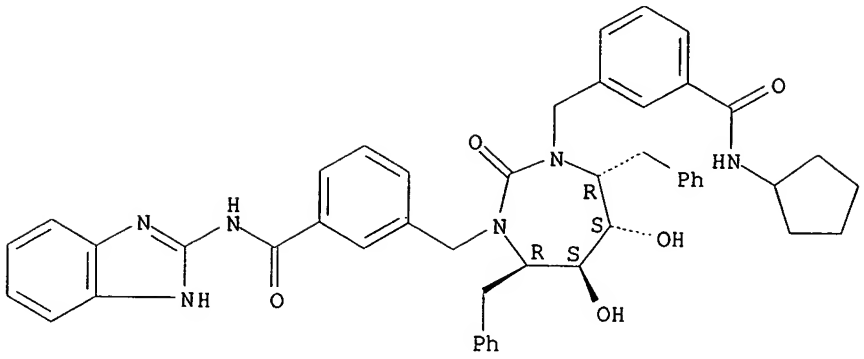
AB Cyclic ureas I [R1 = CH2XYZ; X = alkyl, aryl, cycloalkyl, etc.; Y = (CH2)nO, (CH2)nS, (CH2)nC(:NH)NH, etc.; n = 0-2; Z = 2-, 3-, or 4-pyridyl, 2-pyrazinyl, etc.; R2 = R1, CH2XY1Z1, H, etc. Y1 = (CH2)nO(CH2)m, (CH2)nS(CH2)m, etc.; Z1 = H, alkyl, alkenyl, aryl, etc.; R3, R4 = benzyl, 2-pyrrolylmethyl, Et, iso-Bu, hexyl, etc.] useful as inhibitors of HIV protease (no data), were prepared The present invention also relates to pharmaceutical compns. comprising such compds. and to method of using these compds. for the treatment HIV infection. The present invention also relates to the use of such compds. in processes for the identification of HIV protease inhibitors and for the inhibition or detection of HIV in a bodily fluid sample (no data).

IT **183857-53-6P 199288-07-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic urea HIV protease inhibitors)

RN 183857-53-6 CAPLUS

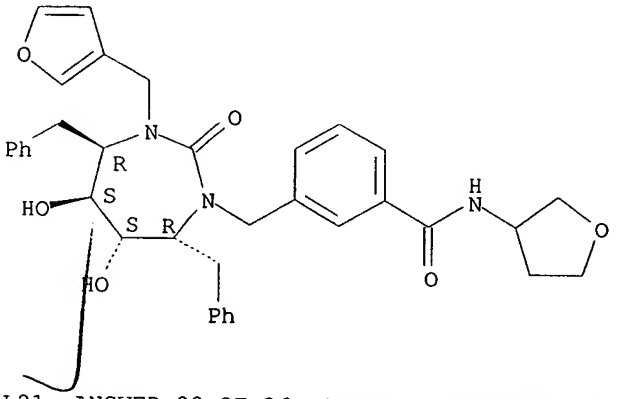
CN Benzamide, 3-[[3-[[3-[(1H-benzimidazol-2-ylamino)carbonyl]phenyl]methyl]hexahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepin-1-yl]methyl]-N-cyclopentyl-, [4R-(4α,5α,6β,7β)]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 199288-07-8 CAPLUS
CN Benzamide, 3-[[3-(3-furanylmethyl)hexahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepin-1-yl]methyl]-N-(tetrahydro-3-furanyl)-, [4R-(4α,5α,6β,7β)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:394295 CAPLUS
DOCUMENT NUMBER: 127:5010
TITLE: 3-Spiroindolin-2-one derivatives as vasopressin and/or oxytocin receptor ligands
INVENTOR(S): Foulon, Loic; Garcia, Georges; Serradeil-Le Gal, Claudine; Valette, Gerard
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9715556	A1	19970501	WO 1996-FR1666	19961024

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG

FR 2740136	A1	19970425	FR 1995-12533		19951024
FR 2740136	B1	19980109			
TW 474917	B	20020201	TW 1996-85112955		19961022
IN 185328	A	20001230	IN 1996-DE2288		19961023
CA 2235686	AA	19970501	CA 1996-2235686		19961024
AU 9673080	A1	19970515	AU 1996-73080		19961024
AU 715841	B2	20000210			
ZA 9608945	A	19970529	ZA 1996-8945		19961024
EP 873309	A1	19981028	EP 1996-934967		19961024
EP 873309	B1	20021218			

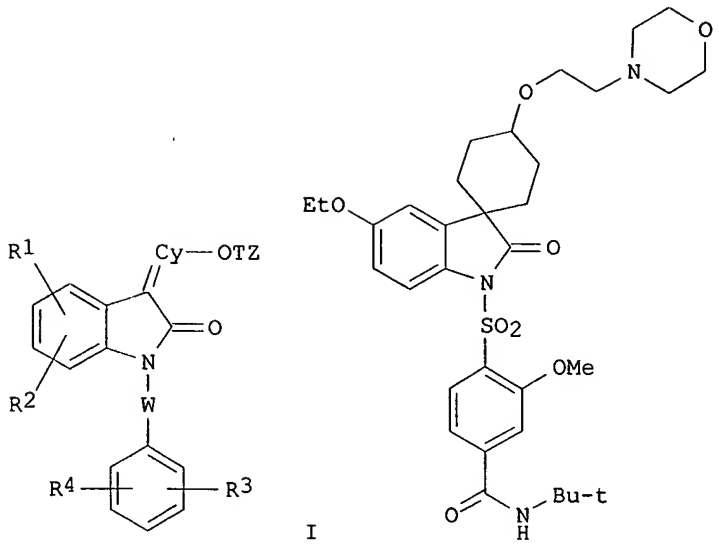
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI

CN 1202886	A	19981223	CN 1996-198579		19961024
CN 1106384	B	20030423			
BR 9611198	A	19990406	BR 1996-11198		19961024
JP 11509232	T2	19990817	JP 1997-516363		19961024
JP 3274471	B2	20020415			
NZ 320352	A	20000128	NZ 1996-320352		19961024
RU 2167864	C2	20010527	RU 1998-109945		19961024
JP 2001302631	A2	20011031	JP 2001-75467		19961024
IL 124002	A1	20021110	IL 1996-124002		19961024
AT 229940	E	20030115	AT 1996-934967		19961024
ES 2191769	T3	20030916	ES 1996-934967		19961024
PL 187093	B1	20040531	PL 1996-326555		19961024
EE 4433	B1	20050215	EE 1998-151		19961024
SK 284546	B6	20050602	SK 1998-490		19961024
CZ 295585	B6	20050817	CZ 1998-1267		19961024
US 5994350	A	19991130	US 1998-51900		19980417
NO 9801817	A	19980423	NO 1998-1817		19980423
NO 310974	B1	20010924			
HK 1016596	A1	20030404	HK 1999-101588		19990414
US 6046341	A	20000404	US 1999-417190		19991012

PRIORITY APPLN. INFO.:

FR 1995-12533	A	19951024
JP 1997-516363	A3	19961024
WO 1996-FR1666	W	19961024

OTHER SOURCE(S): MARPAT 127:5010
ED Entered STN: 26 Jun 1997
GI



I II

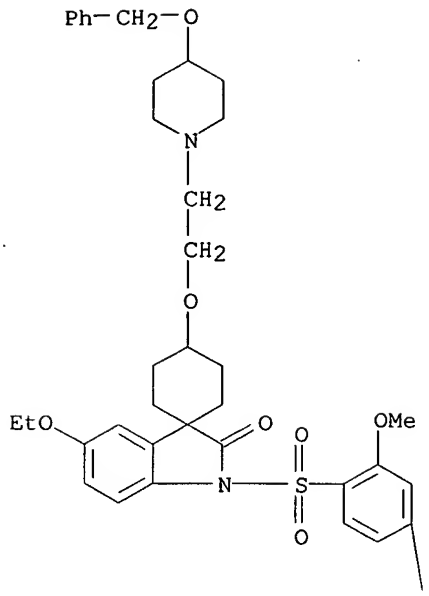
AB Indolin-2-one derivs. I [W = CH2 or SO2; Cy = atoms to form spirocyclic (un)saturated non-aromatic C3-12 hydrocarbon ring optionally fused or substituted
by ≥ 1 C1-7 alkyl or by C3-6 spirocycloalkyl; T = C1-4 alkylene optionally interrupted by C3-6 cycloalkylene, said alkylenes optionally substituted by C1-3 alkyl, or T = direct bond; Z = particularly amino; R1-R4 = H or substituents, e.g. halo, alkyl, etc.] and their salts are claimed. The compds. may be used in drugs having vasopressin and/or oxytocin receptor affinity. For example, 5-ethoxy-3-spiro[4-(2-chloroethoxy)cyclohexane]indolin-2-one (mixed isomers, preparation given) was treated with KOBu-tert and 4-(N-tert-butylcarbamoyl)-2-methoxybenzenesulfonyl chloride to give the corresponding 1-sulfonylated derivative, which then reacted with morpholine and NaI in DMF at 60° to give 2 isomers of title compound II. I had IC50 values of 10-5 to 10-9 M for inhibition of binding of tritiated arginine-vasopressin to rat mammary vasopressin receptors in vitro. Diuretic effects of I in rats showed them to be strong V2 antagonists.

IT **190329-68-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of spiroindolinone derivs. as vasopressin and/or oxytocin receptor ligands)

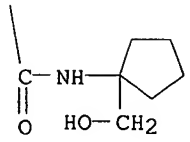
RN 190329-68-1 CAPLUS

CN Benzamide, 4-[[5'-ethoxy-2'-oxo-4-[2-[4-(phenylmethoxy)-1-piperidinyl]ethoxy]spiro[cyclohexane-1,3'-[3H]indol]-1'(2'H)-yl]sulfonyl]-N-[1-(hydroxymethyl)cyclopentyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

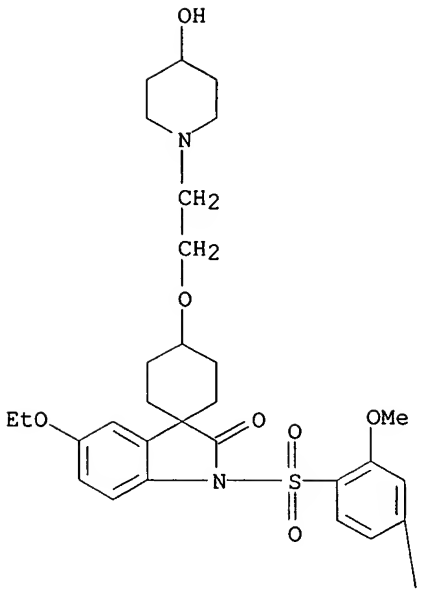


PAGE 2-A

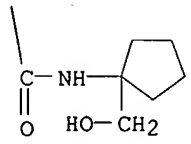


IT 190329-69-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiroindolinone derivs. as vasopressin and/or oxytocin receptor ligands)
RN 190329-69-2 CAPLUS
CN Benzamide, 4-[[5'-ethoxy-4-[2-(4-hydroxy-1-piperidinyl)ethoxy]-2'-oxospiro[cyclohexane-1,3'-[3H]indol]-1'(2'H)-yl]sulfonyl]-N-[1-(hydroxymethyl)cyclopentyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L21 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:240627 CAPLUS
DOCUMENT NUMBER: 126:225294
TITLE: Preparation of pyrrolidine derivatives as phospholipase A2 inhibitors
INVENTOR(S): Ohtani, Mitsuaki; Kato, Toshiyuki; Watanabe, Fumihiko; Seno, Kaoru
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9705135	A1	19970213	WO 1996-JP2079	19960725

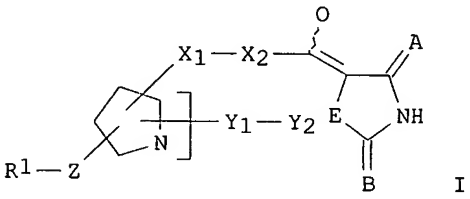
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK,

Searched by Barb O'Bryen, STIC 2-2518

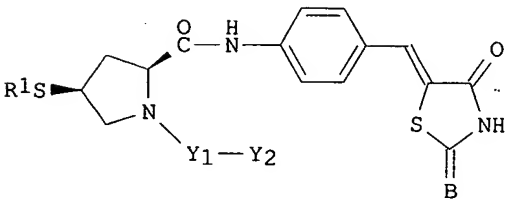
EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA

CA 2227829	AA	19970213	CA 1996-2227829	19960725
AU 9665308	A1	19970226	AU 1996-65308	19960725
AU 707537	B2	19990715		
EP 848004	A1	19980617	EP 1996-925076	19960725
EP 848004	B1	20030402		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1197458	A	19981028	CN 1996-197208	19960725
CN 1064682	B	20010418		
BR 9609744	A	19990302	BR 1996-9744	19960725
AT 236154	E	20030415	AT 1996-925076	19960725
PT 848004	T	20030731	PT 1996-925076	19960725
ES 2196163	T3	20031216	ES 1996-925076	19960725
US 5955616	A	19990921	US 1998-11404	19980128
HK 1016598	A1	20010824	HK 1999-101691	19990420
PRIORITY APPLN. INFO.:			JP 1995-194648 A	19950731
			WO 1996-JP2079 W	19960725

OTHER SOURCE(S): MARPAT 126:225294
ED Entered STN: 14 Apr 1997
GI



I



II

AB The title compds. [I; R1 = H, (un)substituted alkyl, alkenyl, or aralkyl, etc.; A, B, E = O, S; X1 = CO, CONH, CH2NHSO2, etc.; X2 = (un)substituted arylene or indolediyl, single bond; D = H, hydroxyalkyl; Y1 = (CH2)mCO, (CH2)nNHCO, etc.; m, n = 0-3; Y2 = H, alkyl, (un)substituted alkenyl, etc.; Z = S, SO, O, NH, CONH, CONHCH2, single bond] and pharmaceutically acceptable salts thereof are prepared I have the activity of inhibiting the production of prostaglandin E2 by inhibiting intracellular phospholipase A2. I, having the activity of inhibiting the production of prostaglandin E2 by inhibiting intracellular phospholipase A2, are useful for prevention and treatment of rheumatoid arthritis, asthma, allergic rhinitis, and related diseases. Thus, the title compound (II; R1 = C6H4CH2, Y2-Y1 = C6H4CO, B =

S), which was prepared by 13 step reactions, showed IC50 of 7.2 μM cPLA2 inhibitory activity.

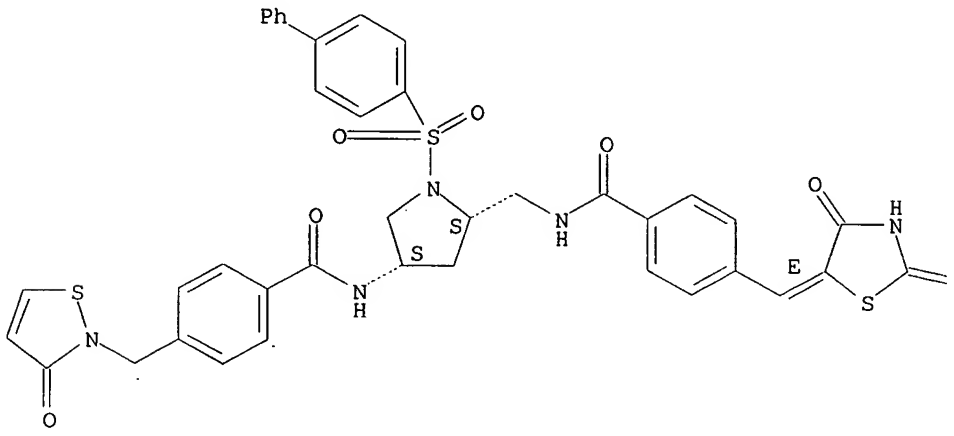
IT **188109-75-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine derivs. as phospholipase A2 inhibitors)

RN 188109-75-3 CAPLUS

CN Benzamide, N-[1-([1,1'-biphenyl]-4-ylsulfonyl)-5-[[[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]benzoyl]amino]methyl]-3-pyrrolidinyl]-4-[(3-oxo-2(3H)-isothiazolyl)methyl]-, [3S-[3α,5α(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

=O

L21 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:753781 CAPLUS
DOCUMENT NUMBER: 126:18862.
TITLE: Preparation of N-(oxobenzoxazol-6-yl)oxazolidinones

Searched by Barb O'Bryen, STIC 2-2518

and analogs as antibacterial agents

INVENTOR(S): Stolle, Andreas; Haebich, Dieter; Bartel, Stephan; Riedl, Bernd; Ruppelt, Martin; Wild, Hanno; Endermann, Rainer; Bremm, Klaus-Dieter; Kroll, Hein-Peter; et al.

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

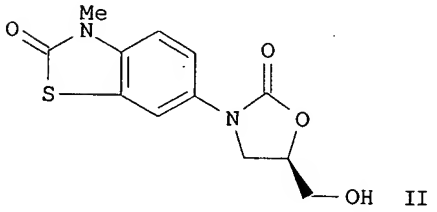
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 738726	A1	19961023	EP 1996-105539	19960409
EP 738726	B1	20010926		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 19544106	A1	19961024	DE 1995-19544106	19951127
AT 206120	E	20011015	AT 1996-105539	19960409
ES 2164182	T3	20020216	ES 1996-105539	19960409
US 6069160	A	20000530	US 1996-631516	19960412
JP 08301869	A2	19961119	JP 1996-117117	19960416
AU 9650735	A1	19961031	AU 1996-50735	19960417
AU 705071	B2	19990513		
CA 2174473	AA	19961022	CA 1996-2174473	19960418
NO 9601559	A	19961022	NO 1996-1559	19960419
ZA 9603138	A	19961104	ZA 1996-3138	19960419
CN 1138582	A	19961225	CN 1996-106152	19960419
BR 9602016	A	19980407	BR 1996-2016	19960422
CN 1161336	A	19971008	CN 1997-102064	19970118

PRIORITY APPLN. INFO.: DE 1995-19514769 A 19950421
DE 1995-19544106 A 19951127

OTHER SOURCE(S): MARPAT 126:18862

ED Entered STN: 25 Dec 1996

GI



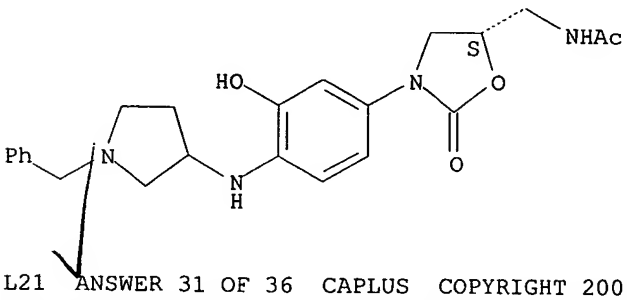
II

AB Title compds. [I; R = e.g., oxobenzoxazol-6-yl, etc.; R1 = N3, (protected)hydroxy, acyloxy, alkylsulfonyloxy, NR4R5, etc.; R4,R5 = H, alkyl, Ph, etc.] were prepared Thus, 6-benzyloxycarbonylamino-3-methyl-2-benzothiazolinone (preparation given) was cyclocondensed with (R)-glycidyl butyrate to give title compound II. Data for antibacterial activity of selected I were given.

IT **184159-18-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(oxobenzoxazol-6-yl)oxazolidinones and analogs as antibacterial agents)
RN 184159-18-0 CAPLUS
CN Acetamide, N-[[3-[3-hydroxy-4-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (5S)-[partial]- (9CI) (CA INDEX NAME)

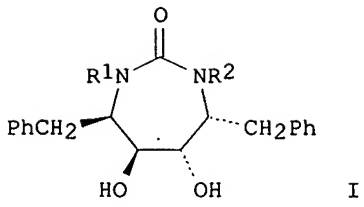
Absolute stereochemistry.



L21 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:751515 CAPLUS
DOCUMENT NUMBER: 126:18896
TITLE: preparation of cyclic urea derivatives as HIV protease inhibitors
INVENTOR(S): Jadhav, Prabhakar Kondaji
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629329	A1	19960926	WO 1996-US3426	19960313
W: AU, BR, CA, CN, CZ, EE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5683999	A	19971104	US 1996-613554	19960311
AU 9653100	A1	19961008	AU 1996-53100	19960313
EP 815108	A1	19980107	EP 1996-909680	19960313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
PRIORITY APPLN. INFO.:			US 1995-406240	A 19950317
			US 1996-613554	A 19960311
			WO 1996-US3426	W 19960313

OTHER SOURCE(S): MARPAT 126:18896
ED Entered STN: 23 Dec 1996
GI



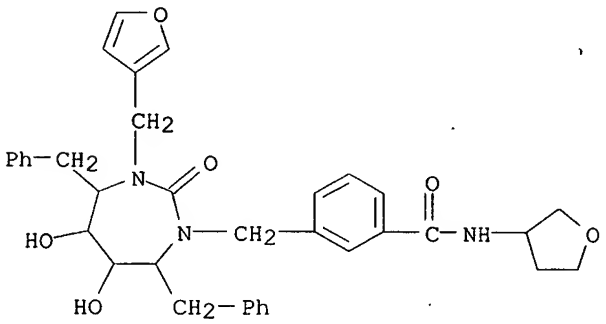
I

AB The title compds. [I; R1 = heterocyclylmethyl; R2 = H, R1], useful as HIV protease inhibitors and thus effective in treating HIV infections, are prepared and formulated. I are effective at 1.0-20 mg/kg-day p.o. Capsule, injectable, etc. formulations were given.

IT **183855-09-6P 183857-53-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic urea derivs. as HIV protease inhibitors)

RN 183855-09-6 CAPLUS

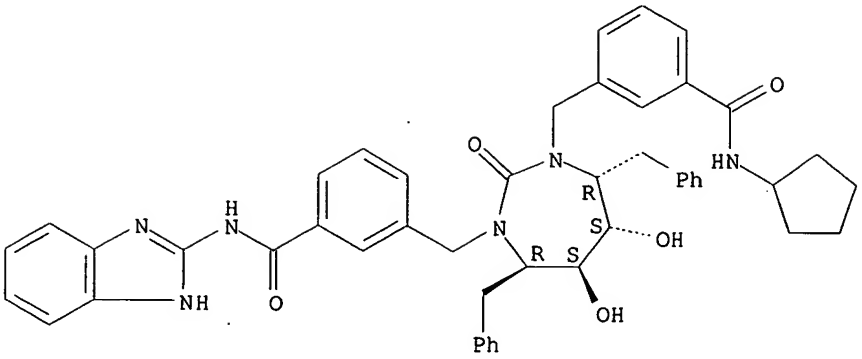
CN Benzamide, 3-[[3-(3-furanylmethyl)hexahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepin-1-yl]methyl]-N-(tetrahydro-3-furanyl)- (9CI) (CA INDEX NAME)



RN 183857-53-6 CAPLUS

CN Benzamide, 3-[[3-[[3-[(1H-benzimidazol-2-ylamino)carbonyl]phenyl]methyl]hexahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepin-1-yl]methyl]-N-cyclopentyl-, [4R-(4α,5α,6β,7β)]- (9CI) (CA INDEX NAME)

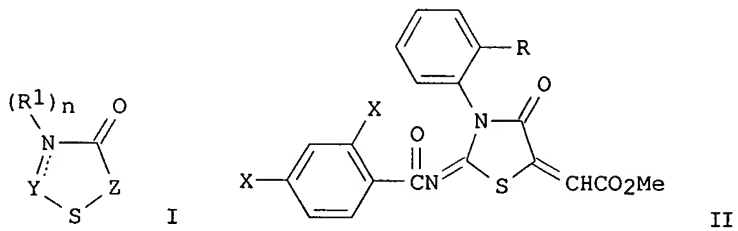
Absolute stereochemistry.



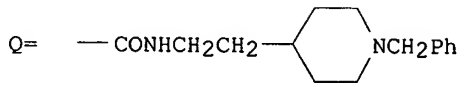
L21 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:130808 CAPLUS
DOCUMENT NUMBER: 124:176081
TITLE: Preparation of 1,3-thiazolidin-4-one derivatives and analogs as thrombin receptor antagonists
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 07285952	A2	19951031	JP 1995-67197		19950327
PRIORITY APPLN. INFO.:			GB 1994-7018	A	19940408
			GB 1994-17443	A	19940830

OTHER SOURCE(S): MARPAT 124:176081
ED Entered STN: 05 Mar 1996
GI



I II



AB The title compds. [I; R1 = lower alkyl, aryl-lower alkyl, lower cycloalkyl, heterocyclyl, acylheterocyclyl, (un)substituted aryl; Y =

R2-W:C, R3R4NC, CO; wherein R2 = acyl; W = N, CH; R3 = acyl; R4 = aryl; Z = C:CHR5, CHR7; wherein R5 = (un)protected CO2H, (un)protected amino-lower alkoxycarbonyl, acyl, (un)substituted aryl, heterocyclyloxy; R7 = H, (un)protected carboxy-lower alkyl; n = 0,1], useful for the treatment of the thrombin receptor-mediated diseases, e.g. thrombotic diseases, angina pectoris, heart disorder after implantation of a heart pace maker, valvular heart disease after replacement of an artificial heart vulvae, lung infarction, Raynaud syndrome, nephritis, inflammation, and arteriosclerosis, are prepared Thus, 0.29 mL di-Me butynedioate was added to a suspension of 0.50 g 1-benzoyl-3-phenylthiourea in MeOH and the resulting mixture was refluxed for 3 h to give the title compound (II; R = X = H). II (R = Q, X = Cl) showed IC50 of 2.2 + 10-6 M for inhibiting the blood platelet aggregation of human platelet rich plasma which was induced by thrombin receptor agonist peptide.

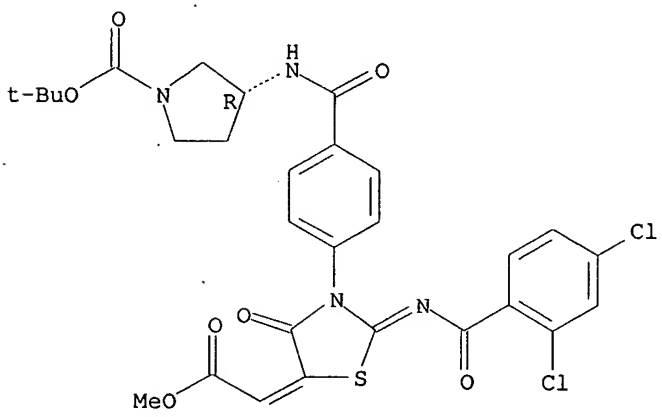
IT **173905-29-8P 173905-30-1P 173905-58-3P 173905-60-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidinone derivs. and analogs as thrombin receptor antagonists)

RN 173905-29-8 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[4-[2-[(2,4-dichlorobenzoyl)imino]-5-(2-methoxy-2-oxoethylidene)-4-oxo-3-thiazolidinyl]benzoyl]amino]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

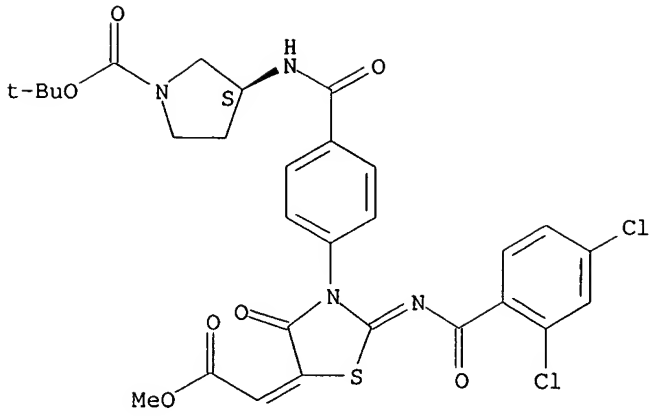
Absolute stereochemistry.
Double bond geometry unknown.



RN 173905-30-1 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[4-[2-[(2,4-dichlorobenzoyl)imino]-5-(2-methoxy-2-oxoethylidene)-4-oxo-3-thiazolidinyl]benzoyl]amino]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

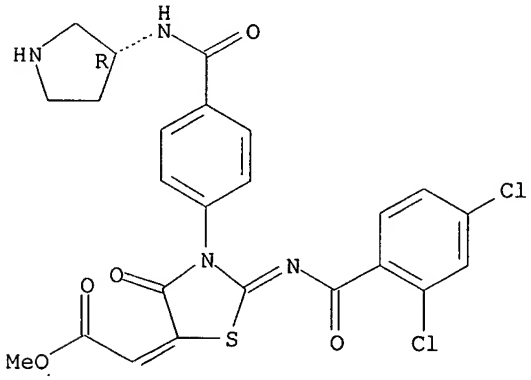


RN 173905-58-3 CAPLUS
CN Acetic acid, [2-[(2,4-dichlorobenzoyl)imino]-4-oxo-3-[4-[(3-pyrrolidinylamino)carbonyl]phenyl]-5-thiazolidinylidene]-, methyl ester, (R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

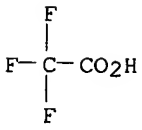
CRN 173905-57-2
CMF C24 H20 Cl2 N4 O5 S

Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

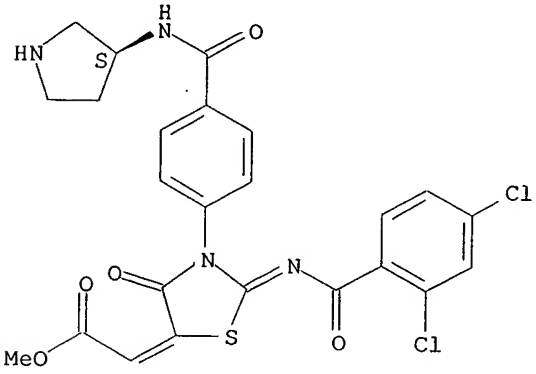


RN 173905-60-7 CAPLUS
CN Acetic acid, [2-[(2,4-dichlorobenzoyl)imino]-4-oxo-3-[4-[(3-pyrrolidinylamino)carbonyl]phenyl]-5-thiazolidinylidene]-, methyl ester, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

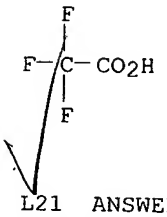
CRN 173905-59-4
CMF C24 H20 Cl2 N4 O5 S

Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



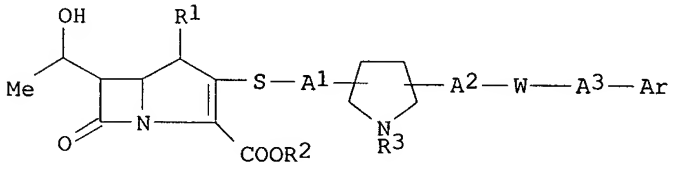
L21 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:931372 CAPLUS
DOCUMENT NUMBER: 123:339535
TITLE: Preparation of carbapenem derivatives as antibacterials
INVENTOR(S): Nakagawa, Susumu; Fukatsu, Hiroshi; Ushijima, Ryosuke
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

Searched by Barb O'Bryen, STIC 2-2518

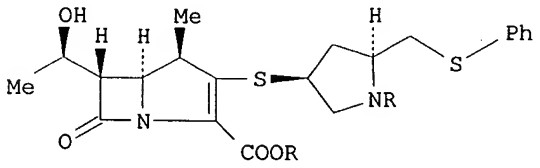
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9523150	A1	19950831	WO 1995-JP280		19950224
W: AU, CA, JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2184101	AA	19950831	CA 1995-2184101		19950224
CA 2184101	C	20051122			
AU 9518240	A1	19950911	AU 1995-18240		19950224
AU 680736	B2	19970807			
EP 747381	A1	19961211	EP 1995-909978		19950224
EP 747381	B1	20011031			
R: AT, BE, DE, DK, FR, GB, IE, IT, LU, MC, NL, PT, SE					
AT 207922	E	20011115	AT 1995-909978		19950224
US 5707987	A	19980113	US 1996-696910		19960823
PRIORITY APPLN. INFO.:			JP 1994-52686	A	19940225
			JP 1994-64606	A	19940328
			JP 1994-107568	A	19940422
			JP 1994-110289	A	19940426
			JP 1994-114288	A	19940428
			WO 1995-JP280	W	19950224

OTHER SOURCE(S): MARPAT 123:339535
ED Entered STN: 21 Nov 1995
GI



I



II

AB The title compds. [I; R1 represents hydrogen or lower alkyl; R2 represents hydrogen or a neg. charge; R3 represents hydrogen or lower alkyl; Ar represents lower alkyl, lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfonyl, etc.), or Ph, naphthyl or a group of formula α or β (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.), wherein A4 and A5 represent each a single bond, -NHSO2-, etc., and Het represents pyrrolinyl, 1,4-diazabicyclo[2.2.2]octyl, etc. (each of which may be substituted by

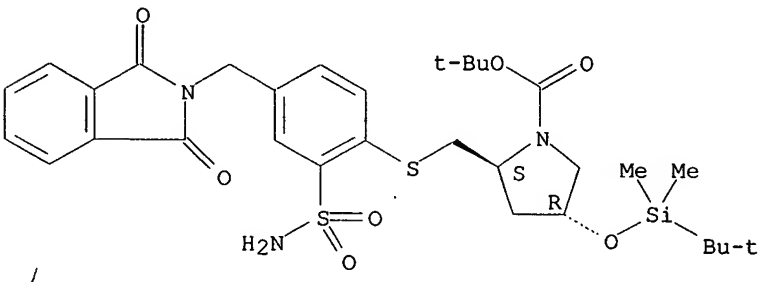
hydroxyl, carbamoylated lower alkyl, etc.); A1, A2, and A3 represent each a single bond or lower alkylene which may be substituted by lower alkyl, lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.) or may be substituted by pyridyl, pyridino, etc. (each of which may be substituted by lower alkyl, carbamoylated lower alkyl, etc.); and W represents sulfur, a single bond, etc.] and their pharmaceutically acceptable salts are prepared Thus, a solution of p-nitrophenyl (1R,5S,6S)-2-diphenoxyphosphoryloxy-6-[(1R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylate and (3S,5S)-3-mercapto-1-p-nitrobenzyloxycarbonyl-5-(phenylthiomethyl)-pyrrolidine (preparation given) in MeCN containing diisopropylamide was allowed to react at 50° overnight to give 60% the title compound II (R = p-nitrobenzyloxycarbonyl), which was deprotected to give the monosodium salt of II [R = H]. In an in vitro study, this had an IC50 of 0.39 μg/mL against Staphylococcus aureus.

IT **170585-28-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbapenem derivs. as antibacterials)

RN 170585-28-1 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[2-(aminosulfonyl)-4-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]phenyl]thio]methyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester, (2S-trans)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:464454 CAPLUS

DOCUMENT NUMBER: 122:213926

TITLE: 1-Benzenesulfonyl-1,3-dihydro-indol-2-one derivatives, their preparation, and pharmaceutical compositions containing them.

INVENTOR(S): Di, Malta Alain; Foulon, Loic; Garcia, Georges; Nisato, Dino; Roux, Richard; Serradeil-Legal, Claudine; Valette, Gerard; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi, Fr.

SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

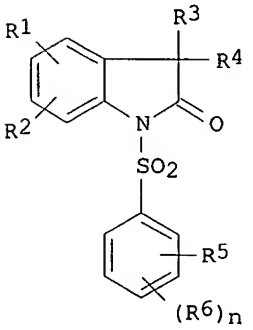
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 636608	A1	19950201	EP 1994-401737	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2708605	A1	19950210	FR 1993-9404	19930730
IL 110482	A1	19990411	IL 1994-110482	19940728
CA 2129215	AA	19950131	CA 1994-2129215	19940729
FI 9403570	A	19950131	FI 1994-3570	19940729
NO 9402834	A	19950131	NO 1994-2834	19940729
AU 9468789	A1	19950209	AU 1994-68789	19940729
AU 684791	B2	19980108		
ZA 9405656	A	19950309	ZA 1994-5656	19940729
HU 70408	A2	19951030	HU 1994-2232	19940729
RU 2141476	C1	19991120	RU 1994-27576	19940729
CN 1107467	A	19950830	CN 1994-114900	19940730
JP 07247269	A2	19950926	JP 1994-199069	19940801
US 5849780	A	19981215	US 1994-323921	19941017
US 5686624	A	19971111	US 1995-473302	19950607
US 5726322	A	19980310	US 1997-824305	19970326

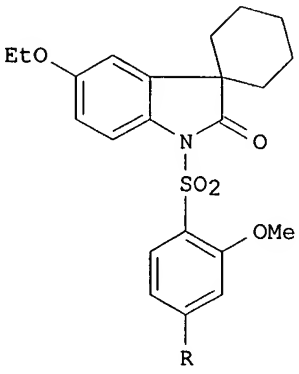
PRIORITY APPLN. INFO.:

FR 1993-9404	A	19930730
FR 1992-1034	A	19920130
US 1993-129310	B2	19930930
EP 1994-401737	A	19940728
US 1994-323921	A3	19941017
US 1995-473302	A3	19950607

OTHER SOURCE(S): CASREACT 122:213926; MARPAT 122:213926
ED Entered STN: 04 Apr 1995
GI



I



II

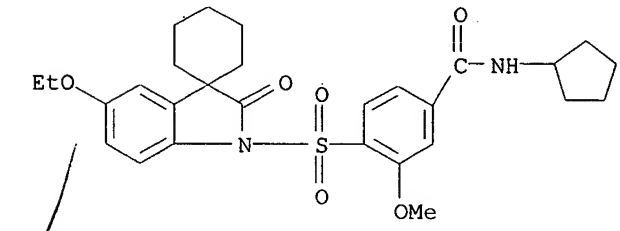
AB Title compds. I [R1, R2 = H, OH, halo, haloalkoxy, alkyl, CF3, alkoxy, etc.; R3, R4 = alkyl, cycloalkyl, Ph, PhCH2, hydroxyalkyl, etc.; or R3R4 = (CH2)pX(CH2)q; or R3R4 forms an (un)substituted (un)saturated hydrocarbon ring; R5, R6 = H, halo, alkyl, CF3, cyano, OH, NO2, (un)substituted NH2, CO2H, etc.; X = O, SOn, NH or derivs.; m = 1, or (when R6 = halo, alkyl, or alkoxy) also 2-4, or (for multiple but different R6) also > 1; n = 0-2; (p + q) = 3-6; numerous addnl. definitions and provisos] and their salts are claimed. Over 80 synthetic examples are given. Thus, 5-ethoxy-1,3-dihydro-3-spirocyclohexaneindol-2-one [preparation given] was treated with NaH in THF and then N-sulfonylated with 2-methoxy-4-nitrobenzenesulfonyl chloride. Reduction of the nitro group in the product with Fe and HCl and cyclization of the resultant amine with cis-1,4-dichloro-2-butene gave a mixture of title compds. II [R =

1-pyrrolidinyl and 1-(3-pyrrolinyl)], which were hydrogenated over Pd/C to give II (R = 1-pyrrolidinyl). In various assays, I bound to V1 and V2 vasopressin receptors with IC50 values down to 10-7 and 10-9 M, resp., and bound to oxytocin receptors with IC50 down to 10-8 M. The compds. also showed oral activity (no data).

IT **161951-30-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzenesulfonyldihydroindolone derivs. as vasopressin and/or oxytocin antagonists)

RN 161951-30-0 CAPLUS

CN Benzamide, N-cyclopentyl-4-[(5'-ethoxy-2'-oxospiro[cyclohexane-1,3'-[3H]indol]-1'(2'H)-yl)sulfonyl]-3-methoxy- (9CI) (CA INDEX NAME)



L21 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:572017 CAPLUS
DOCUMENT NUMBER: 113:172017
TITLE: Preparation of N-(cyanophenyl)tetrahydrophthalimides and analogs as herbicides
INVENTOR(S): Fischer, Reiner; Jensen-Korte, Uta; Kunisch, Franz; Marhold, Albrecht; Ooms, Pieter; Schallner, Otto; Santel, Hans Joachim; Schmidt, Robert R.; Strang, Harry
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

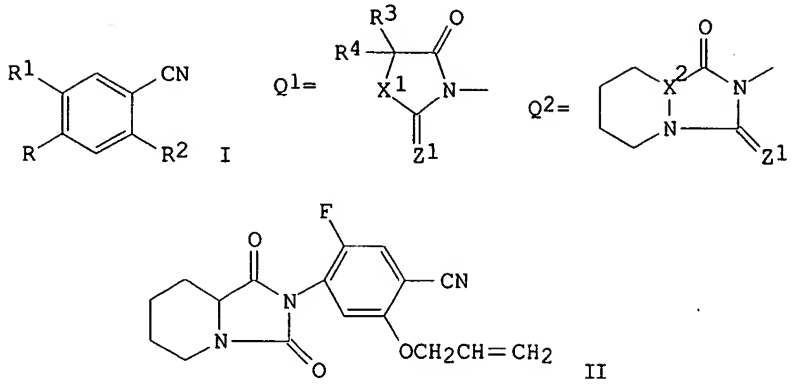
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3835168	A1	19900419	DE 1988-3835168	19881015
EP 364797	A2	19900425	EP 1989-118149	19890930
EP 364797	A3	19911023		
EP 364797	B1	19940216		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 02164864	A2	19900625	JP 1989-265318	19891013
JP 2839157	B2	19981216		
US 5069711	A	19911203	US 1991-649101	19910201
US 5221318	A	19930622	US 1991-746842	19910819

PRIORITY APPLN. INFO.:
DE 1988-3835168 A 19881015
US 1989-419809 A3 19891011
US 1991-649101 A3 19910201

OTHER SOURCE(S): CASREACT 113:172017; MARPAT 113:172017

Searched by Barb O'Bryen, STIC 2-2518

ED Entered STN: 09 Nov 1990
GI



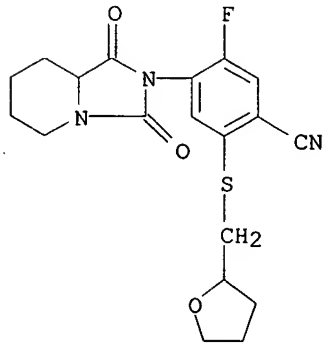
II

AB The title compds. [I; R = (un)substituted 2,5-dioxopyrrolino, 3,4,5,6-tetrahydrophthalimido, Q1 in which R3R4 = R5R6C, Q1 in which X1 = NR7, and Q2; R1 = H, halo; R2 = OH, halo, Z2R8; R3-R6 = H, alkyl; R5R6 = alkandiyl; R7 = H, alkyl, (un)substituted aryl; R5 = alkyl, alkenyl, alkynyl, cycloalkyl; X1 = O, CH2, NR7, C:CR5R6; X2 = N, CH; Z1,Z2 = O, S] were prepared as herbicides (no data). Thus, 2-allyloxy-4-amino-5-fluorobenzonitrile (preparation given) was refluxed 4 h with ClCO2CCl3 in PhMe and the product refluxed 3 h with Et piperidine-2-carboxylate in PhMe to give title compound II.

IT **129910-98-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 129910-98-1 CAPLUS

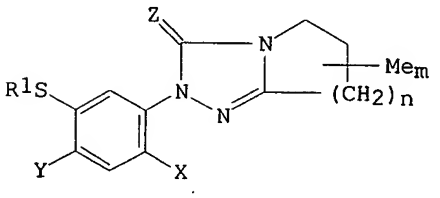
CN Benzonitrile, 5-fluoro-4-(hexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-2-[[(tetrahydro-2-furanyl)methyl]thio]- (9CI) (CA INDEX NAME)



L21 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:627235 CAPLUS
DOCUMENT NUMBER: 111:227235
TITLE: Preparation of bicyclic triazoles as selective herbicides
INVENTOR(S): Blume, Friedhelm; Dorfmeister, Gabriele; Franke, Wilfried; Rees, Richard; Johann, Gerhard; Arndt, Friedrich
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 317947	A2	19890531	EP 1988-119396	19881122
EP 317947	A3	19901205		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3740837	A1	19890608	DE 1987-3740837	19871127
JP 01168687	A2	19890704	JP 1988-294844	19881124
AU 8825969	A1	19890601	AU 1988-25969	19881125
AU 617717	B2	19911205		
ZA 8808858	A	19890927	ZA 1988-8858	19881125
CA 1305145	A1	19920714	CA 1988-584231	19881125
US 4925481	A	19900515	US 1988-276611	19881128
PRIORITY APPLN. INFO.:			DE 1987-3740837 A	19871127

OTHER SOURCE(S): MARPAT 111:227235
ED Entered STN: 23 Dec 1989
GI



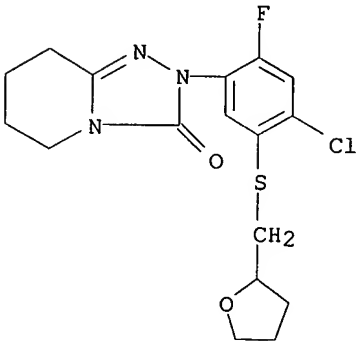
I

AB I (X = H, F; Y = halo; Z = O, S; R1 = C1-C6 alkyl, alkoxy, etc.; n = 1-3; m = 0-3) are prepared by reacting a substituted phenylhydrazine with a cyclic amidocarboxy ester or an amidrazone with (thio)phosgen. Herbicidal composition containing I can be used in crops against mono- and dicotyledonous weeds (species given). I (X = F; Y = Cl; Z = O; R1 = EtOCOCH2; n = 2; m = 0) (II), was prepared by reacting 4-chloro-5-ethoxycarbonylmethylthio-2-fluorophenylhydrazine with N-ethoxycarbonyl-2-piperidone in xylene. II 20, isophorone 75, ethoxylated castor oil 2, and Ca dodecylbenzenesulfonate 3% were formulated as an emulsifiable concentrate
Tests
were carried out on Triticum aestivum and Zea mays at a concentrate of 0.1 kg
II
in 500 L water/ha. Selective control of Veronica, Abutilon, and other weed species, was shown.
IT **123817-20-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as selective herbicide)

RN 123817-20-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[4-chloro-2-fluoro-5-[[(tetrahydro-2-furanyl)methyl]thio]phenyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



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Searched by Barb O'Bryen, STIC 2-2518

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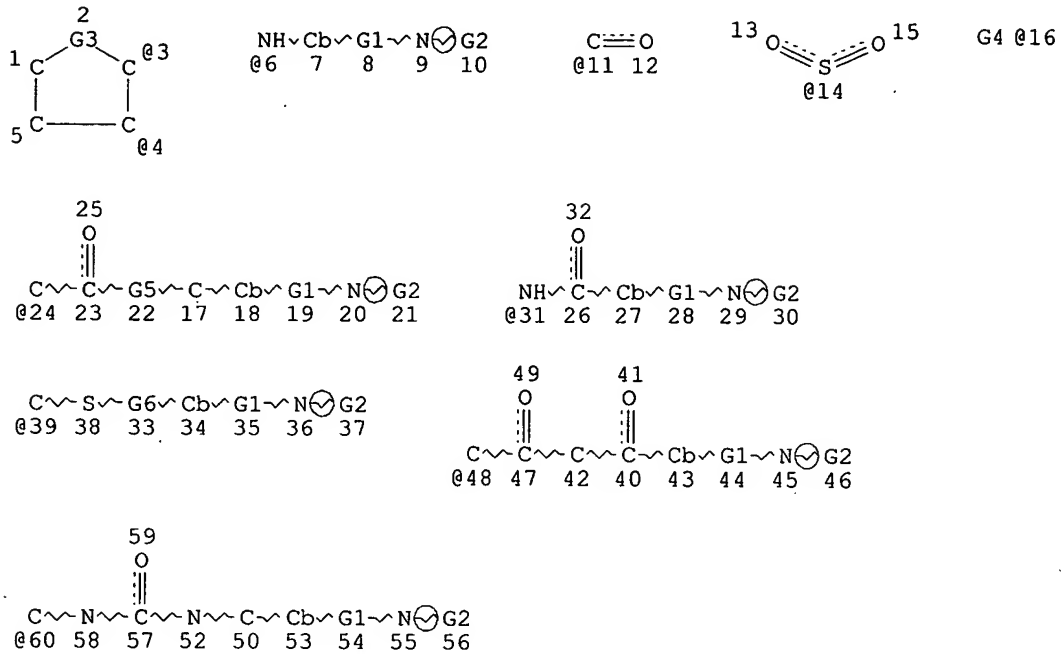
L11 798794 SEA FILE=REGISTRY ABB=ON (16.127.1/RID OR 16.138.1/RID OR 16.136.1/RID) AND NR>3
L17 STR



REP G1=(0-4) A
VAR G2=11/14
VAR G3=O/N/C
VAR G4=6/24/31/39/48/60
REP G5=(0-1) O
REP G6=(0-1) N
VPA 16-3/4 U
NODE ATTRIBUTES:
NSPEC IS R AT 9
NSPEC IS R AT 11
NSPEC IS R AT 14
NSPEC IS R AT 20
NSPEC IS R AT 29
NSPEC IS R AT 36
NSPEC IS R AT 45
NSPEC IS R AT 55
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY LOC UNS AT 7
GGCAT IS MCY LOC UNS AT 18
GGCAT IS MCY LOC UNS AT 27
GGCAT IS MCY LOC UNS AT 34
GGCAT IS MCY LOC UNS AT 43
GGCAT IS MCY LOC UNS AT 53
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 59

Searched by Barb O'Bryen, STIC 2-2518

```
STEREO ATTRIBUTES: NONE
L20          291 SEA FILE=REGISTRY SUB=L11 SSS FUL L17

100.0% PROCESSED  752587 ITERATIONS                          291 ANSWERS
SEARCH TIME: 00.00.26



     (FILE 'HOME' ENTERED AT 10:09:37 ON 20 JUN 2006)

     FILE 'LREGISTRY' ENTERED AT 10:09:47 ON 20 JUN 2006
L1              STR
L2           42 SEA SSS SAM L1
                D STR RSD 1-2
                D STR RSD 10
L3              STR L1
L4           50 SEA SSS SAM L3
                D STR RSD 1-2
L5              STR L1
L6           50 SEA SSS SAM L5
                D STR RSD 1-2

     FILE 'REGISTRY' ENTERED AT 10:12:28 ON 20 JUN 2006
L7      1224438 SEA ABB=ON  (16.127/RID OR 16.138/RID OR 16.136/RID) AND NR>3
L8              STR
L9              STR L8
L10          50 SEA SUB=L7 SSS SAM L8 AND L9
L11      798794 SEA ABB=ON  (16.127.1/RID OR 16.138.1/RID OR 16.136.1/RID) AND
                NR>3
L12             STR L8
L13          50 SEA SUB=L11 SSS SAM L12
L14             STR
L15           6 SEA SSS SAM L14
                D SCAN

     FILE 'CAPLUS' ENTERED AT 10:27:08 ON 20 JUN 2006
L16           2 SEA ABB=ON  L15
                D BIB 1-2

     FILE 'REGISTRY' ENTERED AT 10:31:49 ON 20 JUN 2006
L17             STR
L18           0 SEA SSS SAM L17
L19           0 SEA SUB=L11 SSS SAM L17
L20         291 SEA SUB=L11 SSS FUL L17
                SAVE TEMP L20 SHI469FULL/A

     FILE 'CAPLUS' ENTERED AT 10:47:41 ON 20 JUN 2006
L21          36 SEA ABB=ON  L20

     FILE 'CAOLD' ENTERED AT 10:47:51 ON 20 JUN 2006
L22           0 SEA ABB=ON  L20

     FILE 'REGISTRY' ENTERED AT 10:48:12 ON 20 JUN 2006
                D STAT QUE L20

     FILE 'CAPLUS' ENTERED AT 10:48:12 ON 20 JUN 2006
                D QUE NOS L21
```

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D IBIB ED ABS HITSTR L21 1-36

FILE 'HOME' ENTERED AT 10:48:46 ON 20 JUN 2006
D SAVED
D STAT QUE L20

=>

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